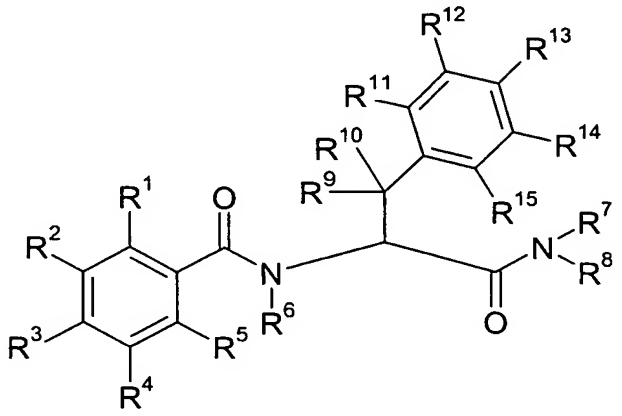
Benzoyl-substituted phenylalanineamides

Description

The present invention relates to benzoyl-substituted phenylalanineamides of the formula I



I,

in which the variables are as defined below:

R^1 is halogen, cyano, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-haloalkoxy, nitro, hydroxycarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-haloalkylthio or phenyl;

R^2, R^3, R^4, R^5 are hydrogen, halogen, cyano, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, nitro, amino, C_1-C_6-alkylamino, di(C_1-C_6-alkyl)amino, C_1-C_6-alkylthio or C_1-C_6-alkoxycarbonyl;

R^6, R^7 are hydrogen, hydroxyl or C_1-C_6-alkoxy;

R^8 is C_1-C_6-alkyl, C_1-C_4-cyanoalkyl or C_1-C_6-haloalkyl;

R^9 is OR^{16}, SR^{17} or $NR^{18}R^{19}$;

R^{10} is hydrogen or C_1-C_6-alkyl;

R^{11}, R^{12} are hydrogen, halogen, cyano, C_1-C_6-alkyl, C_1-C_6-haloalkyl, hydroxyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, hydroxyl, nitro, hydroxy-C_1-C_4-alkyl, C_1-C_6-alkoxy-C_1-C_4-alkyl, tri(C_1-C_6-alkyl)silyloxy-C_1-C_4-alkyl, C_1-C_4-alkylthio, (hydroxycarbonyl)-C_1-C_6-alkyl, (C_1-C_6-alkoxycarbonyl)-C_1-C_6-alkyl, (hydroxycarbonyl)-C_2-C_6-alkenyl, (C_1-C_6-alkoxycarbonyl)-C_2-C_6-

alkenyl, (hydroxycarbonyl)-C_1-C_4-alkoxy, (C_1-C_4-alkoxycarbonyl)-C_1-C_4-alkoxy, (C_1-C_4-alkylcarbonyl)oxy-C_1-C_4-alkyl, hydroxycarbonyl-C_1-C_4-alkoxy-C_1-C_4-alkyl, (C_1-C_4-alkylsulfonyl)oxy-C_1-C_4-alkyl, C_1-C_4-alkyl-O-C(O)-[C_1-C_4-alkyl-O]$_3$-C_1-C_4-alkyl, carbamoyloxy-C_1-C_4-alkyl, (C_1-C_4-alkylaminocarbonyl)oxy-C_1-C_4-alkyl, [di(C_1-C_4-alkyl)aminocarbonyl]oxy-C_1-C_4-alkyl, [(C_1-C_4-haloalkylsulfonyl)aminocarbonyl]oxy-C_1-C_4-alkyl, benzyloxy, where the phenyl ring may be substituted by 1 to 3 radicals from the group consisting of halogen and C_1-C_4-alkyl, amino, C_1-C_4-alkylamino, di(C_1-C_4-alkyl)amino, C_1-C_4-alkylsulfonylamino, C_1-C_4-haloalkylsulfonylamino, C_1-C_4-alkylcarbonylamino, carbamoylamino, (C_1-C_4-alkylamino)carbonylamino, [di(C_1-C_4-alkyl)amino]carbonylamino, [(C_1-C_4-haloalkylsulfonyl)aminocarbonyl]-amino, phenyl or heterocyclyl, where the phenyl and the heterocyclyl radical of the two last-mentioned substituents may carry 1 to 3 radicals from the following group: halogen, nitro, C_1-C_4-alkyl, C_1-C_4-haloalkyl, hydroxycarbonyl and C_1-C_6-alkoxycarbonyl;

R^{13}, R^{14}, R^{15} are hydrogen, halogen, cyano, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, hydroxyl, nitro, C_1-C_4-alkylthio or benzyloxy;

R^{16}, R^{17}, R^{18} are hydrogen, C_1-C_6-alkyl, tri(C_1-C_6-alkyl)silyl, C_3-C_6-cycloalkyl, C_3-C_6-alkenyl, C_3-C_6-alkynyl, C_3-C_6-haloalkenyl, C_3-C_6-haloalkynyl, formyl, C_1-C_6-alkylcarbonyl, C_3-C_6-cycloalkylcarbonyl, C_2-C_6-alkenylcarbonyl, C_2-C_6-alkynylcarbonyl, C_1-C_6-alkoxycarbonyl, C_3-C_6-alkenyloxycarbonyl, C_3-C_6-alkynyloxycarbonyl, C_1-C_6-alkylaminocarbonyl, C_3-C_6-alkenylaminocarbonyl, C_3-C_6-alkynylaminocarbonyl, C_1-C_6-alkylsulfonylaminocarbonyl, C_1-C_6-haloalkylsulfonylaminocarbonyl, di(C_1-C_6-alkyl)aminocarbonyl, N-(C_3-C_6-alkenyl)-N-(C_1-C_6-alkyl)aminocarbonyl, N-(C_3-C_6-alkynyl)-N-(C_1-C_6-alkyl)aminocarbonyl, N-(C_1-C_6-alkoxy)-N-(C_1-C_6-alkyl)aminocarbonyl, N-(C_3-C_6-alkenyl)-N-(C_1-C_6-alkoxy)aminocarbonyl, N-(C_3-C_6-alkynyl)-N-(C_1-C_6-alkoxy)aminocarbonyl, di(C_1-C_6-alkyl)aminothiocarbonyl, C_1-C_6-alkylcarbonyl-C_1-C_6-alkyl, C_1-C_6-alkoxyimino-C_1-C_6-alkyl, N-(C_1-C_6-alkylamino)imino-C_1-C_6-alkyl or N-(di-C_1-C_6-alkylamino)imino-C_1-C_6-alkyl,

where the alkyl, cycloalkyl and alkoxy radicals mentioned may be partially or fully halogenated and/or may carry 1 to 3 of the

following groups: cyano, hydroxyl, C_3-C_6-cycloalkyl, C_1-C_4-alkoxy, C_1-C_4-alkylthio, di(C_1-C_4-alkyl)amino, C_1-C_4-alkylcarbonyl, hydroxycarbonyl, C_1-C_4-alkoxycarbonyl, aminocarbonyl, C_1-C_4-alkylaminocarbonyl, di(C_1-C_4-alkyl)aminocarbonyl or C_1-C_4-alkylcarbonyloxy;

phenyl, phenyl-C_1-C_6-alkyl, phenylcarbonyl, phenylcarbonyl- C_1-C_6-alkyl, phenoxycarbonyl, phenylaminocarbonyl, phenylsulfonylaminocarbonyl, N-(C_1-C_6-alkyl)-N-(phenyl)aminocarbonyl, phenyl-C_1-C_6-alkylcarbonyl, heterocyclyl, heterocyclyl-C_1-C_6-alkyl, heterocyclylcarbonyl, heterocyclylcarbonyl-C_1-C_6-alkyl, heterocyclyloxycarbonyl, heterocyclylaminocarbonyl, heterocyclylsulfonylaminocarbonyl, N-(C_1-C_6-alkyl)-N-(heterocyclyl)aminocarbonyl or heterocyclyl-C_1-C_6-alkylcarbonyl,

where the phenyl and the heterocyclyl radical of the 17 last-mentioned substituents may be partially or fully halogenated and/or may carry 1 to 3 of the following groups: nitro, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy or C_1-C_4-haloalkoxy;

SO_2R^{20};

-C(O)-[C_1-C_4-alkyl-O]$_3$-C_1-C_4-alkyl; or

-C(O)-O-C_1-C_4-alkyl-O-phenyl, where the phenyl radical may optionally be substituted by 1 to 3 radicals from the group consisting of halogen and C_1-C_4-alkyl;

R^{19} is hydrogen, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_3-C_6-alkenyl, C_3-C_6-alkynyl, C_3-C_6-haloalkenyl, C_3-C_6-haloalkynyl,

where the alkyl and cycloalkyl radicals mentioned may be partially or fully halogenated and/or may carry 1 to 3 of the following groups: cyano, hydroxyl, C_3-C_6-cycloalkyl, C_1-C_4-alkoxy, C_1-C_4-alkylthio, di(C_1-C_4-alkyl)amino, C_1-C_4-alkylcarbonyl, hydroxycarbonyl, C_1-C_4-alkoxycarbonyl, aminocarbonyl, C_1-C_4-alkylaminocarbonyl, di(C_1-C_4-alkyl)aminocarbonyl or C_1-C_4-alkylcarbonyloxy; or

phenyl, phenyl-C_1-C_6-alkyl, heterocyclyl or heterocyclyl-C_1-C_6-alkyl, where the phenyl and the heterocyclyl radical of the 4 last-mentioned substituents may be partially or fully halogenated, and/or may carry 1 to 3 of the following groups: nitro, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy or C_1-C_4-haloalkoxy;

R^{20} is C_1-C_6-alkyl, C_1-C_6-haloalkyl or phenyl,
where the phenyl radical may be partially or fully halogenated and/or may carry 1 to 3 of the following groups: C_1-C_6-alkyl, C_1-C_6-haloalkyl or C_1-C_6-alkoxy;

and to their agriculturally useful salts.

Moreover, the invention relates to processes and intermediates for preparing compounds of the formula I, to compositions comprising them and to the use of these derivatives or of the compositions comprising them for controlling harmful plants.

Herbicidally active phenylalanine derivatives which are unsubstituted in the β-position or may carry unsubstituted or halogen-substituted alkyl, alkenyl or alkynyl radicals are known from the literature, for example from WO 03/066576.

Benzoyl-substituted amino acid amides having pharmaceutical activity are described, inter alia, in WO 97/05865, GB 2369117, JP 10/298151 and JP 03/294253.

However, the herbicidal properties of the prior-art compounds and/or their compatibility with crop plants are not entirely satisfactory. It is therefore an object of the present invention to provide novel, in particular herbicidally active, compounds having improved properties.

We have found that this object is achieved by the benzoyl-substituted phenylalanineamides of the formula I and their herbicidal action.

Furthermore, we have found herbicidal compositions which comprise the compounds I and have very good herbicidal action. Moreover, we have found processes for preparing these compositions and methods for controlling unwanted vegetation using the compounds I.

Depending on the substitution pattern, the compounds of the formula I comprise two or more centers of chirality, in which case they are present as enantiomers or diastereomer mixtures. The invention provides both the pure enantiomers or diastereomers and their mixtures.

The compounds of the formula I may also be present in the form of their agriculturally useful salts, the nature of the salt generally being immaterial. Suitable salts are, in general, the cations or the acid addition salts of those acids whose cations or anions have no adverse effect on the herbicidal action of the compounds I.

Suitable cations are in particular ions of the alkali metals, preferably lithium, sodium and potassium, of the alkaline earth metals, preferably calcium and magnesium, and of the transition metals, preferably manganese, copper, zinc and iron, and also ammonium, where, if desired, one to four hydrogen atoms may be replaced by C_1-C_4-alkyl, hydroxy-C_1-C_4-alkyl, C_1-C_4-alkoxy-C_1-C_4-alkyl, hydroxy-C_1-C_4-alkoxy-C_1-C_4-alkyl, phenyl or benzyl, preferably ammonium, dimethylammonium, diisopropylammonium, tetramethylammonium, tetrabutylammonium, 2-(2-hydroxyeth-1-oxy)eth-1-ylammonium, di(2-hydroxyeth-1-yl)ammonium, trimethylbenzylammonium, furthermore phosphonium ions, sulfonium ions, preferably tri(C_1-C_4-alkyl)sulfonium, and sulfoxonium ions, preferably tri(C_1-C_4alkyl)sulfoxonium.

Anions of useful acid addition salts are primarily chloride, bromide, fluoride, hydrogensulfate, sulfate, dihydrogenphosphate, hydrogenphosphate, nitrate, bicarbonate, carbonate, hexafluorosilicate, hexafluorophosphate, benzoate, and the anions of C_1–C_4–alkanoic acids, preferably formate, acetate, propionate and butyrate.

The organic moieties mentioned for the substituents R^1–R^{20} or as radicals on phenyl or heterocyclyl rings are collective terms for individual enumerations of the specific group members. All hydrocarbon chains, i.e. all alkyl, alkenyl, alkynyl, cyanoalkyl, haloalkyl, haloalkenyl, haloalkynyl, alkoxy, haloalkoxy, alkoxyalkyl, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, alkoxycarbonyl, alkenyloxycarbonyl, alkynyloxycarbonyl, alkylamino, alkylaminocarbonyl, alkenylaminocarbonyl, alkynylaminocarbonyl, alkylsulfonylaminocarbonyl, dialkylaminocarbonyl, N–alkenyl–N–alkylaminocarbonyl, N–alkynyl–N–alkylaminocarbonyl, N–alkoxy–N–alkylaminocarbonyl, N–alkenyl–N–alkoxyaminocarbonyl, N–alkynyl–N–alkoxyaminocarbonyl, dialkylaminothiocarbonyl, alkylcarbonylalkyl, alkoxyiminoalkyl, N–(alkylamino)iminoalkyl, N–(dialkylamino)iminoalkyl, phenylalkyl, phenylcarbonylalkyl, N–alkyl–N–phenylaminocarbonyl, phenylalkylcarbonyl, heterocyclylalkyl, heterocyclylcarbonylalkyl, N–alkyl–N–heterocyclylaminocarbonyl, heterocyclylalkylcarbonyl, alkylthio and alkylcarbonyloxy moieties, may be straight-chain or branched.

Unless indicated otherwise, halogenated substituents preferably carry one to five identical or different halogen atoms. The term halogen denotes in each case fluorine, chlorine, bromine or iodine.

Examples of other meanings are:

- C_1–C_4–alkyl and the alkyl moieties of C_1–C_6–alkyliminooxy–C_1–C_4–alkyl, hydroxy(C_1-C_4-alkyl), tri(C_1–C_6–alkyl)silyloxy-C_1-C_4-alkyl, (C_1-C_4-alkylcarbonyl)oxy-C_1-C_4-alkyl, hydroxycarbonyl-C_1-C_4-alkoxy-C_1-C_4-alkyl, (C_1-C_4-alkylsulfonyl)oxy- C_1-C_4-alkyl, C_1-C_4-alkyl-O-C(O)-[C_1-C_4-alkyl-O]$_3$- C_1-C_4-alkyl, carbamoyloxy-C_1-C_4-alkyl, (C_1-C_4-alkylaminocarbonyl)oxy- C_1-C_4-alkyl, [di(C_1-C_4-alkyl)aminocarbonyl]oxy-C_1-C_4-alkyl, [(C_1-C_4-haloalkylsulfonyl)aminocarbonyl]oxy-C_1-C_4-alkyl, C_1-C_4-alkylsulfonylamino, -C(O)-[C_1-C_4-alkyl-O]$_3$-C_1-C_4-alkyl, -C(O)-O-C_1-C_4-alkyl-O-phenyl: for example methyl, ethyl, n-propyl, 1–methylethyl, n-butyl, 1–methylpropyl, 2–methylpropyl and 1,1–dimethylethyl;

- C_1–C_6–alkyl and the alkyl moieties of C_1-C_6-alkylsulfonylaminocarbonyl, N–(C_3–C_6–alkenyl)–N–(C_1–C_6–alkyl)aminocarbonyl, (C_3–C_6–alkynyl)–N–(C_1–C_6–alkyl)aminocarbonyl, N-(C_1–C_6–alkoxy)–N–(C_1–C_6–alkyl)aminocarbonyl, C_1–C_6–alkylcarbonyl–C_1–C_6–alkyl, C_1–C_6–alkoxyimino–C_1–C_6–alkyl, N–(C_1–C_6–alkylamino)imino–C_1–C_6–alkyl, N–(di–C_1–C_6–alkylamino)imino–C_1–C_6–alkyl, phenyl–C_1–C_6–alkyl, phenylcarbonyl–C_1–C_6–alkyl, N–(C_1–C_6–alkyl)–N–phenylaminocarbonyl, heterocyclyl–C_1–C_6–alkyl, heterocyclylcarbonyl–C_1–C_6–alkyl, N–(C_1–C_6–alkyl)–N–heterocyclylaminocarbonyl, tri(C_1-C_6-alkyl)silyloxy-C_1-C_4-alkyl, tri(C_1-C_6-alkyl)silyl, (hydroxycarbonyl)-C_1-C_6-alkyl, (C_1-C_6-alkoxycarbonyl)-C_1-C_6-alkyl:
  C_1–C_4–alkyl as mentioned above, and also, for example, n-pentyl, 1–methylbutyl, 2–methylbutyl, 3–methylbutyl, 2,2–dimethylpropyl, 1–ethylpropyl, n-hexyl, 1,1–dimethylpropyl, 1,2–dimethylpropyl, 1–methylpentyl, 2–methylpentyl, 3–methylpentyl, 4–methylpentyl, 1,1–dimethylbutyl, 1,2–dimethylbutyl, 1,3–dimethylbutyl, 2,2–dimethylbutyl, 2,3–dimethylbutyl, 3,3–dimethylbutyl, 1–ethylbutyl, 2–ethylbutyl, 1,1,2–trimethylpropyl, 1–ethyl–1–methylpropyl and 1–ethyl–3–methylpropyl;

- C_1–C_4–alkylcarbonyl and the alkylcarbonyl moieties of (C_1-C_4-alkylcarbonyl)oxy, (C_1-C_4-alkylcarbonyl)oxy-C_1-C_4-alkyl, C_1-C_4-alkylcarbonylamino: for example methylcarbonyl, ethylcarbonyl, propylcarbonyl, 1–methylethylcarbonyl,

butylcarbonyl, 1–methylpropylcarbonyl, 2–methylpropylcarbonyl or 1,1–dimethylethylcarbonyl;

- C_1–C_6–alkylcarbonyl, and the alkylcarbonyl radicals of C_1–C_6–alkylcarbonyl–C_1–C_6–alkyl, phenyl-C_1-C_6-alkylcarbonyl and heterocyclyl-C_1-C_6-alkylcarbonyl: C_1–C_4–alkylcarbonyl as mentioned above, and also, for example, pentylcarbonyl, 1–methylbutylcarbonyl, 2–methylbutylcarbonyl, 3–methylbutylcarbonyl, 2,2–dimethylpropylcarbonyl, 1–ethylpropylcarbonyl, hexylcarbonyl, 1,1–dimethylpropylcarbonyl, 1,2–dimethylpropylcarbonyl, 1–methylpentylcarbonyl, 2–methylpentylcarbonyl, 3–methylpentylcarbonyl, 4–methylpentylcarbonyl, 1,1–dimethylbutylcarbonyl, 1,2–dimethylbutylcarbonyl, 1,3–dimethylbutylcarbonyl, 2,2–dimethylbutylcarbonyl, 2,3–dimethylbutylcarbonyl, 3,3–dimethylbutylcarbonyl, 1–ethylbutylcarbonyl, 2–ethylbutylcarbonyl, 1,1,2–trimethylpropylcarbonyl, 1,2,2–trimethylpropylcarbonyl, 1–ethyl–1–methylpropylcarbonyl or 1–ethyl–2–methylpropylcarbonyl;

- C_3–C_6–cycloalkyl and the cycloalkyl moieties of C_3-C_6-cycloalkylcarbonyl: monocyclic saturated hydrocarbons having 3 to 6 ring members, such as cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl;

- C_3-C_6-alkenyl and the alkenyl moieties of C_3-C_6-alkenyloxycarbonyl, C_3-C_6-alkenylaminocarbonyl, N-(C_3-C_6-alkenyl)-N-(C_1-C_6-alkyl)aminocarbonyl and N–(C_3–C_6–alkenyl)–N–(C_1–C_6–alkoxy)aminocarbonyl: for example 1-propenyl, 2-propenyl, 1-methylethenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1-propenyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl, 1-pentenyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 1-methyl-1-butenyl, 2-methyl-1-butenyl, 3-methyl-1-butenyl, 1-methyl-2-butenyl, 2-methyl-2-butenyl, 3-methyl-2-butenyl, 1-methyl-3-butenyl, 2-methyl-3-butenyl, 3-methyl-3-butenyl, 1,1-dimethyl-2-propenyl, 1,2-dimethyl-1-propenyl, 1,2-dimethyl-2-propenyl, 1-ethyl-1-propenyl, 1-ethyl-2-propenyl, 1-hexenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 1-methyl-1-pentenyl, 2-methyl-1-pentenyl, 3-methyl-1-pentenyl, 4-methyl-1-pentenyl, 1-methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-methyl-2-pentenyl, 4-methyl-2-pentenyl, 1-methyl-3-pentenyl, 2-methyl-3-pentenyl, 3-methyl-3-pentenyl, 4-methyl-3-pentenyl, 1-methyl-4-pentenyl, 2-methyl-4-pentenyl, 3-methyl-4-pentenyl, 4-methyl-4-pentenyl, 1,1-dimethyl-2-butenyl, 1,1-dimethyl-3-butenyl, 1,2-dimethyl-1-butenyl, 1,2-dimethyl-2-butenyl, 1,2-dimethyl-3-butenyl, 1,3-dimethyl-1-butenyl, 1,3-dimethyl-2-butenyl, 1,3-dimethyl-3-butenyl, 2,2-

dimethyl-3-butenyl, 2,3-dimethyl-1-butenyl, 2,3-dimethyl-2-butenyl, 2,3-dimethyl-3-butenyl, 3,3-dimethyl-1-butenyl, 3,3-dimethyl-2-butenyl, 1-ethyl-1-butenyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 2-ethyl-1-butenyl, 2-ethyl-2-butenyl, 2-ethyl-3-butenyl, 1,1,2-trimethyl-2-propenyl, 1-ethyl-1-methyl-2-propenyl, 1-ethyl-2-methyl-1-propenyl and 1-ethyl-2-methyl-2-propenyl;

- C_2-C_6-alkenyl and the alkenyl moieties of C_2-C_6-alkenylcarbonyl, (hydroxycarbonyl)-C_2-C_6-alkenyl, (C_1-C_6-alkoxycarbonyl)-C_2-C_6-alkenyl: C_3-C_6-alkenyl as mentioned above, and also ethenyl;

- C_3-C_6-alkynyl and the alkynyl moieties of C_3–C_6–alkynyloxycarbonyl, C_3–C_6–alkynylaminocarbonyl, N–(C_3–C_6–alkynyl)–N–(C_1–C_6–alkyl)aminocarbonyl, N–(C_3–C_6–alkynyl)–N–(C_1–C_6–alkoxy)aminocarbonyl: for example 1-propynyl, 2-propynyl, 1-butynyl, 2-butynyl, 3-butynyl, 1-methyl-2-propynyl, 1-pentynyl, 2-pentynyl, 3-pentynyl, 4-pentynyl, 1-methyl-2-butynyl, 1-methyl-3-butynyl, 2-methyl-3-butynyl, 3-methyl-1-butynyl, 1,1-dimethyl-2-propynyl, 1-ethyl-2-propynyl, 1-hexynyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 5-hexynyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 1-methyl-4-pentynyl, 2-methyl-3-pentynyl, 2-methyl-4-pentynyl, 3-methyl-1-pentynyl, 3-methyl-4-pentynyl, 4-methyl-1-pentynyl, 4-methyl-2-pentynyl, 1,1-dimethyl-2-butynyl, 1,1-dimethyl-3-butynyl, 1,2-dimethyl-3-butynyl, 2,2-dimethyl-3-butynyl, 3,3-dimethyl-1-butynyl, 1-ethyl-2-butynyl, 1-ethyl-3-butynyl, 2-ethyl-3-butynyl and 1-ethyl-1-methyl-2-propynyl;

- C_2-C_6-alkynyl and the alkynyl moieties of C_2-C_6-alkynylcarbonyl: C_3-C_6-alkynyl as mentioned above, and also ethynyl;

- C_1–C_4–cyanoalkyl: for example cyanomethyl, 1-cyanoeth-1-yl, 2-cyanoeth-1-yl, 1-cyanoprop-1-yl, 2-cyanoprop-1-yl, 3-cyanoprop-1-yl, 1-cyanoprop-2-yl, 2-cyanoprop-2-yl, 1-cyanobut-1-yl, 2-cyanobut-1-yl, 3-cyanobut-1-yl, 4-cyanobut-1-yl, 1-cyanobut-2-yl, 2-cyanobut-2-yl, 1-cyanobut-3-yl, 2-cyanobut-3-yl, 1-cyano-2-methylprop-3-yl, 2-cyano-2-methylprop-3-yl, 3-cyano-2-methylprop-3-yl and 2-cyanomethylprop-2-yl;

- C_1–C_4–haloalkyl and the haloalkyl radicals of [(C_1-C_4-haloalkylsulfonyl)-aminocarbonyl]oxy-C_1-C_4-alkyl, C_1-C_4-haloalkylsulfonylamino, [(C_1-C_4-haloalkylsulfonyl)aminocarbonyl]amino: a C_1–C_4–alkyl radical as mentioned above which is partially or fully substituted by fluorine, chlorine, bromine and/or

iodine, i.e., for example, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, bromomethyl, iodomethyl, 2-fluoroethyl, 2-chloroethyl, 2-bromoethyl, 2-iodoethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2,2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl, pentafluoroethyl, 2-fluoropropyl, 3-fluoropropyl, 2,2-difluoropropyl, 2,3-difluoropropyl, 2-chloropropyl, 3-chloropropyl, 2,3-dichloropropyl, 2-bromopropyl, 3-bromopropyl, 3,3,3-trifluoropropyl, 3,3,3-trichloropropyl, 2,2,3,3,3-pentafluoropropyl, heptafluoropropyl, 1-(fluoromethyl)-2-fluoroethyl, 1-(chloromethyl)-2-chloroethyl, 1-(bromomethyl)-2-bromoethyl, 4-fluorobutyl, 4-chlorobutyl, 4-bromobutyl and nonafluorobutyl;

- C_1–C_6–haloalkyl and the haloalkyl radicals of C_1-C_6-haloalkyl-sulfonylaminocarbonyl, C_1-C_6-haloalkylthio: C_1–C_4–haloalkyl as mentioned above, and also, for example, 5–fluoropentyl, 5–chloropentyl, 5–bromopentyl, 5–iodopentyl, undecafluoropentyl, 6–fluorohexyl, 6–chlorohexyl, 6–bromohexyl, 6–iodohexyl and dodecafluorohexyl;

- C_3-C_6-haloalkenyl: a C_3-C_6-alkenyl radical as mentioned above which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example 2-chloroprop-2-en-1-yl, 3-chloroprop-2-en-1-yl, 2,3-dichloroprop-2-en-1-yl, 3,3-dichloroprop-2-en-1-yl, 2,3,3-trichloro-2-en-1-yl, 2,3-dichlorobut-2-en-1-yl, 2-bromoprop-2-en-1-yl, 3-bromoprop-2-en-1-yl, 2,3-dibromoprop-2-en-1-yl, 3,3-dibromoprop-2-en-1-yl, 2,3,3-tribromo-2-en-1-yl or 2,3-dibromobut-2-en-1-yl;

- C_3-C_6-haloalkynyl: a C_3-C_6-alkynyl radical as mentioned above which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example 1,1-difluoroprop-2-yn-1-yl, 3-iodoprop-2-yn-1-yl, 4-fluorobut-2-yn-1-yl, 4-chlorobut-2-yn-1-yl, 1,1-difluorobut-2-yn-1-yl, 4-iodobut-3-yn-1-yl, 5-fluoropent-3-yn-1-yl, 5-iodopent-4-yn-1-yl, 6-fluorohex-4-yn-1-yl or 6-iodohex-5-yn-1-yl;

- C_1–C_4–alkoxy and the alkoxy moieties of (C_1-C_4-alkoxycarbonyl)-C_1-C_4-alkoxy, hydroxycarbonyl-C_1-C_4-alkoxy-C_1-C_4-alkyl, (hydroxycarbonyl)-C_1-C_4-alkoxy: for example methoxy, ethoxy, propoxy, 1–methylethoxy, butoxy, 1–methylpropoxy, 2–methylpropoxy and 1,1–dimethylethoxy;

- C_1–C_6–alkoxy and the alkoxy moieties of N–(C_1–C_6–alkoxy)–N–(C_1–C_6–alkyl)aminocarbonyl, N–(C_3–C_6–alkenyl)–N–(C_1–C_6–alkoxy)aminocarbonyl, N–(C_3–C_6–alkynyl)–N–(C_1–C_6–alkoxy)aminocarbonyl and C_1–C_6–alkoxyimino–C_1–C_6–alkyl: C_1–C_4–alkoxy as mentioned above, and also, for example, pentoxy, 1–methylbutoxy, 2–methylbutoxy, 3–methoxylbutoxy, 1,1–dimethylpropoxy, 1,2–dimethylpropoxy, 2,2-dimethylpropoxy, 1-ethylpropoxy, hexoxy, 1-methylpentoxy, 2-methylpentoxy, 3-methylpentoxy, 4-methylpentoxy, 1,1-dimethylbutoxy,1,2-dimethylbutoxy, 1,3-dimethylbutoxy, 2,2-dimethylbutoxy, 2,3-dimethylbutoxy, 3,3-dimethylbutoxy, 1-ethylbutoxy, 2-ethylbutoxy, 1,1,2-trimethylpropoxy, 1,2,2-trimethylpropoxy, 1-ethyl-1-methylpropoxy and 1-ethyl-2-methylpropoxy;

- C_1–C_4–haloalkoxy: a C_1–C_4–alkoxy radical as mentioned above which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, i.e., for example, fluoromethoxy, difluoromethoxy, trifluoromethoxy, chlorodifluoromethoxy, bromodifluoromethoxy, 2–fluoroethoxy, 2–chloroethoxy, 2–bromomethoxy, 2–iodoethoxy, 2,2–difluoroethoxy, 2,2,2–trifluoroethoxy, 2–chloro–2–fluoroethoxy, 2–chloro–2,2–difluoroethoxy, 2,2–dichloro–2–fluoroethoxy, 2,2,2–trichloroethoxy, pentafluoroethoxy, 2–fluoropropoxy, 3–fluoropropoxy, 2–chloropropoxy, 3–chloropropoxy, 2–bromopropoxy, 3–bromopropoxy, 2,2–difluoropropoxy, 2,3–difluoropropoxy, 2,3–dichloropropoxy, 3,3,3–trifluoropropoxy, 3,3,3–trichloropropoxy, 2,2,3,3,3–pentafluoropropoxy, heptafluoropropoxy, 1–(fluoromethyl)–2–fluoroethoxy, 1–(chloromethyl)–2–chloroethoxy, 1–(bromomethyl)–2–bromoethoxy, 4–fluorobutoxy, 4–chlorobutoxy, 4–bromobutoxy and nonafluorobutoxy;

- C_1–C_6–haloalkoxy: C_1–C_4–haloalkoxy as mentioned above, and also, for example, 5–fluoropentoxy, 5–chloropentoxy, 5–bromopentoxy, 5–iodopentoxy, undecafluoropentoxy, 6–fluorohexoxy, 6–chlorohexoxy, 6–bromohexoxy, 6–iodohexoxy and dodecafluorohexoxy;

- C_1-C_6-alkoxy-C_1-C_4-alkyl: C_1-C_4-alkyl which is substituted by C_1-C_6-alkoxy as mentioned above, i.e., for example, methoxymethyl, ethoxymethyl, propoxymethyl, (1-methylethoxy)methyl, butoxymethyl, (1-methylpropoxy)methyl, (2-methylpropoxy)methyl, (1,1-dimethylethoxy)methyl, 2-(methoxy)ethyl, 2-(ethoxy)ethyl, 2-(propoxy)ethyl, 2-(1-methylethoxy)ethyl, 2-(butoxy)ethyl, 2-(1-methylpropoxy)ethyl, 2-(2-methylpropoxy)ethyl, 2-(1,1-dimethylethoxy)ethyl, 2-(methoxy)propyl, 2-(ethoxy)propyl, 2-(propoxy)propyl, 2-(1-

methylethoxy)propyl, 2-(butoxy)propyl, 2-(1-methylpropoxy)propyl, 2-(2-methylpropoxy)propyl, 2-(1,1-dimethylethoxy)propyl, 3-(methoxy)propyl, 3-(ethoxy)propyl, 3-(propoxy)propyl, 3-(1-methylethoxy)propyl, 3-(butoxy)propyl, 3-(1-methylpropoxy)propyl, 3-(2-methylpropoxy)propyl, 3-(1,1-dimethylethoxy)propyl, 2-(methoxy)butyl, 2-(ethoxy)butyl, 2-(propoxy)butyl, 2-(1-methylethoxy)butyl, 2-(butoxy)butyl, 2-(1-methylpropoxy)butyl, 2-(2-methylpropoxy)butyl, 2-(1,1-dimethylethoxy)butyl, 3-(methoxy)butyl, 3-(methoxy)butyl, 3-(ethoxy)butyl, 3-(propoxy)butyl, 3-(1-methylethoxy)butyl, 3-(butoxy)butyl, 3-(1-methylpropoxy)butyl, 3-(2-methylpropoxy)butyl, 3-(1,1-dimethylethoxy)butyl, 4-(methoxy)butyl, 4-(ethoxy)butyl, 4-(propoxy)butyl, 4-(1-methylethoxy)butyl, 4-(butoxy)butyl, 4-(1-methylpropoxy)butyl, 4-(2-methylpropoxy)butyl and 4-(1,1-dimethylethoxy)butyl;

- C_1–C_4–alkoxycarbonyl and the alkoxycarbonyl moieties of C_1-C_4-alkoxy-C_1-C_4-alkoxycarbonyl, di–(C_1–C_4–alkyl)amino–C_1–C_4–alkoxycarbonyl, (C_1–C_4–alkoxycarbonyl)-C_1–C_4–alkoxy: for example methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, 1–methylethoxycarbonyl, butoxycarbonyl, 1–methylpropoxycarbonyl, 2–methylpropoxycarbonyl or 1,1–dimethylethoxycarbonyl;

- C_1-C_6-alkoxycarbonyl and the alkoxycarbonyl moieties of (C_1-C_6-alkoxycarbonyl)-C_1-C_6-alkyl, (C_1-C_6-alkoxycarbonyl)-C_2-C_6-alkenyl: C_1–C_4–alkoxycarbonyl as mentioned above, and also, for example, pentoxycarbonyl, 1-methylbutoxycarbonyl, 2-methylbutoxycarbonyl, 3-methylbutoxycarbonyl, 2,2-dimethylpropoxycarbonyl, 1-ethylpropoxycarbonyl, hexoxycarbonyl, 1,1-dimethylpropoxycarbonyl, 1,2-dimethylpropoxycarbonyl, 1-methylpentoxycarbonyl, 2-methylpentoxycarbonyl, 3-methylpentoxycarbonyl, 4-methylpentoxycarbonyl, 1,1-dimethylbutoxycarbonyl, 1,2-dimethylbutoxycarbonyl, 1,3-dimethylbutoxycarbonyl, 2,2-dimethylbutoxycarbonyl, 2,3-dimethylbutoxycarbonyl, 3,3-dimethylbutoxycarbonyl, 1-ethylbutoxycarbonyl, 2-ethylbutoxycarbonyl, 1,1,2-trimethylpropoxycarbonyl, 1,2,2-trimethylpropoxycarbonyl, 1-ethyl-1-methyl-propoxycarbonyl or 1-ethyl-2-methylpropoxycarbonyl;

- C_1–C_4–alkylthio: for example methylthio, ethylthio, propylthio, 1–methylethylthio, butylthio, 1–methylpropylthio, 2–methylpropylthio and 1,1–dimethylethylthio;

- C_1-C_6-alkylthio: C_1-C_4-alkylthio as mentioned above, and also, for example, pentylthio, 1-methylbutylthio, 2-methylbutylthio, 3-methylbutylthio, 2,2-dimethylpropylthio, 1-ethylpropylthio, hexylthio, 1,1-dimethylpropylthio, 1,2-dimethylpropylthio, 1-methylpentylthio, 2-methylpentylthio, 3-methylpentylthio, 4-methylpentylthio, 1,1-dimethylbutylthio, 1,2-dimethylbutylthio, 1,3-dimethylbutylthio, 2,2-dimethylbutylthio, 2,3-dimethylbutylthio, 3,3-dimethylbutylthio, 1-ethylbutylthio, 2-ethylbutylthio, 1,1,2-trimethylpropylthio, 1,2,2-trimethylpropylthio, 1-ethyl-1-methylpropylthio and 1- ethyl-2-methylpropylthio;

- C_1-C_6-alkylamino and the alkylamino radicals of N–(C_1–C_6–alkylamino)imino–C_1–C_6–alkyl: for example methylamino, ethylamino, propylamino, 1-methylethylamino, butylamino, 1-methylpropylamino, 2-methylpropylamino, 1,1-dimethylethylamino, pentylamino, 1-methylbutylamino, 2-methylbutylamino, 3-methylbutylamino, 2,2-dimethylpropylamino, 1-ethylpropylamino, hexylamino, 1,1-dimethylpropylamino, 1,2-dimethylpropylamino, 1-methylpentylamino, 2-methylpentylamino, 3-methylpentylamino, 4-methylpentylamino, 1,1-dimethylbutylamino, 1,2-dimethylbutylamino, 1,3-dimethylbutylamino, 2,2-dimethylbutylamino, 2,3-dimethylbutylamino, 3,3-dimethylbutylamino, 1-ethylbutylamino, 2-ethylbutylamino, 1,1,2-trimethylpropylamino, 1,2,2-trimethylpropylamino, 1-ethyl-1-methylpropylamino or 1-ethyl-2-methylpropylamino;

- di(C_1-C_4-alkyl)amino: for example N,N-dimethylamino, N,N-diethylamino, N,N-dipropylamino, N,N-di(1-methylethyl)amino, N,N-dibutylamino, N,N-di(1-methylpropyl)amino, N,N-di(2-methylpropyl)amino, N,N-di(1,1-dimethylethyl)amino, N-ethyl-N-methylamino, N-methyl-N-propylamino, N-methyl-N-(1-methylethyl)amino, N-butyl-N-methylamino, N-methyl-N-(1-methylpropyl)amino, N-methyl-N-(2-methylpropyl)amino, N-(1,1-dimethylethyl)-N-methylamino, N-ethyl-N-propylamino, N-ethyl-N-(1-methylethyl)amino, N-butyl-N-ethylamino, N-ethyl-N-(1-methylpropyl)amino, N-ethyl-N-(2-methylpropyl)amino, N-ethyl-N-(1,1-dimethylethyl)amino, N-(1-methylethyl)-N-propylamino, N-butyl-N-propylamino, N-(1-methylpropyl)-N-propylamino, N-(2-methylpropyl)-N-propylamino, N-(1,1-dimethylethyl)-N-propylamino, N-butyl-N-(1-methylethyl)amino, N-(1-methylethyl)-N-(1-methylpropyl)amino, N-(1-methylethyl)-N-(2-methylpropyl)amino, N-(1,1-dimethylethyl)-N-(1-methylethyl)amino, N-butyl-N-(1-methylpropyl)amino, N-butyl-N-(2-

methylpropyl)amino, N-butyl-N-(1,1-dimethylethyl)amino, N-(1-methylpropyl)-N-(2-methylpropyl)amino, N-(1,1-dimethylethyl)-N-(1-methylpropyl)amino and N-(1,1-dimethylethyl)-N-(2-methylpropyl)amino;

- di(C_1-C_6-alkyl)amino and the dialkylamino radicals of N–(di–C_1–C_6–alkylamino)imino–C_1–C_6–alkyl: di(C_1-C_4-alkyl)amino as mentioned above, and also, for example, N,N-dipentylamino, N,N-dihexylamino, N-methyl-N-pentylamino, N-ethyl-N-pentylamino, N-methyl-N-hexylamino and N-ethyl-N-hexylamino;

- (C_1–C_4–alkylamino)carbonyl and the (alkylamino)carbonyl moieties of (C_1–C_4–alkylaminocarbonyl)oxy-C_1–C_4–alkyl, (C_1–C_4–alkylamino)carbonylamino: for example methylaminocarbonyl, ethylaminocarbonyl, propylaminocarbonyl, 1–methylethylaminocarbonyl, butylaminocarbonyl, 1–methylpropylaminocarbonyl, 2–methylpropylaminocarbonyl or 1,1–dimethylethylaminocarbonyl;

- di(C_1-C_4-alkyl)aminocarbonyl and the di(C_1–C_4)alkylaminocarbonyl moieties of [di(C_1-C_4-alkyl)aminocarbonyl]oxy-C_1-C_4-alkyl, [di(C_1-C_4-alkyl)amino]carbonyl-amino: for example N,N-dimethylaminocarbonyl, N,N-diethylaminocarbonyl, N,N-di(1-methylethyl)aminocarbonyl, N,N-dipropylaminocarbonyl, N,N-dibutylaminocarbonyl, N,N-di(1-methylpropyl)aminocarbonyl, N,N-di(2-methylpropyl)aminocarbonyl, N,N-di(1,1-dimethylethyl)aminocarbonyl, N-ethyl-N-methylaminocarbonyl, N-methyl-N-propylaminocarbonyl, N-methyl-N-(1-methylethyl)aminocarbonyl, N-butyl-N-methylaminocarbonyl, N-methyl-N-(1-methylpropyl)aminocarbonyl, N-methyl-N-(2-methylpropyl)aminocarbonyl, N-(1,1-dimethylethyl)-N-methylaminocarbonyl, N-ethyl-N-propylaminocarbonyl, N-ethyl-N-(1-methylethyl)aminocarbonyl, N-butyl-N-ethylaminocarbonyl, N-ethyl-N-(1-methylpropyl)aminocarbonyl, N-ethyl-N-(2-methylpropyl)aminocarbonyl, N-ethyl-N-(1,1-dimethylethyl)aminocarbonyl, N-(1-methylethyl)-N-propylaminocarbonyl, N-butyl-N-propylaminocarbonyl, N-(1-methylpropyl)-N-propylaminocarbonyl, N-(2-methylpropyl)-N-propylaminocarbonyl, N-(1,1-dimethylethyl)-N-propylaminocarbonyl, N-butyl-N-(1-methylethyl)aminocarbonyl, N-(1-methylethyl)-N-(1-methylpropyl)aminocarbonyl, N-(1-methylethyl)-N-(2-methylpropyl)aminocarbonyl, N-(1,1-dimethylethyl)-N-(1-methylethyl)aminocarbonyl, N-butyl-N-(1-methylpropyl)aminocarbonyl, N-butyl-N-(2-methylpropyl)aminocarbonyl, N-butyl-N-(1,1-dimethylethyl)aminocarbonyl, N-(1-methylpropyl)-N-(2-methylpropyl)aminocarbonyl, N-(1,1-dimethylethyl)-N-(1-

methylpropyl)aminocarbonyl or N-(1,1-dimethylethyl)-N-(2-methylpropyl)aminocarbonyl;

- (C_1–C_6–alkylamino)carbonyl: (C_1–C_4–alkylamino)carbonyl as mentioned above, and also, for example, pentylaminocarbonyl, 1-methylbutylaminocarbonyl, 2-methylbutylaminocarbonyl, 3-methylbutylaminocarbonyl, 2,2-dimethylpropylaminocarbonyl, 1-ethylpropylaminocarbonyl, hexylaminocarbonyl, 1,1-dimethylpropylaminocarbonyl, 1,2-dimethylpropylaminocarbonyl, 1-methylpentylaminocarbonyl, 2-methylpentylaminocarbonyl, 3-methylpentylaminocarbonyl, 4-methylpentylaminocarbonyl, 1,1-dimethylbutylaminocarbonyl, 1,2-dimethylbutylaminocarbonyl, 1,3-dimethylbutylaminocarbonyl, 2,2-dimethylbutylaminocarbonyl, 2,3-dimethylbutylaminocarbonyl, 3,3-dimethylbutylaminocarbonyl, 1-ethylbutylaminocarbonyl, 2-ethylbutylaminocarbonyl, 1,1,2-trimethylpropylaminocarbonyl, 1,2,2-trimethylpropylaminocarbonyl, 1-ethyl-1-methylpropylaminocarbonyl or 1-ethyl-2-methylpropylaminocarbonyl;

- di–(C_1–C_6–alkyl)aminocarbonyl: di–(C_1–C_4–alkyl)aminocarbonyl as mentioned above, and also, for example, N–methyl–N–pentylaminocarbonyl, N–methyl–N–(1-methylbutyl)aminocarbonyl, N–methyl–N–(2-methylbutyl)aminocarbonyl, N–methyl–N–(3-methylbutyl)aminocarbonyl, N–methyl–N–(2,2-dimethylpropyl)aminocarbonyl, N–methyl–N–(1-ethylpropyl)aminocarbonyl, N–methyl–N–hexylaminocarbonyl, N–methyl–N–(1,1-dimethylpropyl)aminocarbonyl, N–methyl–N–(1,2-dimethylpropyl)aminocarbonyl, N–methyl–N–(1-methylpentyl)aminocarbonyl, N–methyl–N–(2-methylpentyl)aminocarbonyl, N–methyl–N–(3-methylpentyl)aminocarbonyl, N–methyl–N–(4-methylpentyl)aminocarbonyl, N–methyl–N–(1,1-dimethylbutyl)aminocarbonyl, N–methyl–N–(1,2-dimethylbutyl)aminocarbonyl, N–methyl–N–(1,3-dimethylbutyl)aminocarbonyl, N–methyl–N–(2,2-dimethylbutyl)aminocarbonyl, N–methyl–N–(2,3-dimethylbutyl)aminocarbonyl, N–methyl–N–(3,3-dimethylbutyl)aminocarbonyl, N–methyl–N–(1-ethylbutyl)aminocarbonyl, N–methyl–N–(2-ethylbutyl)aminocarbonyl, N–methyl–N–(1,1,2-trimethylpropyl)aminocarbonyl, N–methyl–N–(1,2,2-trimethylpropyl)aminocarbonyl, N–methyl–N–(1-ethyl-1-methylpropyl)aminocarbonyl, N–methyl–N–(1-ethyl-2-methylpropyl)aminocarbonyl, N–ethyl–N–pentylaminocarbonyl, N–ethyl–N–(1-methylbutyl)aminocarbonyl, N–ethyl–N–(2-methylbutyl)aminocarbonyl, N–ethyl–

N–(3-methylbutyl)aminocarbonyl, N–ethyl–N–(2,2-dimethylpropyl)aminocarbonyl, N–ethyl–N–(1-ethylpropyl)aminocarbonyl, N–ethyl–N–hexylaminocarbonyl, N–ethyl–N–(1,1-dimethylpropyl)aminocarbonyl, N–ethyl–N–(1,2-dimethylpropyl)aminocarbonyl, N–ethyl–N–(1-methylpentyl)aminocarbonyl, N–ethyl–N–(2-methylpentyl)aminocarbonyl, N–ethyl–N–(3-methylpentyl)aminocarbonyl, N–ethyl–N–(4-methylpentyl)aminocarbonyl, N–ethyl–N–(1,1–dimethylbutyl)aminocarbonyl, N–ethyl–N–(1,2-dimethylbutyl)aminocarbonyl, N–ethyl–N–(1,3-dimethylbutyl)aminocarbonyl, N–ethyl–N–(2,2-dimethylbutyl)aminocarbonyl, N–ethyl–N–(2,3-dimethylbutyl)aminocarbonyl, N–ethyl–N–(3,3-dimethylbutyl)aminocarbonyl, N–ethyl–N–(1-ethylbutyl)aminocarbonyl, N–ethyl–N–(2-ethylbutyl)aminocarbonyl, N–ethyl–N–(1,1,2-trimethylpropyl)aminocarbonyl, N–ethyl–N–(1,2,2-trimethylpropyl)aminocarbonyl, N–ethyl–N– (1-ethyl-1-methylpropyl)aminocarbonyl, N–ethyl–N–(1-ethyl-2-methylpropyl)aminocarbonyl, N–propyl–N–pentylaminocarbonyl, N–butyl–N–pentylaminocarbonyl, N,N–dipentylaminocarbonyl, N–propyl–N–hexylaminocarbonyl, N–butyl–N–hexylaminocarbonyl, N–pentyl–N–hexylaminocarbonyl or N,N–dihexylaminocarbonyl;

- di(C_1-C_6-alkyl)aminothiocarbonyl: for example N,N-dimethylaminothiocarbonyl, N,N-diethylaminothiocarbonyl, N,N-di(1-methylethyl)aminothiocarbonyl, N,N–dipropylaminothiocarbonyl, N,N-dibutylaminothiocarbonyl, N,N-di(1-methylpropyl)aminothiocarbonyl, N,N-di(2-methylpropyl)aminothiocarbonyl, N,N-di(1,1-dimethylethyl)aminothiocarbonyl, N-ethyl-N-methylaminothiocarbonyl, N-methyl-N-propylaminothiocarbonyl, N-methyl-N-(1-methylethyl)aminothiocarbonyl, N-butyl-N-methylaminothiocarbonyl, N-methyl-N-(1-methylpropyl)aminothiocarbonyl, N-methyl-N-(2-methylpropyl)aminothiocarbonyl, N-(1,1-dimethylethyl)-N-methylaminothiocarbonyl, N–ethyl–N-propylaminothiocarbonyl, N-ethyl-N-(1-methylethyl)aminothiocarbonyl, N-butyl-N-ethylaminothiocarbonyl, N–ethyl-N-(1-methylpropyl)aminothiocarbonyl, N–ethyl–N–(2–methylpropyl)aminothiocarbonyl, N-ethyl-N-(1,1-dimethylethyl)aminothiocarbonyl, N-(1-methylethyl)-N-propylaminothiocarbonyl, N-butyl-N-propylaminothiocarbonyl, N-(1-methylpropyl)-N-propylaminothiocarbonyl, N-(2-methylpropyl)-N-propylaminothiocarbonyl, N-(1,1-dimethylethyl)-N-propylaminothiocarbonyl, N-butyl-N–(1-methylethyl)aminothiocarbonyl, N-(1-methylethyl)-N-(1-methylpropyl)aminothiocarbonyl, N-(1-methylethyl)-N-(2-

methylpropyl)aminothiocarbonyl, N-(1,1-dimethylethyl)-N-(1-methylethyl)aminothiocarbonyl, N-butyl-N-(1-methylpropyl)aminothiocarbonyl, N-butyl–N-(2-methylpropyl)aminothiocarbonyl, N-butyl–N-(1,1-dimethylethyl)aminothiocarbonyl, N-(1-methylpropyl)-N-(2-methylpropyl)aminothiocarbonyl, N-(1,1-dimethylethyl)-N-(1-methylpropyl)aminothiocarbonyl, N-(1,1-dimethylethyl)-N-(2-methylpropyl)aminothiocarbonyl, N–methyl–N–pentylaminothiocarbonyl, N–methyl–N–(1-methylbutyl)aminothiocarbonyl, N–methyl–N–(2-methylbutyl)aminothiocarbonyl, N–methyl–N–(3-methylbutyl)aminothiocarbonyl, N–methyl–N–(2,2-dimethylpropyl)aminothiocarbonyl, N–methyl–N–(1-ethylpropyl)aminothiocarbonyl, N–methyl–N–hexylaminothiocarbonyl, N–methyl–N–(1,1-dimethylpropyl)aminothiocarbonyl, N–methyl–N–(1,2-dimethylpropyl)aminothiocarbonyl, N–methyl–N–(1-methylpentyl)-aminothiocarbonyl, N–methyl–N–(2-methylpentyl)aminothiocarbonyl, N–methyl–N–(3-methylpentyl)aminothiocarbonyl, N–methyl–N–(4-methylpentyl)-aminothiocarbonyl, N–methyl–N–(1,1-dimethylbutyl)aminothiocarbonyl, N–methyl–N–(1,2-dimethylbutyl)aminothiocarbonyl, N–methyl–N–(1,3-dimethylbutyl)aminothiocarbonyl, N–methyl–N–(2,2-dimethylbutyl)-aminothiocarbonyl, N–methyl–N–(2,3-dimethylbutyl)aminothiocarbonyl, N–methyl–N–(3,3-dimethylbutyl)aminothiocarbonyl, N–methyl–N–(1-ethylbutyl)aminothiocarbonyl, N–methyl–N–(2-ethylbutyl)aminothiocarbonyl, N–methyl–N–ethyl–N–(1,1,2-trimethylpropyl)aminothiocarbonyl, N–methyl–N–(1,2,2-trimethylpropyl)aminothiocarbonyl, N–methyl–N–(1-ethyl-1-methylpropyl)aminothiocarbonyl, N–methyl–N–(1-ethyl-2-methylpropyl)-aminothiocarbonyl, N–ethyl–N–pentylaminothiocarbonyl, N–ethyl–N–(1-methylbutyl)aminothiocarbonyl, N–ethyl–N–(2-methylbutyl)aminothiocarbonyl, N–ethyl–N–(3-methylbutyl)aminothiocarbonyl, N–ethyl–N–(2,2-dimethylpropyl)aminothiocarbonyl, N–ethyl–N–(1-ethylpropyl)aminothiocarbonyl, N–ethyl–N–hexylaminothiocarbonyl, N–ethyl–N–(1,1-dimethylpropyl)amino-thiocarbonyl, N–ethyl–N–(1,2-dimethylpropyl)aminothiocarbonyl, N–ethyl–N–(1-methylpentyl)aminothiocarbonyl, N–ethyl–N–(2-methylpentyl)aminothiocarbonyl, N–ethyl–N–(3-methylpentyl)aminothiocarbonyl, N–ethyl–N–(4-methylpentyl)-aminothiocarbonyl, N–ethyl–N–(1,1–dimethylbutyl)aminothiocarbonyl, N–ethyl–N–(1,2-dimethylbutyl)aminothiocarbonyl, N–ethyl–N–(1,3-dimethylbutyl)-aminothiocarbonyl, N–ethyl–N–(2,2-dimethylbutyl)aminothiocarbonyl, N–ethyl–N–(2,3-dimethylbutyl)aminothiocarbonyl, N–ethyl–N–(3,3-dimethylbutyl)amino-thiocarbonyl, N–ethyl–N–(1-ethylbutyl)aminothiocarbonyl, N–ethyl–N–(2-

ethylbutyl)aminothiocarbonyl, N–ethyl–N–(1,1,2-trimethylpropyl)-aminothiocarbonyl, N–ethyl–N–(1,2,2-trimethylpropyl)aminothiocarbonyl, N–ethyl–N–(1-ethyl-1-methylpropyl)aminothiocarbonyl, N–ethyl–N–(1-ethyl-2-methylpropyl)aminothiocarbonyl, N–propyl–N–pentylaminothiocarbonyl, N–butyl–N–pentylaminothiocarbonyl, N,N–dipentylaminothiocarbonyl, N–propyl–N–hexylaminothiocarbonyl, N–butyl–N–hexylaminothiocarbonyl, N–pentyl–N–hexylaminothiocarbonyl or N,N–dihexylaminothiocarbonyl;

heterocyclyl and the heterocyclyl moieties of heterocyclyl–C_1–C_6–alkyl, heterocyclylcarbonyl, heterocyclylcarbonyl-C_1-C_6-alkyl, heterocyclyloxycarbonyl, heterocyclylaminocarbonyl, heterocyclylsulfonylaminocarbonyl, N-(C_1-C_6-alkyl)-N-(heterocyclyl)aminocarbonyl and heterocyclyl-C_1-C_6-alkylcarbonyl:
a saturated, partially unsaturated or aromatic 5– or 6–membered heterocyclic ring which contains one to four identical or different heteroatoms selected from the group consisting of oxygen, sulfur and nitrogen and which may be attached via carbon or nitrogen, for example

5–membered saturated rings attached via carbon, such as tetrahydrofuran–2–yl, tetrahydrofuran–3–yl, tetrahydrothien–2–yl, tetrahydrothien–3–yl, tetrahydropyrrol–2–yl, tetrahydropyrrol–3–yl, tetrahydropyrazol–3–yl, tetrahydropyrazol–4–yl, tetrahydroisoxazol–3–yl, tetrahydroisoxazol–4–yl, tetrahydroisoxazol–5–yl, 1,2–oxathiolan–3–yl, 1,2–oxathiolan–4–yl, 1,2–oxathiolan–5–yl, tetrahydroisothiazol–3–yl, tetrahydroisothiazol–4–yl, tetrahydroisothiazol–5–yl, 1,2–dithiolan–3–yl, 1,2–dithiolan–4–yl, tetrahydroimidazol–2–yl, tetrahydroimidazol–4–yl, tetrahydrooxazol–2–yl, tetrahydrooxazol–4–yl, tetrahydrooxazol–5–yl, tetrahydrothiazol–2–yl, tetrahydrothiazol–4–yl, tetrahydrothiazol–5–yl, 1,3–dioxolan–2–yl, 1,3–dioxolan–4–yl, 1,3–oxathiolan–2–yl, 1,3–oxathiolan–4–yl, 1,3–oxathiolan–5–yl, 1,3–dithiolan–2–yl, 1,3–dithiolan–4–yl, 1,3,2–dioxathiolan–4–yl;

5–membered saturated rings which are attached via nitrogen, such as: tetrahydropyrrol–1–yl, tetrahydropyrazol–1–yl, tetrahydroisoxazol–2–yl, tetrahydroisothiazol–2–yl, tetrahydroimidazol–1–yl, tetrahydrooxazol–3–yl, tetrahydrothiazol–3–yl;

5–membered partially unsaturated rings which are attached via carbon, such as:

2,3–dihydrofuran–2–yl, 2,3–dihydrofuran–3–yl, 2,5–dihydrofuran–2–yl, 2,5–dihydrofuran–3–yl, 4,5–dihydrofuran–2–yl, 4,5–dihydrofuran–3–yl, 2,3–dihydrothien–2–yl, 2,3–dihydrothien–3–yl, 2,5–dihydrothien–2–yl, 2,5–dihydrothien–3–yl, 4,5–dihydrothien–2–yl, 4,5–dihydrothien–3–yl, 2,3–dihydro–1H–pyrrol–2–yl, 2,3–dihydro–1H–pyrrol–3–yl, 2,5–dihydro–1H–pyrrol–2–yl, 2,5–dihydro–1H–pyrrol–3–yl, 4,5–dihydro–1H–pyrrol–2–yl, 4,5–dihydro–1H–pyrrol–3–yl, 3,4–dihydro–2H–pyrrol–2–yl, 3,4–dihydro–2H–pyrrol–3–yl, 3,4–dihydro–5H–pyrrol–2–yl, 3,4–dihydro–5H–pyrrol–3–yl, 4,5–dihydro–1H–pyrazol–3–yl, 4,5–dihydro–1H–pyrazol–4–yl, 4,5–dihydro–1H–pyrazol–5–yl, 2,5–dihydro–1H–pyrazol–3–yl, 2,5–dihydro–1H–pyrazol–4–yl, 2,5–dihydro–1H–pyrazol–5–yl, 4,5–dihydroisoxazol–3–yl, 4,5–dihydroisoxazol–4–yl, 4,5–dihydroisoxazol–5–yl, 2,5–dihydroisoxazol–3–yl, 2,5–dihydroisoxazol–4–yl, 2,5–dihydroisoxazol–5–yl, 2,3–dihydroisoxazol–3–yl, 2,3–dihydroisoxazol–4–yl, 2,3–dihydroisoxazol–5–yl, 4,5–dihydroisothiazol–3–yl, 4,5–dihydroisothiazol–4–yl, 4,5–dihydroisothiazol–5–yl, 2,5–dihydroisothiazol–3–yl, 2,5–dihydroisothiazol–4–yl, 2,5–dihydroisothiazol–5–yl, 2,3–dihydroisothiazol–3–yl, 2,3–dihydroisothiazol–4–yl, 2,3–dihydroisothiazol–5–yl, Δ^3–1,2–dithiol–3–yl, Δ^3–1,2–dithiol–4–yl, Δ^3–1,2–dithiol–5–yl, 4,5–dihydro–1H–imidazol–2–yl, 4,5–dihydro–1H–imidazol–4–yl, 4,5–dihydro–1H–imidazol–5–yl, 2,5–dihydro–1H–imidazol–2–yl, 2,5–dihydro–1H–imidazol–4–yl, 2,5–dihydro–1H–imidazol–5–yl, 2,3–dihydro–1H–imidazol–2–yl, 2,3–dihydro–1H–imidazol–4–yl, 4,5–dihydrooxazol–2–yl, 4,5–dihydrooxazol–4–yl, 4,5–dihydrooxazol–5–yl, 2,5–dihydrooxazol–2–yl, 2,5–dihydrooxazol–4–yl, 2,5–dihydrooxazol–5–yl, 2,3–dihydrooxazol–2–yl, 2,3–dihydrooxazol–4–yl, 2,3–dihydrooxazol–5–yl, 4,5–dihydrothiazol–2–yl, 4,5–dihydrothiazol–4–yl, 4,5–dihydrothiazol–5–yl, 2,5–dihydrothiazol–2–yl, 2,5–dihydrothiazol–4–yl, 2,5–dihydrothiazol–5–yl, 2,3–dihydrothiazol–2–yl, 2,3–dihydrothiazol–4–yl, 2,3–dihydrothiazol–5–yl, 1,3–dioxol–2–yl, 1,3–dioxol–4–yl, 1,3–dithiol–2–yl, 1,3–dithiol–4–yl, 1,3–oxathiol–2–yl, 1,3–oxathiol–4–yl, 1,3–oxathiol–5–yl, 1,2,3–Δ^2–oxadiazolin–4–yl, 1,2,3–Δ^2–oxadiazolin–5–yl, 1,2,4–Δ^4–oxadiazolin–3–yl, 1,2,4–Δ^4–oxadiazolin–5–yl, 1,2,4–Δ^2–oxadiazolin–3–yl, 1,2,4–Δ^2–oxadiazolin–5–yl, 1,2,4–Δ^3–oxadiazolin–3–yl, 1,2,4–Δ^3–oxadiazolin–5–yl, 1,3,4–Δ^2–oxadiazolin–2–yl, 1,3,4–Δ^2–oxadiazolin–5–yl, 1,3,4–Δ^3–oxadiazolin–2–yl, 1,3,4–oxadiazolin–2–yl, 1,2,4–Δ^4–thiadiazolin–3–yl, 1,2,4–Δ^4–thiadiazolin–5–yl, 1,2,4–Δ^3–thiadiazolin–3–yl, 1,2,4–Δ^3–thiadiazolin–5–yl, 1,2,4–Δ^2–thiadiazolin–3–yl, 1,2,4–Δ^2–thiadiazolin–5–yl, 1,3,4–Δ^2–thiadiazolin–2–yl, 1,3,4–Δ^2–thiadiazolin–5–yl, 1,3,4–Δ^3–thiadiazolin–2–yl, 1,3,4–thiadiazolin–2–yl, 1,2,3–Δ^2–triazolin–4–yl, 1,2,3–Δ^2–triazolin–5–yl, 1,2,4–Δ^2–triazolin–3–yl, 1,2,4–Δ^2–triazolin–5–yl, 1,2,4–Δ^3–triazolin–3–yl, 1,2,4–Δ^3–triazolin–

5–yl, 1,2,4–Δ^1–triazolin–2–yl, 1,2,4–triazolin–3–yl, 3H–1,2,4–dithiazol–5–yl, 2H–1,3,4–dithiazol–5–yl, 2H–1,3,4–oxathiazol–5–yl;

5–membered partially unsaturated rings attached via nitrogen, such as: 2,3–dihydro–1H–pyrrol–1–yl, 2,5–dihydro–1H–pyrrol–1–yl, 4,5–dihydro–1H–pyrazol–1–yl, 2,5–dihydro–1H–pyrazol–1–yl, 2,3–dihydro–1H–pyrazol–1–yl, 2,5–dihydroisoxazol–2–yl, 2,3–dihydroisoxazol–2–yl, 2,5–dihydroisothiazol–2–yl, 2,3–dihydroisoxazol–2–yl, 4,5–dihydro–1H–imidazol–1–yl, 2,5–dihydro–1H–imidazol–1–yl, 2,3–dihydro–1H–imidazol–1–yl, 2,3–dihydrooxazol–3–yl, 2,3–dihydrothiazol–3–yl, 1,2,4–Δ^4–oxadiazolin–2–yl, 1,2,4–Δ^2–oxadiazolin–4–yl, 1,2,4–Δ^3–oxadiazolin–2–yl, 1,3,4–Δ^2–oxadiazolin–4–yl, 1,2,4–Δ^5–thiadiazolin–2–yl, 1,2,4–Δ^3–thiadiazolin–2–yl, 1,2,4–Δ^2–thiadiazolin–4–yl, 1,3,4–Δ^2–thiadiazolin–4–yl, 1,2,3–Δ^2–triazolin–1–yl, 1,2,4–Δ^2–triazolin–1–yl, 1,2,4–Δ^2–triazolin–4–yl, 1,2,4–Δ^3–triazolin–1–yl, 1,2,4–Δ^1–triazolin–4–yl;

5–membered aromatic rings which are attached via carbon, such as: 2–furyl, 3–furyl, 2–thienyl, 3–thienyl, pyrrol–2–yl, pyrrol–3–yl, pyrazol–3–yl, pyrazol–4–yl, isoxazol–3–yl, isoxazol–4–yl, isoxazol–5–yl, isothiazol–3–yl, isothiazol–4–yl, isothiazol–5–yl, imidazol–2–yl, imidazol–4–yl, oxazol–2–yl, oxazol–4–yl, oxazol–5–yl, thiazol–2–yl, thiazol–4–yl, thiazol–5–yl, 1,2,3–oxadiazol–4–yl, 1,2,3–oxadiazol–5–yl, 1,2,4–oxadiazol–3–yl, 1,2,4–oxadiazol–5–yl, 1,3,4–oxadiazol–2–yl, 1,2,3–thiadiazol–4–yl, 1,2,3–thiadiazol–5–yl, 1,2,4–thiadiazol–3–yl, 1,2,4–thiadiazol–5–yl, 1,3,4–thiadiazolyl–2–yl, 1,2,3–triazol–4–yl, 1,2,4–triazol–3–yl, tetrazol–5–yl;

5–membered aromatic rings which are attached via nitrogen, such as: pyrrol–1–yl, pyrazol–1–yl, imidazol–1–yl, 1,2,3–triazol–1–yl, 1,2,4–triazol–1–yl, tetrazol–1–yl;

6–membered saturated rings which are attached via carbon, such as: tetrahydropyran–2–yl, tetrahydropyran–3–yl, tetrahydropyran–4–yl, piperidin–2–yl, piperidin–3–yl, piperidin–4–yl, tetrahydrothiopyran–2–yl, tetrahydrothiopyran–3–yl, tetrahydrothiopyran–4–yl, 1,3–dioxan–2–yl, 1,3–dioxan–4–yl, 1,3–dioxan–5–yl, 1,4–dioxan–2–yl, 1,3–dithian–2–yl, 1,3–dithian–4–yl, 1,3–dithian–5–yl, 1,4–dithian–2–yl, 1,3–oxathian–2–yl, 1,3–oxathian–4–yl, 1,3–oxathian–5–yl, 1,3–oxathian–6–yl, 1,4–oxathian–2–yl, 1,4–oxathian–3–yl, 1,2–dithian–3–yl, 1,2–dithian–4–yl, hexahydropyrimidin–2–yl, hexahydropyrimidin–4–yl,

hexahydropyrimidin–5–yl, hexahydropyrazin–2–yl, hexahydropyridazin–3–yl, hexahydropyridazin–4–yl, tetrahydro–1,3–oxazin–2–yl, tetrahydro–1,3–oxazin–4–yl, tetrahydro–1,3–oxazin–5–yl, tetrahydro–1,3–oxazin–6–yl, tetrahydro–1,3–thiazin–2–yl, tetrahydro–1,3–thiazin–4–yl, tetrahydro–1,3–thiazin–5–yl, tetrahydro–1,3–thiazin–6–yl, tetrahydro–1,4–thiazin–2–yl, tetrahydro–1,4–thiazin–3–yl, tetrahydro–1,4–oxazin–2–yl, tetrahydro–1,4–oxazin–3–yl, tetrahydro–1,2–oxazin–3–yl, tetrahydro–1,2–oxazin–4–yl, tetrahydro–1,2–oxazin–5–yl, tetrahydro–1,2–oxazin–6–yl;

6–membered saturated rings which are attached via nitrogen, such as: piperidin–1–yl, hexahydropyrimidin–1–yl, hexahydropyrazin–1–yl, hexahydropyridazin–1–yl, tetrahydro–1,3–oxazin–3–yl, tetrahydro–1,3–thiazin–3–yl, tetrahydro–1,4–thiazin–4–yl, tetrahydro–1,4–oxazin–4–yl, tetrahydro–1,2–oxazin–2–yl;

6–membered partially unsaturated rings which are attached via carbon, such as: 2H–3,4–dihydropyran–6–yl, 2H–3,4–dihydropyran–5–yl, 2H–3,4–dihydropyran–4–yl, 2H–3,4–dihydropyran–3–yl, 2H–3,4–dihydropyran–2–yl, 2H–3,4–dihydropyran–6–yl, 2H–3,4–dihydrothiopyran–5–yl, 2H–3,4–dihydrothiopyran–4–yl, 2H–3,4–dihydropyran–3–yl, 2H–3,4–dihydropyran–2–yl, 1,2,3,4–tetrahydropyridin–6–yl, 1,2,3,4–tetrahydropyridin–5–yl, 1,2,3,4–tetrahydropyridin–4–yl, 1,2,3,4–tetrahydropyridin–3–yl, 1,2,3,4–tetrahydropyridin–2–yl, 2H–5,6–dihydropyran–2–yl, 2H–5,6–dihydropyran–3–yl, 2H–5,6–dihydropyran–4–yl, 2H–5,6–dihydropyran–5–yl, 2H–5,6–dihydropyran–6–yl, 2H–5,6–dihydrothiopyran–2–yl, 2H–5,6–dihydrothiopyran–3–yl, 2H–5,6–dihydrothiopyran–4–yl, 2H–5,6–dihydrothiopyran–5–yl, 2H–5,6–dihydrothiopyran–6–yl, 1,2,5,6–tetrahydropyridin–2–yl, 1,2,5,6–tetrahydropyridin–3–yl, 1,2,5,6–tetrahydropyridin–4–yl, 1,2,5,6–tetrahydropyridin–5–yl, 1,2,5,6–tetrahydropyridin–6–yl, 2,3,4,5–tetrahydropyridin–2–yl, 2,3,4,5–tetrahydropyridin–3–yl, 2,3,4,5–tetrahydropyridin–4–yl, 2,3,4,5–tetrahydropyridin–5–yl, 2,3,4,5–tetrahydropyridin–6–yl, 4H–pyran–2–yl, 4H–pyran–3–yl, 4H–pyran–4–yl, 4H–thiopyran–2–yl, 4H–thiopyran–3–yl, 4H–thiopyran–4–yl, 1,4–dihydropyridin–2–yl, 1,4–dihydropyridin–3–yl, 1,4–dihydropyridin–4–yl, 2H–pyran–2–yl, 2H–pyran–3–yl, 2H–pyran–4–yl, 2H–pyran–5–yl, 2H–pyran–6–yl, 2H–thiopyran–2–yl, 2H–thiopyran–3–yl, 2H–thiopyran–4–yl, 2H–thiopyran–5–yl, 2H–thiopyran–6–yl, 1,2–dihydropyridin–2–yl, 1,2–dihydropyridin–3–yl, 1,2–dihydropyridin–4–yl, 1,2–dihydropyridin–5–yl, 1,2–dihydropyridin–6–yl, 3,4–dihydropyridin–2–yl, 3,4–dihydropyridin–3–yl, 3,4–

dihydropyridin-4–yl, 3,4–dihydropyridin–5–yl, 3,4–dihydropyridin–6–yl, 2,5–dihydropyridin–2–yl, 2,5–dihydropyridin–3–yl, 2,5–dihydropyridin–4–yl, 2,5–dihydropyridin–5–yl, 2,5–dihydropyridin–6–yl, 2,3–dihydropyridin–2–yl, 2,3–dihydropyridin–3–yl, 2,3–dihydropyridin–4–yl, 2,3–dihydropyridin–5–yl, 2,3–dihydropyridin–6–yl, 2H–5,6–dihydro–1,2–oxazin–3–yl, 2H–5,6–dihydro–1,2–oxazin–4–yl, 2H–5,6–dihydro–1,2–oxazin–5–yl, 2H–5,6–dihydro–1,2–oxazin–6–yl, 2H–5,6–dihydro–1,2–thiazin–3–yl, 2H–5,6–dihydro–1,2–thiazin–4–yl, 2H–5,6–dihydro–1,2–thiazin–5–yl, 2H–5,6–dihydro–1,2–thiazin–6–yl, 4H–5,6–dihydro–1,2–oxazin–3–yl, 4H–5,6–dihydro–1,2–oxazin–4–yl, 4H–5,6–dihydro–1,2–oxazin–5–yl, 4H–5,6–dihydro–1,2–oxazin–6–yl, 4H–5,6–dihydro–1,2–thiazin–3–yl, 4H–5,6–dihydro–1,2–thiazin–4–yl, 4H–5,6–dihydro–1,2–thiazin–5–yl, 4H–5,6–dihydro–1,2–thiazin–6–yl, 2H–3,6–dihydro–1,2–oxazin–3–yl, 2H–3,6–dihydro–1,2–oxazin–4–yl, 2H–3,6–dihydro–1,2–oxazin–5–yl, 2H–3,6–dihydro–1,2–oxazin–6–yl, 2H–3,6–dihydro–1,2–thiazin–3–yl, 2H–3,6–dihydro–1,2–thiazin–4–yl, 2H–3,6–dihydro–1,2–thiazin–5–yl, 2H–3,6–dihydro–1,2–thiazin–6–yl, 2H–3,4–dihydro–1,2–oxazin–3–yl, 2H–3,4–dihydro–1,2–oxazin–4–yl, 2H–3,4–dihydro–1,2–oxazin–5–yl, 2H–3,4–dihydro–1,2–oxazin–6–yl, 2H–3,4–dihydro–1,2–thiazin–3–yl, 2H–3,4–dihydro–1,2–thiazin–4–yl, 2H–3,4–dihydro–1,2–thiazin–5–yl, 2H–3,4–dihydro–1,2–thiazin–6–yl, 2,3,4,5–tetrahydropyridazin–3–yl, 2,3,4,5–tetrahydropyridazin–4–yl, 2,3,4,5–tetrahydropyridazin–5–yl, 2,3,4,5–tetrahydropyridazin–6–yl, 3,4,5,6–tetrahydropyridazin–3–yl, 3,4,5,6–tetrahydropyridazin–4–yl, 1,2,5,6–tetrahydropyridazin–3–yl, 1,2,5,6–tetrahydropyridazin–4–yl, 1,2,5,6–tetrahydropyridazin–5–yl, 1,2,5,6–tetrahydropyridazin–6–yl, 1,2,3,6–tetrahydropyridazin–3–yl, 1,2,3,6–tetrahydropyridazin–4–yl, 4H–5,6–dihydro–1,3–oxazin–2–yl, 4H–5,6–dihydro–1,3–oxazin–4–yl, 4H–5,6–dihydro–1,3–oxazin–5–yl, 4H–5,6–dihydro–1,3–oxazin–6–yl, 4H–5,6–dihydro–1,3–thiazin–2–yl, 4H–5,6–dihydro–1,3–thiazin–4–yl, 4H–5,6–dihydro–1,3–thiazin–5–yl, 4H–5,6–dihydro–1,3–thiazin–6–yl, 3,4,5,6–tetrahydropyrimidin–2–yl, 3,4,5,6–tetrahydropyrimidin–4–yl, 3,4,5,6–tetrahydropyrimidin–5–yl, 3,4,5,6–tetrahydropyrimidin–6–yl, 1,2,3,4–tetrahydropyrazin–2–yl, 1,2,3,4–tetrahydropyrazin–5–yl, 1,2,3,4–tetrahydropyrimidin–2–yl, 1,2,3,4–tetrahydropyrimidin–4–yl, 1,2,3,4–tetrahydropyrimidin–5–yl, 1,2,3,4–tetrahydropyrimidin–6–yl, 2,3–dihydro–1,4–thiazin–2–yl, 2,3–dihydro–1,4–thiazin–3–yl, 2,3–dihydro–1,4–thiazin–5–yl, 2,3–dihydro–1,4–thiazin–6–yl, 2H–1,2–oxazin–3–yl, 2H–1,2–oxazin–4–yl, 2H–1,2–oxazin–5–yl, 2H–1,2–oxazin–6–yl, 2H–1,2–thiazin–3–yl, 2H–1,2–thiazin–4–yl, 2H–1,2–thiazin–5–yl, 2H–1,2–thiazin–6–yl, 4H–1,2–oxazin–3–yl, 4H–1,2–oxazin–4–yl, 4H–1,2–oxazin–5–yl,

4H–1,2–oxazin–6–yl, 4H–1,2–thiazin–3–yl, 4H–1,2–thiazin–4–yl, 4H–1,2–thiazin–5–yl, 4H–1,2–thiazin–6–yl, 6H–1,2–oxazin–3–yl, 6H–1,2–oxazin–4–yl, 6H–1,2–oxazin–5–yl, 6H–1,2–oxazin–6–yl, 6H–1,2–thiazin–3–yl, 6H–1,2–thiazin–4–yl, 6H–1,2–thiazin–5–yl, 6H–1,2–thiazin–6–yl, 2H–1,3–oxazin–2–yl, 2H–1,3–oxazin–4–yl, 2H–1,3–oxazin–5–yl, 2H–1,3–oxazin–6–yl, 2H–1,3–thiazin–2–yl, 2H–1,3–thiazin–4–yl, 2H–1,3–thiazin–5–yl, 2H–1,3–thiazin–6–yl, 4H–1,3–oxazin–2–yl, 4H–1,3–oxazin–4–yl, 4H–1,3–oxazin–5–yl, 4H–1,3–oxazin–6–yl, 4H–1,3–thiazin–2–yl, 4H–1,3–thiazin–4–yl, 4H–1,3–thiazin–5–yl, 4H–1,3–thiazin–6–yl, 6H–1,3–oxazin–2–yl, 6H–1,3–oxazin–4–yl, 6H–1,3–oxazin–5–yl, 6H–1,3–oxazin–6–yl, 6H–1,3–thiazin–2–yl, 6H–1,3–oxazin–4–yl, 6H–1,3–oxazin–5–yl, 6H–1,3–thiazin–6–yl, 2H–1,4–oxazin–2–yl, 2H–1,4–oxazin–3–yl, 2H–1,4–oxazin–5–yl, 2H–1,4–oxazin–6–yl, 2H–1,4–thiazin–2–yl, 2H–1,4–thiazin–3–yl, 2H–1,4–thiazin–5–yl, 2H–1,4–thiazin–6–yl, 4H–1,4–oxazin–2–yl, 4H–1,4–oxazin–3–yl, 4H–1,4–thiazin–2–yl, 4H–1,4–thiazin–3–yl, 1,4–dihydropyridazin–3–yl, 1,4–dihydropyridazin–4–yl, 1,4–dihydropyridazin–5–yl, 1,4–dihydropyridazin–6–yl, 1,4–dihydropyrazin–2–yl, 1,2–dihydropyrazin–2–yl, 1,2–dihydropyrazin–3–yl, 1,2–dihydropyrazin–5–yl, 1,2–dihydropyrazin–6–yl, 1,4–dihydropyrimidin–2–yl, 1,4–dihydropyrimidin–4–yl, 1,4–dihydropyrimidin–5–yl, 1,4–dihydropyrimidin–6–yl, 3,4–dihydropyrimidin–2–yl, 3,4–dihydropyrimidin–4–yl, 3,4–dihydropyrimidin–5–yl or 3,4–dihydropyrimidin–6–yl;

6–membered partially unsaturated rings which are attached via nitrogen, such as: 1,2,3,4–tetrahydropyridin–1–yl, 1,2,5,6–tetrahydropyridin–1–yl, 1,4–dihydropyridin–1–yl, 1,2–dihydropyridin–1–yl, 2H–5,6–dihydro–1,2–oxazin–2–yl, 2H–5,6–dihydro–1,2–thiazin–2–yl, 2H–3,6–dihydro–1,2–oxazin–2–yl, 2H–3,6–dihydro–1,2–thiazin–2–yl, 2H–3,4–dihydro–1,2–oxazin–2–yl, 2H–3,4–dihydro–1,2–thiazin–2–yl, 2,3,4,5–tetrahydropyridazin–2–yl, 1,2,5,6–tetrahydropyridazin–1–yl, 1,2,5,6–tetrahydropyridazin–2–yl, 1,2,3,6–tetrahydropyridazin–1–yl, 3,4,5,6–tetrahydropyrimidin–3–yl, 1,2,3,4–tetrahydropyrazin–1–yl, 1,2,3,4–tetrahydropyrimidin–1–yl, 1,2,3,4–tetrahydropyrimidin–3–yl, 2,3–dihydro–1,4–thiazin–4–yl, 2H–1,2–oxazin–2–yl, 2H–1,2–thiazin–2–yl, 4H–1,4–oxazin–4–yl, 4H–1,4–thiazin–4–yl, 1,4–dihydropyridazin–1–yl, 1,4–dihydropyrazin–1–yl, 1,2–dihydropyrazin–1–yl, 1,4–dihydropyrimidin–1–yl or 3,4–dihydropyrimidin–3–yl;

6–membered aromatic rings which are attached via carbon, such as: pyridin–2–yl, pyridin–3–yl, pyridin–4–yl, pyridazin–3–yl, pyridazin–4–yl, pyrimidin–2–yl, pyrimidin–4–yl, pyrimidin–5–yl, pyrazin–2–yl, 1,3,5–triazin–2–yl,

1,2,4–triazin–3–yl, 1,2,4–triazin–5–yl, 1,2,4–triazin–6–yl, 1,2,4,5–tetrazin–3–yl;

it being possible for a bicyclic ring system to be formed with a fused-on phenyl ring or with a C_3–C_6–carbocycle or a further 5– or 6–membered heterocycle.

All phenyl rings and heterocyclyl rings and all phenyl components in phenyl–C_1–C_6–alkyl, phenylcarbonyl, phenylcarbonyl–C_1–C_6–alkyl, phenoxycarbonyl, phenylaminocarbonyl, phenylsulfonylaminocarbonyl, N–(C_1–C_6–alkyl)–N–phenylaminocarbonyl and phenyl-C_1-C_6-alkylcarbonyl, and all heterocyclyl components in heterocyclyl–C_1–C_6–alkyl, heterocyclylcarbonyl, heterocyclylcarbonyl–C_1–C_6–alkyl, heterocyclyloxycarbonyl, heterocyclylaminocarbonyl, heterocyclylsulfonylaminocarbonyl, N-(C_1–C_6–alkyl)–N–heterocyclylaminocarbonyl and heterocyclyl-C_1-C_6-alkylcarbonyl are, unless indicated otherwise, preferably unsubstituted or carry one to three halogen atoms and/or one nitro group, one cyano radical and/or one or two methyl, trifluoromethyl, methoxy or trifluoromethoxy substituents.

In a particular embodiment, the variables of the compounds of the formula I have the following meanings which, both on their own and in combination with one another, are particular embodiments of the compounds of the formula I:

Preference is given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^1 is halogen, C_1-C_4-alkyl or C_1-C_6-haloalkyl;
particularly preferably halogen or C_1-C_6-haloalkyl;
especially preferably halogen or C_1-C_4-haloalkyl;
most preferably fluorine, chlorine or CF_3.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^2 is hydrogen, halogen, NO_2, C_1-C_4-alkyl or C_1-C_6-haloalkyl;
very preferably hydrogen, halogen, NO_2 or C_1-C_6-haloalkyl;
particularly preferably hydrogen, halogen, NO_2 or C_1-C_4-haloalkyl;
especially preferably hydrogen, fluorine, chlorine, NO_2 or CF_3;
most preferably hydrogen, fluorine, chlorine or NO_2;
with utmost preference hydrogen, fluorine or NO_2.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^2 and R^3 independently of one another are

hydrogen, halogen, C_1-C_4-alkyl or C_1-C_6-haloalkyl;

very preferably hydrogen, halogen or C_1-C_6-haloalkyl;

particularly preferably hydrogen, halogen or C_1-C_4-haloalkyl;

especially preferably hydrogen, fluorine, chlorine or CF_3;

most preferably hydrogen, fluorine or chlorine;

with utmost preference hydrogen or fluorine.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^4 is hydrogen, halogen, C_1-C_4-alkyl or C_1-C_4-haloalkyl;

particularly preferably hydrogen, halogen or C_1-C_4-alkyl;

especially preferably hydrogen or halogen;

most preferably hydrogen.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^5 is hydrogen, halogen, C_1-C_4-alkyl or C_1-C_4-haloalkyl;

particularly preferably hydrogen, halogen or C_1-C_4-alkyl;

especially preferably hydrogen or halogen;

most preferably hydrogen.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^6 is hydrogen; and

R^7 is hydrogen or hydroxyl;

particularly preferably hydrogen.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^8 is C_1-C_6-alkyl or C_1-C_6-haloalkyl;

particularly preferably C_1-C_6-alkyl;

especially preferably C_1-C_4-alkyl;
most preferably CH_3.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^9 is OR^{16} or SR^{17};
particularly preferably OR^{16}.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^9 is OR^{16} or $NR^{18}R^{19}$;
particularly preferably $NR^{18}R^{19}$.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^9 is SR^{16} or $NR^{18}R^{19}$;
particularly preferably SR^{16}.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^{10} is hydrogen or C_1-C_4-alkyl;
preferably hydrogen or CH_3;
especially preferably hydrogen.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^{11} is hydrogen, halogen, C_1-C_6-alkyl, hydroxyl, C_1-C_6-alkoxy, hydroxy-C_1-C_4-alkyl, C_1-C_6-alkoxy-C_1-C_4-alkyl, tri(C_1-C_6-alkyl)silyloxy-C_1-C_4-alkyl, (hydroxycarbonyl)-C_1-C_4-alkoxy, (C_1-C_4-alkoxycarbonyl)-C_1-C_4-alkoxy, [di(C_1-C_4-alkyl)aminocarbonyl]oxy-C_1-C_4-alkyl, C_1-C_4-alkylsulfonylamino, C_1-C_4-haloalkylsulfonylamino, (C_1-C_4-alkylcarbonyl)amino or phenyl, where the phenyl radical may carry one to three radicals from the following group: halogen, nitro, C_1-C_4-alkyl, C_1-C_4-haloalkyl, hydroxycarbonyl and C_1-C_6-alkoxycarbonyl;

particularly preferably hydrogen, halogen, C_1-C_6-alkyl, hydroxy-C_1-C_4-alkyl, (hydroxycarbonyl)-C_1-C_4-alkoxy, (C_1-C_4-alkoxycarbonyl)-C_1-C_4-alkoxy or (C_1-C_4-alkylcarbonyl)amino;

especially preferably hydrogen, halogen, C_1-C_4-alkyl, hydroxy-C_1-C_4-alkyl, (hydroxycarbonyl)-C_1-C_4-alkoxy, (C_1-C_4-alkoxycarbonyl)-C_1-C_4-alkoxy or (C_1-C_4-alkylcarbonyl)amino;

very preferably hydrogen, fluorine, chlorine, bromine, CH_3, hydroxy-C_1-C_4-alkyl, (hydroxycarbonyl)-C_1-C_4-alkoxy, (C_1-C_4-alkoxycarbonyl)-C_1-C_4-alkoxy or (C_1-C_6-alkylcarbonyl)amino;

most preferably hydrogen, fluorine, CH_3, hydroxy-C_1-C_4-alkyl, (hydroxycarbonyl)-C_1-C_4-alkoxy, (C_1-C_4-alkoxycarbonyl)-C_1-C_4-alkoxy or (C_1-C_6-alkylcarbonyl)amino.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^{11} is hydrogen, halogen, C_1-C_6-alkyl, hydroxyl or C_1-C_6-alkoxy;
particularly preferably hydrogen, halogen or C_1-C_6-alkyl;
especially preferably hydrogen, halogen or C_1-C_4-alkyl;
very preferably hydrogen, fluorine, chlorine, bromine or CH_3;
most preferably hydrogen, fluorine or CH_3.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^{12} is hydrogen, halogen, C_1-C_6-alkyl, C_1-C_6-haloalkyl or (C_1-C_4-alkylcarbonyl)-amino;
particularly preferably hydrogen, halogen, C_1-C_6-alkyl or (C_1-C_4-alkylcarbonyl)-amino;
especially preferably hydrogen, halogen, C_1-C_4-alkyl or (C_1-C_4-alkylcarbonyl)-amino.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^{12} is hydrogen, halogen, C_1-C_6-alkyl or C_1-C_6-haloalkyl;
particularly preferably hydrogen, halogen or C_1-C_6-alkyl;
especially preferably hydrogen, halogen or C_1-C_4-alkyl;
most preferably hydrogen or halogen;

with utmost preference hydrogen, fluorine or chlorine.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^{13}, R^{14} and R^{15} in each case independently of one another are

hydrogen, halogen, cyano, C_1-C_4-alkyl or C_1-C_4-haloalkyl;

particularly preferably hydrogen, halogen or cyano;

especially preferably hydrogen, fluorine or chlorine;

most preferably hydrogen.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^{16}, R^{17} and R^{18} in each case independently of one another are

hydrogen, C_1-C_6-alkyl, C_3-C_6-alkenyl, C_3-C_6-alkynyl, C_1-C_6-alkylcarbonyl, C_2-C_6-alkenylcarbonyl, C_3-C_6-cycloalkylcarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-alkylaminocarbonyl, C_1-C_6-alkylsulfonylaminocarbonyl, di(C_1-C_6-alkyl)aminocarbonyl, N-(C_1-C_6-alkoxy)-N-(C_1-C_6-alkyl)aminocarbonyl, di(C_1-C_6-alkyl)aminothiocarbonyl, C_1-C_6-alkoxyimino-C_1-C_6-alkyl,

where the alkyl, cycloalkyl and alkoxy radicals mentioned may be partially or fully halogenated and/or may carry one to three of the following groups: cyano, hydroxyl, C_3-C_6-cycloalkyl, C_1-C_4-alkoxy, C_1-C_4-alkylthio, di(C_1-C_4-alkyl)amino, C_1-C_4-alkylcarbonyl, hydroxycarbonyl, C_1-C_4-alkoxycarbonyl, aminocarbonyl, C_1-C_4-alkylaminocarbonyl, di(C_1-C_4-alkyl)aminocarbonyl, or C_1-C_4-alkylcarbonyloxy;

phenyl, phenyl-C_1-C_6-alkyl, phenylcarbonyl, phenylcarbonyl-C_1-C_6-alkyl, phenylsulfonylaminocarbonyl or phenyl-C_1-C_6-alkylcarbonyl,

where the phenyl radical of the 6 last-mentioned substituents may be partially or fully halogenated and/or may carry one to three of the following groups: nitro, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy or C_1-C_4-haloalkoxy; or

SO_2R^{20};

particularly preferably hydrogen, C_1-C_6-alkyl, C_3-C_6-alkenyl, C_3-C_6-alkynyl, C_1-C_6-alkylcarbonyl, C_2-C_6-alkenylcarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-

alkylsulfonylaminocarbonyl, di(C_1-C_6-alkyl)aminocarbonyl, N-(C_1-C_6-alkoxy)-N-(C_1-C_6-alkyl)aminocarbonyl or di(C_1-C_6-alkyl)aminothiocarbonyl,

where the alkyl or alkoxy radicals mentioned may be partially or fully halogenated and/or may carry one to three of the following groups: cyano, C_1-C_4-alkoxy, C_1-C_4-alkoxycarbonyl, C_1-C_4-alkylaminocarbonyl, di(C_1-C_4-alkyl)aminocarbonyl or C_1-C_4-alkylcarbonyloxy;

phenyl-C_1-C_6-alkyl, phenylcarbonyl, phenylcarbonyl-C_1-C_6-alkyl, phenylsulfonylaminocarbonyl or phenyl-C_1-C_6-alkylcarbonyl,

where the phenyl ring of the 5 last-mentioned substituents may be partially or fully halogenated and/or may carry one to three of the following groups: nitro, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy or C_1-C_4-haloalkoxy; or

SO_2R^{20};

especially preferably hydrogen, C_1-C_6-alkyl, C_3-C_6-alkenyl, C_3-C_6-alkynyl, C_1-C_6-alkylcarbonyl, C_2-C_6-alkenylcarbonyl, C_1-C_6-alkoxycarbonyl, di(C_1-C_6-alkyl)aminocarbonyl, N-(C_1-C_6-alkoxy)-N-(C_1-C_6-alkyl)aminocarbonyl, di(C_1-C_6-alkyl)aminothiocarbonyl, phenyl-C_1-C_6-alkyl, phenylcarbonyl, phenylcarbonyl-C_1-C_6-alkyl or phenyl-C_1-C_6-alkylcarbonyl,

where the phenyl ring of the 4 last-mentioned substituents may be partially or fully halogenated and/or may carry one to three of the following groups: nitro, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy or C_1-C_4-haloalkoxy; or

SO_2R^{20}.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^{16}, R^{17} and R^{18} in each case independently of one another are

hydrogen, C_1-C_6-alkyl, C_3-C_6-alkenyl, C_3-C_6-alkynyl, C_1-C_6-alkylcarbonyl, C_2-C_6-alkenylcarbonyl, C_3-C_6-cycloalkylcarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-alkylaminocarbonyl, di(C_1-C_6-alkyl)aminocarbonyl, N-(C_1-C_6-alkoxy)-N-(C_1-C_6-alkyl)aminocarbonyl, di(C_1-C_6-alkyl)aminothiocarbonyl, C_1-C_6-alkoxyimino-C_1-C_6-alkyl, where the alkyl, cycloalkyl or alkoxy radicals mentioned may be partially or fully halogenated and/or may carry one to three of the following groups:

cyano, hydroxyl, C_3-C_6-cycloalkyl, C_1-C_4-alkoxy, C_1-C_4-alkylthio, di(C_1-C_4-alkyl)amino, C_1-C_4-alkylcarbonyl, hydroxycarbonyl, C_1-C_4-alkoxycarbonyl,

aminocarbonyl, C_1-C_4-alkylaminocarbonyl, di(C_1-C_4-alkyl)aminocarbonyl or C_1-C_4-alkylcarbonyloxy; or

SO_2R^{20}.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which R^{16} and R^{18} in each case independently of one another are

hydrogen, C_1-C_6-alkyl, C_3-C_6-alkenyl, C_3-C_6-alkynyl, C_1-C_6-alkylcarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_6–alkylaminocarbonyl, di(C_1-C_6-alkyl)aminocarbonyl, N-(C_1-C_6-alkoxy)-N-(C_1-C_6-alkyl)aminocarbonyl,

where the alkyl and alkoxy radicals mentioned may be partially or fully halogenated and/or may carry one to three of the following groups: cyano, C_1-C_4-alkoxy, C_1-C_4-alkylaminocarbonyl or di(C_1-C_4-alkyl)aminocarbonyl;

phenyl-C_1-C_6-alkyl, phenylcarbonyl, phenylcarbonyl-C_1-C_6-alkyl, phenylaminocarbonyl, N-(C_1-C_6-alkyl)-N-(phenyl)aminocarbonyl or heterocyclylcarbonyl,

where the phenyl and the heterocyclyl radical of the 6 last-mentioned substituents may be partially or fully halogenated and/or may carry one to three of the following groups: cyano, C_1-C_4-alkyl or C_1-C_4-haloalkyl; or

SO_2R^{20};

particularly preferably hydrogen, C_1-C_4-alkyl, C_3-C_4-alkenyl, C_3-C_4-alkynyl, C_1-C_4-alkylcarbonyl, C_1-C_4-alkoxycarbonyl, C_1-C_4–alkylaminocarbonyl, di-(C_1-C_4-alkyl)aminocarbonyl, N-(C_1-C_4-alkoxy)-N-(C_1-C_4-alkyl)aminocarbonyl,

where the alkyl and alkoxy radicals mentioned may be partially or fully halogenated and/or may carry one to three of the following groups: cyano, C_1-C_4-alkoxy, C_1-C_4-alkylaminocarbonyl or di(C_1-C_4-alkyl)aminocarbonyl;

phenyl-C_1-C_4-alkyl, phenylcarbonyl, phenylcarbonyl-C_1-C_4-alkyl, phenylaminocarbonyl, N-(C_1-C_4-alkyl)-N-(phenyl)aminocarbonyl or heterocyclylcarbonyl,

where the phenyl and the heterocyclyl radical of the 6 last-mentioned substituents may be partially or fully halogenated and/or may carry one to three of the following groups: cyano, C_1-C_4-alkyl or C_1-C_4-haloalkyl; or

SO_2R^{20};

especially preferably hydrogen or C_1-C_4-alkyl,

where the alkyl radical mentioned may be partially or fully halogenated and/or may carry one to three of the following groups: cyano, C_1-C_4-alkoxy, C_1-C_4-alkylaminocarbonyl or di(C_1-C_4-alkyl)aminocarbonyl;

phenyl-C_1-C_4-alkyl, phenylcarbonyl, phenylcarbonyl-C_1-C_4-alkyl, phenylaminocarbonyl, N-(C_1-C_4-alkyl)-N-(phenyl)aminocarbonyl or heterocyclylcarbonyl, or

SO_2R^{20};

most preferably hydrogen, C_1-C_4-alkylcarbonyl, C_1-C_6-alkylaminocarbonyl, di(C_1-C_4-alkyl)aminocarbonyl, phenylaminocarbonyl, N(C_1-C_4-alkyl)-N-(phenyl)-aminocarbonyl, SO_2CH_3, SO_2CF_3 or $SO_2(C_6H_5)$.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^{17} is hydrogen, C_1-C_6-alkylcarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_6–alkylaminocarbonyl, di(C_1-C_6-alkyl)aminocarbonyl or N-(C_1-C_6-alkoxy)-N-(C_1-C_6-alkyl)aminocarbonyl,

where the alkyl and alkoxy radicals mentioned may be partially or fully halogenated and/or may carry one to three of the following groups: cyano or C_1-C_4-alkoxy;

particularly preferably hydrogen, C_1-C_4-alkylcarbonyl, C_1-C_4-alkoxycarbonyl, C_1-C_4–alkylaminocarbonyl, di(C_1-C_4-alkyl)aminocarbonyl or N-(C_1-C_4-alkoxy)-N-(C_1-C_4-alkyl)aminocarbonyl,

where the alkyl and alkoxy radicals mentioned may be partially or fully halogenated and/or may carry one to three of the following groups: cyano or C_1-C_4-alkoxy;

especially preferably hydrogen, C_1-C_4-alkylcarbonyl, C_1-C_4-alkoxycarbonyl, C_1-C_4–alkylaminocarbonyl, di(C_1-C_4-alkyl)aminocarbonyl, N-(C_1-C_4-alkoxy)-N-(C_1-C_4-alkyl)aminocarbonyl.

Preference is likewise given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^{19} is hydrogen, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_3-C_6-alkenyl or C_3-C_6-alkynyl,

where the 4 last-mentioned radicals may be partially or fully halogenated and/or may carry one to three of the following groups: cyano, hydroxyl, C_3-C_6-cycloalkyl, C_1-C_4-alkoxy, C_1-C_4-alkylthio, di(C_1-C_4-alkyl)amino, C_1-C_4-alkylcarbonyl, hydroxycarbonyl, C_1-C_4-alkoxycarbonyl, aminocarbonyl, C_1-C_4-alkylaminocarbonyl, di(C_1-C_4-alkyl)aminocarbonyl or C_1-C_4-alkylcarbonyloxy;

phenyl or phenyl-C_1-C_6-alkyl, where the phenyl ring of the 2 last-mentioned substituents may be partially or fully halogenated and/or may carry one to three of the following groups: nitro, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy or C_1-C_4-haloalkoxy;

particularly preferably hydrogen, C_1-C_6-alkyl, C_3-C_6-alkenyl or C_3-C_6-alkynyl, where the 3 radicals mentioned may be partially or fully halogenated and/or may carry one to three of the following groups: cyano, C_1-C_4-alkoxy, C_1-C_4-alkoxycarbonyl, C_1-C_4-alkylaminocarbonyl, di(C_1-C_4-alkyl)aminocarbonyl or C_1-C_4-alkylcarbonyloxy;

phenyl or phenyl-C_1-C_4-alkyl, where the phenyl ring of the 2 last-mentioned substituents may be partially or fully halogenated and/or may carry one to three of the following groups: nitro, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy or C_1-C_4-haloalkoxy;

especially preferably hydrogen or C_1-C_6-alkyl, where the alkyl radical may be partially or fully halogenated;

phenyl or phenyl-C_1-C_4-alkyl, where the phenyl ring of the 2 last-mentioned substituents may be partially or fully halogenated and/or may carry one to three of the following groups: cyano, C_1-C_4-alkyl or C_1-C_4-haloalkyl;

most preferably hydrogen or C_1-C_4-alkyl.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^{20} is C_1-C_6-alkyl, C_1-C_6-haloalkyl or phenyl, where the phenyl radical may be partially or partly halogenated and/or may be substituted by C_1-C_4-alkyl; particularly preferably C_1-C_4-alkyl, C_1-C_4-haloalkyl or phenyl; especially preferably methyl, trifluoromethyl or phenyl.

Preference is also given to the benzoyl-substituted phenylalanineamides of the formula I in which

R^1 is fluorine, chlorine or CF_3,

R^2 and R^3 independently of one another are hydrogen, fluorine or chlorine,

R^4, R^5, R^6 and R^7 are hydrogen,

R^8 is C_1-C_4-alkyl,
particularly preferably CH_3;

R^9 is OR^{16}, SR^{17} or $NR^{18}R^{19}$,

R^{10} is hydrogen;

R^{11} is hydrogen, halogen, cyano or C_1-C_4-alkyl,
particularly preferably hydrogen, fluorine or CH_3;

R^{12} is hydrogen, halogen or cyano,
particularly preferably hydrogen, fluorine or chlorine;

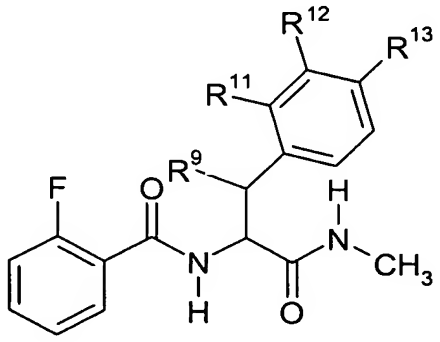
R^{13}, R^{14} and R^{15} independently of one another are hydrogen, fluorine or chlorine,
particularly preferably hydrogen;

R^{16} and R^{18} independently of one another are hydrogen, C_1-C_4-alkylcarbonyl, C_1-C_4-alkylaminocarbonyl, di(C_1-C_4-alkyl)aminocarbonyl, phenylaminocarbonyl, N-(C_1-C_4-alkyl)-N-(phenyl)aminocarbonyl, SO_2CH_3, SO_2CF_3 or $SO_2(C_6H_5)$;

R^{17} is hydrogen, C_1-C_4-alkylcarbonyl, C_1-C_4-alkoxycarbonyl, C_1-C_4-alkylaminocarbonyl, di(C_1-C_4-alkyl)aminocarbonyl, N-(C_1-C_4-alkoxy)-N-(C_1-C_4-alkyl)aminocarbonyl; and

R^{19} is hydrogen or C_1-C_4-alkyl.

Most preference is given to compounds of the formula I.a.1 (corresponds to formula I where R^1= F; R^2, R^3, R^4, R^5, R^6, R^7, R^{10}, R^{14}, R^{15} = H; R^8 = CH_3), in particular to the compounds of the formulae I.a.1.1 to I.a.1.558 of Table 1, where the definitions of the variables R^1 to R^{20} are of particular importance for the compounds according to the invention not only in combination with one another but in each case also on their own.



I.a.1

Table 1

No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.1	OH	H	H	H
I.a.1.2	OH	H	H	F
I.a.1.3	OH	H	F	H
I.a.1.4	OH	H	F	F
I.a.1.5	OH	H	Cl	H
I.a.1.6	OH	H	Cl	F
I.a.1.7	OH	F	H	H
I.a.1.8	OH	F	H	F
I.a.1.9	OH	F	F	H
I.a.1.10	OH	F	F	F
I.a.1.11	OH	F	Cl	H
I.a.1.12	OH	F	Cl	F
I.a.1.13	OH	CH_3	H	H
I.a.1.14	OH	CH_3	H	F
I.a.1.15	OH	CH_3	F	H
I.a.1.16	OH	CH_3	F	F
I.a.1.17	OH	CH_3	Cl	H
I.a.1.18	OH	CH_3	Cl	F
I.a.1.19	$OC(O)CH_3$	H	H	H
I.a.1.20	$OC(O)CH_3$	H	H	F
I.a.1.21	$OC(O)CH_3$	H	F	H
I.a.1.22	$OC(O)CH_3$	H	F	F
I.a.1.23	$OC(O)CH_3$	H	Cl	H
I.a.1.24	$OC(O)CH_3$	H	Cl	F
I.a.1.25	$OC(O)CH_3$	F	H	H
I.a.1.26	$OC(O)CH_3$	F	H	F
I.a.1.27	$OC(O)CH_3$	F	F	H
I.a.1.28	$OC(O)CH_3$	F	F	F
I.a.1.29	$OC(O)CH_3$	F	Cl	H
I.a.1.30	$OC(O)CH_3$	F	Cl	F
I.a.1.31	$OC(O)CH_3$	CH_3	H	H
I.a.1.32	$OC(O)CH_3$	CH_3	H	F
I.a.1.33	$OC(O)CH_3$	CH_3	F	H
I.a.1.34	$OC(O)CH_3$	CH_3	F	F

No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.35	$OC(O)CH_3$	CH_3	Cl	H
I.a.1.36	$OC(O)CH_3$	CH_3	Cl	F
I.a.1.37	$OC(O)tertC_4H_9$	H	H	H
I.a.1.38	$OC(O)tertC_4H_9$	H	H	F
I.a.1.39	$OC(O)tertC_4H_9$	H	F	H
I.a.1.40	$OC(O)tertC_4H_9$	H	F	F
I.a.1.41	$OC(O)tertC_4H_9$	H	Cl	H
I.a.1.42	$OC(O)tertC_4H_9$	H	Cl	F
I.a.1.43	$OC(O)tertC_4H_9$	F	H	H
I.a.1.44	$OC(O)tertC_4H_9$	F	H	F
I.a.1.45	$OC(O)tertC_4H_9$	F	F	H
I.a.1.46	$OC(O)tertC_4H_9$	F	F	F
I.a.1.47	$OC(O)tertC_4H_9$	F	Cl	H
I.a.1.48	$OC(O)tertC_4H_9$	F	Cl	F
I.a.1.49	$OC(O)tertC_4H_9$	CH_3	H	H
I.a.1.50	$OC(O)tertC_4H_9$	CH_3	H	F
I.a.1.51	$OC(O)tertC_4H_9$	CH_3	F	H
I.a.1.52	$OC(O)tertC_4H_9$	CH_3	F	F
I.a.1.53	$OC(O)tertC_4H_9$	CH_3	Cl	H
I.a.1.54	$OC(O)tertC_4H_9$	CH_3	Cl	F
I.a.1.55	$OC(O)NH(CH_3)$	H	H	H
I.a.1.56	$OC(O)NH(CH_3)$	H	H	F
I.a.1.57	$OC(O)NH(CH_3)$	H	F	H
I.a.1.58	$OC(O)NH(CH_3)$	H	F	F
I.a.1.59	$OC(O)NH(CH_3)$	H	Cl	H
I.a.1.60	$OC(O)NH(CH_3)$	H	Cl	F
I.a.1.61	$OC(O)NH(CH_3)$	F	H	H
I.a.1.62	$OC(O)NH(CH_3)$	F	H	F
I.a.1.63	$OC(O)NH(CH_3)$	F	F	H
I.a.1.64	$OC(O)NH(CH_3)$	F	F	F
I.a.1.65	$OC(O)NH(CH_3)$	F	Cl	H
I.a.1.66	$OC(O)NH(CH_3)$	F	Cl	F
I.a.1.67	$OC(O)NH(CH_3)$	CH_3	H	H
I.a.1.68	$OC(O)NH(CH_3)$	CH_3	H	F
I.a.1.69	$OC(O)NH(CH_3)$	CH_3	F	H

No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.70	$OC(O)NH(CH_3)$	CH_3	F	F
I.a.1.71	$OC(O)NH(CH_3)$	CH_3	Cl	H
I.a.1.72	$OC(O)NH(CH_3)$	CH_3	Cl	F
I.a.1.73	$OC(O)NH(C_6H_5)$	H	H	H
I.a.1.74	$OC(O)NH(C_6H_5)$	H	H	F
I.a.1.75	$OC(O)NH(C_6H_5)$	H	F	H
I.a.1.76	$OC(O)NH(C_6H_5)$	H	F	F
I.a.1.77	$OC(O)NH(C_6H_5)$	H	Cl	H
I.a.1.78	$OC(O)NH(C_6H_5)$	H	Cl	F
I.a.1.79	$OC(O)NH(C_6H_5)$	F	H	H
I.a.1.80	$OC(O)NH(C_6H_5)$	F	H	F
I.a.1.81	$OC(O)NH(C_6H_5)$	F	F	H
I.a.1.82	$OC(O)NH(C_6H_5)$	F	F	F
I.a.1.83	$OC(O)NH(C_6H_5)$	F	Cl	H
I.a.1.84	$OC(O)NH(C_6H_5)$	F	Cl	F
I.a.1.85	$OC(O)NH(C_6H_5)$	CH_3	H	H
I.a.1.86	$OC(O)NH(C_6H_5)$	CH_3	H	F
I.a.1.87	$OC(O)NH(C_6H_5)$	CH_3	F	H
I.a.1.88	$OC(O)NH(C_6H_5)$	CH_3	F	F
I.a.1.89	$OC(O)NH(C_6H_5)$	CH_3	Cl	H
I.a.1.90	$OC(O)NH(C_6H_5)$	CH_3	Cl	F
I.a.1.91	$OC(O)N(CH_3)_2$	H	H	H
I.a.1.92	$OC(O)N(CH_3)_2$	H	H	F
I.a.1.93	$OC(O)N(CH_3)_2$	H	F	H
I.a.1.94	$OC(O)N(CH_3)_2$	H	F	F
I.a.1.95	$OC(O)N(CH_3)_2$	H	Cl	H
I.a.1.96	$OC(O)N(CH_3)_2$	H	Cl	F
I.a.1.97	$OC(O)N(CH_3)_2$	F	H	H
I.a.1.98	$OC(O)N(CH_3)_2$	F	H	F
I.a.1.99	$OC(O)N(CH_3)_2$	F	F	H
I.a.1.100	$OC(O)N(CH_3)_2$	F	F	F
I.a.1.101	$OC(O)N(CH_3)_2$	F	Cl	H
I.a.1.102	$OC(O)N(CH_3)_2$	F	Cl	F
I.a.1.103	$OC(O)N(CH_3)_2$	CH_3	H	H
I.a.1.104	$OC(O)N(CH_3)_2$	CH_3	H	F

No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.105	$OC(O)N(CH_3)_2$	CH_3	F	H
I.a.1.106	$OC(O)N(CH_3)_2$	CH_3	F	F
I.a.1.107	$OC(O)N(CH_3)_2$	CH_3	Cl	H
I.a.1.108	$OC(O)N(CH_3)_2$	CH_3	Cl	F
I.a.1.109	$OC(O)N(CH_3)(C_6H_5)$	H	H	H
I.a.1.110	$OC(O)N(CH_3)(C_6H_5)$	H	H	F
I.a.1.111	$OC(O)N(CH_3)(C_6H_5)$	H	F	H
I.a.1.112	$OC(O)N(CH_3)(C_6H_5)$	H	F	F
I.a.1.113	$OC(O)N(CH_3)(C_6H_5)$	H	Cl	H
I.a.1.114	$OC(O)N(CH_3)(C_6H_5)$	H	Cl	F
I.a.1.115	$OC(O)N(CH_3)(C_6H_5)$	F	H	H
I.a.1.116	$OC(O)N(CH_3)(C_6H_5)$	F	H	F
I.a.1.117	$OC(O)N(CH_3)(C_6H_5)$	F	F	H
I.a.1.118	$OC(O)N(CH_3)(C_6H_5)$	F	F	F
I.a.1.119	$OC(O)N(CH_3)(C_6H_5)$	F	Cl	H
I.a.1.120	$OC(O)N(CH_3)(C_6H_5)$	F	Cl	F
I.a.1.121	$OC(O)N(CH_3)(C_6H_5)$	CH_3	H	H
I.a.1.122	$OC(O)N(CH_3)(C_6H_5)$	CH_3	H	F
I.a.1.123	$OC(O)N(CH_3)(C_6H_5)$	CH_3	F	H
I.a.1.124	$OC(O)N(CH_3)(C_6H_5)$	CH_3	F	F
I.a.1.125	$OC(O)N(CH_3)(C_6H_5)$	CH_3	Cl	H
I.a.1.126	$OC(O)N(CH_3)(C_6H_5)$	CH_3	Cl	F
I.a.1.127	OSO_2CH_3	H	H	H
I.a.1.128	OSO_2CH_3	H	H	F
I.a.1.129	OSO_2CH_3	H	F	H
I.a.1.130	OSO_2CH_3	H	F	F
I.a.1.131	OSO_2CH_3	H	Cl	H
I.a.1.132	OSO_2CH_3	H	Cl	F
I.a.1.133	OSO_2CH_3	F	H	H
I.a.1.134	OSO_2CH_3	F	H	F
I.a.1.135	OSO_2CH_3	F	F	H
I.a.1.136	OSO_2CH_3	F	F	F
I.a.1.137	OSO_2CH_3	F	Cl	H
I.a.1.138	OSO_2CH_3	F	Cl	F
I.a.1.139	OSO_2CH_3	CH_3	H	H

No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.140	OSO_2CH_3	CH_3	H	F
I.a.1.141	OSO_2CH_3	CH_3	F	H
I.a.1.142	OSO_2CH_3	CH_3	F	F
I.a.1.143	OSO_2CH_3	CH_3	Cl	H
I.a.1.144	OSO_2CH_3	CH_3	Cl	F
I.a.1.145	SH	H	H	H
I.a.1.146	SH	H	H	F
I.a.1.147	SH	H	F	H
I.a.1.148	SH	H	F	F
I.a.1.149	SH	H	Cl	H
I.a.1.150	SH	H	Cl	F
I.a.1.151	SH	F	H	H
I.a.1.152	SH	F	H	F
I.a.1.153	SH	F	F	H
I.a.1.154	SH	F	F	F
I.a.1.155	SH	F	Cl	H
I.a.1.156	SH	F	Cl	F
I.a.1.157	SH	CH_3	H	H
I.a.1.158	SH	CH_3	H	F
I.a.1.159	SH	CH_3	F	H
I.a.1.160	SH	CH_3	F	F
I.a.1.161	SH	CH_3	Cl	H
I.a.1.162	SH	CH_3	Cl	F
I.a.1.163	$SC(O)CH_3$	H	H	H
I.a.1.164	$SC(O)CH_3$	H	H	F
I.a.1.165	$SC(O)CH_3$	H	F	H
I.a.1.166	$SC(O)CH_3$	H	F	F
I.a.1.167	$SC(O)CH_3$	H	Cl	H
I.a.1.168	$SC(O)CH_3$	H	Cl	F
I.a.1.169	$SC(O)CH_3$	F	H	H
I.a.1.170	$SC(O)CH_3$	F	H	F
I.a.1.171	$SC(O)CH_3$	F	F	H
I.a.1.172	$SC(O)CH_3$	F	F	F
I.a.1.173	$SC(O)CH_3$	F	Cl	H
I.a.1.174	$SC(O)CH_3$	F	Cl	F

No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.175	$SC(O)CH_3$	CH_3	H	H
I.a.1.176	$SC(O)CH_3$	CH_3	H	F
I.a.1.177	$SC(O)CH_3$	CH_3	F	H
I.a.1.178	$SC(O)CH_3$	CH_3	F	F
I.a.1.179	$SC(O)CH_3$	CH_3	Cl	H
I.a.1.180	$SC(O)CH_3$	CH_3	Cl	F
I.a.1.181	$SC(O)tertC_4H_9$	H	H	H
I.a.1.182	$SC(O)tertC_4H_9$	H	H	F
I.a.1.183	$SC(O)tertC_4H_9$	H	F	H
I.a.1.184	$SC(O)tertC_4H_9$	H	F	F
I.a.1.185	$SC(O)tertC_4H_9$	H	Cl	H
I.a.1.186	$SC(O)tertC_4H_9$	H	Cl	F
I.a.1.187	$SC(O)tertC_4H_9$	F	H	H
I.a.1.188	$SC(O)tertC_4H_9$	F	H	F
I.a.1.189	$SC(O)tertC_4H_9$	F	F	H
I.a.1.190	$SC(O)tertC_4H_9$	F	F	F
I.a.1.191	$SC(O)tertC_4H_9$	F	Cl	H
I.a.1.192	$SC(O)tertC_4H_9$	F	Cl	F
I.a.1.193	$SC(O)tertC_4H_9$	CH_3	H	H
I.a.1.194	$SC(O)tertC_4H_9$	CH_3	H	F
I.a.1.195	$SC(O)tertC_4H_9$	CH_3	F	H
I.a.1.196	$SC(O)tertC_4H_9$	CH_3	F	F
I.a.1.197	$SC(O)tertC_4H_9$	CH_3	Cl	H
I.a.1.198	$SC(O)tertC_4H_9$	CH_3	Cl	F
I.a.1.199	$SC(O)NH(CH_3)$	H	H	H
I.a.1.200	$SC(O)NH(CH_3)$	H	H	F
I.a.1.201	$SC(O)NH(CH_3)$	H	F	H
I.a.1.202	$SC(O)NH(CH_3)$	H	F	F
I.a.1.203	$SC(O)NH(CH_3)$	H	Cl	H
I.a.1.204	$SC(O)NH(CH_3)$	H	Cl	F
I.a.1.205	$SC(O)NH(CH_3)$	F	H	H
I.a.1.206	$SC(O)NH(CH_3)$	F	H	F
I.a.1.207	$SC(O)NH(CH_3)$	F	F	H
I.a.1.208	$SC(O)NH(CH_3)$	F	F	F
I.a.1.209	$SC(O)NH(CH_3)$	F	Cl	H

No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.210	$SC(O)NH(CH_3)$	F	Cl	F
I.a.1.211	$SC(O)NH(CH_3)$	CH_3	H	H
I.a.1.212	$SC(O)NH(CH_3)$	CH_3	H	F
I.a.1.213	$SC(O)NH(CH_3)$	CH_3	F	H
I.a.1.214	$SC(O)NH(CH_3)$	CH_3	F	F
I.a.1.215	$SC(O)NH(CH_3)$	CH_3	Cl	H
I.a.1.216	$SC(O)NH(CH_3)$	CH_3	Cl	F
I.a.1.217	$SC(O)NH(C_6H_5)$	H	H	H
I.a.1.218	$SC(O)NH(C_6H_5)$	H	H	F
I.a.1.219	$SC(O)NH(C_6H_5)$	H	F	H
I.a.1.220	$SC(O)NH(C_6H_5)$	H	F	F
I.a.1.221	$SC(O)NH(C_6H_5)$	H	Cl	H
I.a.1.222	$SC(O)NH(C_6H_5)$	H	Cl	F
I.a.1.223	$SC(O)NH(C_6H_5)$	F	H	H
I.a.1.224	$SC(O)NH(C_6H_5)$	F	H	F
I.a.1.225	$SC(O)NH(C_6H_5)$	F	F	H
I.a.1.226	$SC(O)NH(C_6H_5)$	F	F	F
I.a.1.227	$SC(O)NH(C_6H_5)$	F	Cl	H
I.a.1.228	$SC(O)NH(C_6H_5)$	F	Cl	F
I.a.1.229	$SC(O)NH(C_6H_5)$	CH_3	H	H
I.a.1.230	$SC(O)NH(C_6H_5)$	CH_3	H	F
I.a.1.231	$SC(O)NH(C_6H_5)$	CH_3	F	H
I.a.1.232	$SC(O)NH(C_6H_5)$	CH_3	F	F
I.a.1.233	$SC(O)NH(C_6H_5)$	CH_3	Cl	H
I.a.1.234	$SC(O)NH(C_6H_5)$	CH_3	Cl	F
I.a.1.235	$SC(O)N(CH_3)_2$	H	H	H
I.a.1.236	$SC(O)N(CH_3)_2$	H	H	F
I.a.1.237	$SC(O)N(CH_3)_2$	H	F	H
I.a.1.238	$SC(O)N(CH_3)_2$	H	F	F
I.a.1.239	$SC(O)N(CH_3)_2$	H	Cl	H
I.a.1.240	$SC(O)N(CH_3)_2$	H	Cl	F
I.a.1.241	$SC(O)N(CH_3)_2$	F	H	H
I.a.1.242	$SC(O)N(CH_3)_2$	F	H	F
I.a.1.243	$SC(O)N(CH_3)_2$	F	F	H
I.a.1.244	$SC(O)N(CH_3)_2$	F	F	F

No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.245	$SC(O)N(CH_3)_2$	F	Cl	H
I.a.1.246	$SC(O)N(CH_3)_2$	F	Cl	F
I.a.1.247	$SC(O)N(CH_3)_2$	CH_3	H	H
I.a.1.248	$SC(O)N(CH_3)_2$	CH_3	H	F
I.a.1.249	$SC(O)N(CH_3)_2$	CH_3	F	H
I.a.1.250	$SC(O)N(CH_3)_2$	CH_3	F	F
I.a.1.251	$SC(O)N(CH_3)_2$	CH_3	Cl	H
I.a.1.252	$SC(O)N(CH_3)_2$	CH_3	Cl	F
I.a.1.253	$SC(O)N(CH_3)(C_6H_5)$	H	H	H
I.a.1.254	$SC(O)N(CH_3)(C_6H_5)$	H	H	F
I.a.1.255	$SC(O)N(CH_3)(C_6H_5)$	H	F	H
I.a.1.256	$SC(O)N(CH_3)(C_6H_5)$	H	F	F
I.a.1.257	$SC(O)N(CH_3)(C_6H_5)$	H	Cl	H
I.a.1.258	$SC(O)N(CH_3)(C_6H_5)$	H	Cl	F
I.a.1.259	$SC(O)N(CH_3)(C_6H_5)$	F	H	H
I.a.1.260	$SC(O)N(CH_3)(C_6H_5)$	F	H	F
I.a.1.261	$SC(O)N(CH_3)(C_6H_5)$	F	F	H
I.a.1.262	$SC(O)N(CH_3)(C_6H_5)$	F	F	F
I.a.1.263	$SC(O)N(CH_3)(C_6H_5)$	F	Cl	H
I.a.1.264	$SC(O)N(CH_3)(C_6H_5)$	F	Cl	F
I.a.1.265	$SC(O)N(CH_3)(C_6H_5)$	CH_3	H	H
I.a.1.266	$SC(O)N(CH_3)(C_6H_5)$	CH_3	H	F
I.a.1.267	$SC(O)N(CH_3)(C_6H_5)$	CH_3	F	H
I.a.1.268	$SC(O)N(CH_3)(C_6H_5)$	CH_3	F	F
I.a.1.269	$SC(O)N(CH_3)(C_6H_5)$	CH_3	Cl	H
I.a.1.270	$SC(O)N(CH_3)(C_6H_5)$	CH_3	Cl	F
I.a.1.271	NH_2	H	H	H
I.a.1.272	NH_2	H	H	F
I.a.1.273	NH_2	H	F	H
I.a.1.274	NH_2	H	F	F
I.a.1.275	NH_2	H	Cl	H
I.a.1.276	NH_2	H	Cl	F
I.a.1.277	NH_2	F	H	H
I.a.1.278	NH_2	F	H	F
I.a.1.279	NH_2	F	F	H

No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.280	NH_2	F	F	F
I.a.1.281	NH_2	F	Cl	H
I.a.1.282	NH_2	F	Cl	F
I.a.1.283	NH_2	CH_3	H	H
I.a.1.284	NH_2	CH_3	H	F
I.a.1.285	NH_2	CH_3	F	H
I.a.1.286	NH_2	CH_3	F	F
I.a.1.287	NH_2	CH_3	Cl	H
I.a.1.288	NH_2	CH_3	Cl	F
I.a.1.289	$NHC(O)CH_3$	H	H	H
I.a.1.290	$NHC(O)CH_3$	H	H	F
I.a.1.291	$NHC(O)CH_3$	H	F	H
I.a.1.292	$NHC(O)CH_3$	H	F	F
I.a.1.293	$NHC(O)CH_3$	H	Cl	H
I.a.1.294	$NHC(O)CH_3$	H	Cl	F
I.a.1.295	$NHC(O)CH_3$	F	H	H
I.a.1.296	$NHC(O)CH_3$	F	H	F
I.a.1.297	$NHC(O)CH_3$	F	F	H
I.a.1.298	$NHC(O)CH_3$	F	F	F
I.a.1.299	$NHC(O)CH_3$	F	Cl	H
I.a.1.300	$NHC(O)CH_3$	F	Cl	F
I.a.1.301	$NHC(O)CH_3$	CH_3	H	H
I.a.1.302	$NHC(O)CH_3$	CH_3	H	F
I.a.1.303	$NHC(O)CH_3$	CH_3	F	H
I.a.1.304	$NHC(O)CH_3$	CH_3	F	F
I.a.1.305	$NHC(O)CH_3$	CH_3	Cl	H
I.a.1.306	$NHC(O)CH_3$	CH_3	Cl	F
I.a.1.307	$NHC(O)tertC_4H_9$	H	H	H
I.a.1.308	$NHC(O)tertC_4H_9$	H	H	F
I.a.1.309	$NHC(O)tertC_4H_9$	H	F	H
I.a.1.310	$NHC(O)tertC_4H_9$	H	F	F
I.a.1.311	$NHC(O)tertC_4H_9$	H	Cl	H
I.a.1.312	$NHC(O)tertC_4H_9$	H	Cl	F
I.a.1.313	$NHC(O)tertC_4H_9$	F	H	H
I.a.1.314	$NHC(O)tertC_4H_9$	F	H	F

No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.315	NHC(O)*tert*C_4H_9	F	F	H
I.a.1.316	NHC(O)*tert*C_4H_9	F	F	F
I.a.1.317	NHC(O)*tert*C_4H_9	F	Cl	H
I.a.1.318	NHC(O)*tert*C_4H_9	F	Cl	F
I.a.1.319	NHC(O)*tert*C_4H_9	CH_3	H	H
I.a.1.320	NHC(O)*tert*C_4H_9	CH_3	H	F
I.a.1.321	NHC(O)*tert*C_4H_9	CH_3	F	H
I.a.1.322	NHC(O)*tert*C_4H_9	CH_3	F	F
I.a.1.323	NHC(O)*tert*C_4H_9	CH_3	Cl	H
I.a.1.324	NHC(O)*tert*C_4H_9	CH_3	Cl	F
I.a.1.325	NHC(O)NH(CH_3)	H	H	H
I.a.1.326	NHC(O)NH(CH_3)	H	H	F
I.a.1.327	NHC(O)NH(CH_3)	H	F	H
I.a.1.328	NHC(O)NH(CH_3)	H	F	F
I.a.1.329	NHC(O)NH(CH_3)	H	Cl	H
I.a.1.330	NHC(O)NH(CH_3)	H	Cl	F
I.a.1.331	NHC(O)NH(CH_3)	F	H	H
I.a.1.332	NHC(O)NH(CH_3)	F	H	F
I.a.1.333	NHC(O)NH(CH_3)	F	F	H
I.a.1.334	NHC(O)NH(CH_3)	F	F	F
I.a.1.335	NHC(O)NH(CH_3)	F	Cl	H
I.a.1.336	NHC(O)NH(CH_3)	F	Cl	F
I.a.1.337	NHC(O)NH(CH_3)	CH_3	H	H
I.a.1.338	NHC(O)NH(CH_3)	CH_3	H	F
I.a.1.339	NHC(O)NH(CH_3)	CH_3	F	H
I.a.1.340	NHC(O)NH(CH_3)	CH_3	F	F
I.a.1.341	NHC(O)NH(CH_3)	CH_3	Cl	H
I.a.1.342	NHC(O)NH(CH_3)	CH_3	Cl	F
I.a.1.343	NHC(O)NH(C_6H_5)	H	H	H
I.a.1.344	NHC(O)NH(C_6H_5)	H	H	F
I.a.1.345	NHC(O)NH(C_6H_5)	H	F	H
I.a.1.346	NHC(O)NH(C_6H_5)	H	F	F
I.a.1.347	NHC(O)NH(C_6H_5)	H	Cl	H
I.a.1.348	NHC(O)NH(C_6H_5)	H	Cl	F
I.a.1.349	NHC(O)NH(C_6H_5)	F	H	H

No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.350	$NHC(O)NH(C_6H_5)$	F	H	F
I.a.1.351	$NHC(O)NH(C_6H_5)$	F	F	H
I.a.1.352	$NHC(O)NH(C_6H_5)$	F	F	F
I.a.1.353	$NHC(O)NH(C_6H_5)$	F	Cl	H
I.a.1.354	$NHC(O)NH(C_6H_5)$	F	Cl	F
I.a.1.355	$NHC(O)NH(C_6H_5)$	CH_3	H	H
I.a.1.356	$NHC(O)NH(C_6H_5)$	CH_3	H	F
I.a.1.357	$NHC(O)NH(C_6H_5)$	CH_3	F	H
I.a.1.358	$NHC(O)NH(C_6H_5)$	CH_3	F	F
I.a.1.359	$NHC(O)NH(C_6H_5)$	CH_3	Cl	H
I.a.1.360	$NHC(O)NH(C_6H_5)$	CH_3	Cl	F
I.a.1.361	$NHC(O)N(CH_3)_2$	H	H	H
I.a.1.362	$NHC(O)N(CH_3)_2$	H	H	F
I.a.1.363	$NHC(O)N(CH_3)_2$	H	F	H
I.a.1.364	$NHC(O)N(CH_3)_2$	H	F	F
I.a.1.365	$NHC(O)N(CH_3)_2$	H	Cl	H
I.a.1.366	$NHC(O)N(CH_3)_2$	H	Cl	F
I.a.1.367	$NHC(O)N(CH_3)_2$	F	H	H
I.a.1.368	$NHC(O)N(CH_3)_2$	F	H	F
I.a.1.369	$NHC(O)N(CH_3)_2$	F	F	H
I.a.1.370	$NHC(O)N(CH_3)_2$	F	F	F
I.a.1.371	$NHC(O)N(CH_3)_2$	F	Cl	H
I.a.1.372	$NHC(O)N(CH_3)_2$	F	Cl	F
I.a.1.373	$NHC(O)N(CH_3)_2$	CH_3	H	H
I.a.1.374	$NHC(O)N(CH_3)_2$	CH_3	H	F
I.a.1.375	$NHC(O)N(CH_3)_2$	CH_3	F	H
I.a.1.376	$NHC(O)N(CH_3)_2$	CH_3	F	F
I.a.1.377	$NHC(O)N(CH_3)_2$	CH_3	Cl	H
I.a.1.378	$NHC(O)N(CH_3)_2$	CH_3	Cl	F
I.a.1.379	$NHC(O)N(CH_3)(C_6H_5)$	H	H	H
I.a.1.380	$NHC(O)N(CH_3)(C_6H_5)$	H	H	F
I.a.1.381	$NHC(O)N(CH_3)(C_6H_5)$	H	F	H
I.a.1.382	$NHC(O)N(CH_3)(C_6H_5)$	H	F	F
I.a.1.383	$NHC(O)N(CH_3)(C_6H_5)$	H	Cl	H
I.a.1.384	$NHC(O)N(CH_3)(C_6H_5)$	H	Cl	F

No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.385	$NHC(O)N(CH_3)(C_6H_5)$	F	H	H
I.a.1.386	$NHC(O)N(CH_3)(C_6H_5)$	F	H	F
I.a.1.387	$NHC(O)N(CH_3)(C_6H_5)$	F	F	H
I.a.1.388	$NHC(O)N(CH_3)(C_6H_5)$	F	F	F
I.a.1.389	$NHC(O)N(CH_3)(C_6H_5)$	F	Cl	H
I.a.1.390	$NHC(O)N(CH_3)(C_6H_5)$	F	Cl	F
I.a.1.391	$NHC(O)N(CH_3)(C_6H_5)$	CH_3	H	H
I.a.1.392	$NHC(O)N(CH_3)(C_6H_5)$	CH_3	H	F
I.a.1.393	$NHC(O)N(CH_3)(C_6H_5)$	CH_3	F	H
I.a.1.394	$NHC(O)N(CH_3)(C_6H_5)$	CH_3	F	F
I.a.1.395	$NHC(O)N(CH_3)(C_6H_5)$	CH_3	Cl	H
I.a.1.396	$NHC(O)N(CH_3)(C_6H_5)$	CH_3	Cl	F
I.a.1.397	$NHSO_2CH_3$	H	H	H
I.a.1.398	$NHSO_2CH_3$	H	H	F
I.a.1.399	$NHSO_2CH_3$	H	F	H
I.a.1.400	$NHSO_2CH_3$	H	F	F
I.a.1.401	$NHSO_2CH_3$	H	Cl	H
I.a.1.402	$NHSO_2CH_3$	H	Cl	F
I.a.1.403	$NHSO_2CH_3$	F	H	H
I.a.1.404	$NHSO_2CH_3$	F	H	F
I.a.1.405	$NHSO_2CH_3$	F	F	H
I.a.1.406	$NHSO_2CH_3$	F	F	F
I.a.1.407	$NHSO_2CH_3$	F	Cl	H
I.a.1.408	$NHSO_2CH_3$	F	Cl	F
I.a.1.409	$NHSO_2CH_3$	CH_3	H	H
I.a.1.410	$NHSO_2CH_3$	CH_3	H	F
I.a.1.411	$NHSO_2CH_3$	CH_3	F	H
I.a.1.412	$NHSO_2CH_3$	CH_3	F	F
I.a.1.413	$NHSO_2CH_3$	CH_3	Cl	H
I.a.1.414	$NHSO_2CH_3$	CH_3	Cl	F
I.a.1.415	$NH(CH_3)$	H	H	H
I.a.1.416	$NH(CH_3)$	H	H	F
I.a.1.417	$NH(CH_3)$	H	F	H
I.a.1.418	$NH(CH_3)$	H	F	F
I.a.1.419	$NH(CH_3)$	H	Cl	H

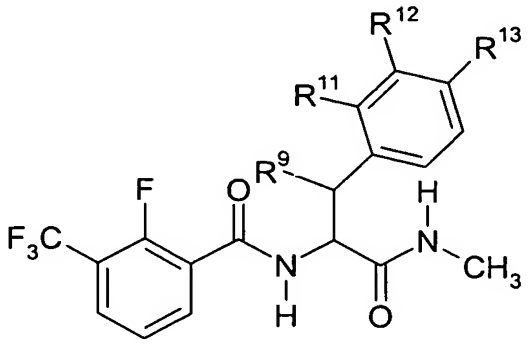
No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.420	$NH(CH_3)$	H	Cl	F
I.a.1.421	$NH(CH_3)$	F	H	H
I.a.1.422	$NH(CH_3)$	F	H	F
I.a.1.423	$NH(CH_3)$	F	F	H
I.a.1.424	$NH(CH_3)$	F	F	F
I.a.1.425	$NH(CH_3)$	F	Cl	H
I.a.1.426	$NH(CH_3)$	F	Cl	F
I.a.1.427	$NH(CH_3)$	CH_3	H	H
I.a.1.428	$NH(CH_3)$	CH_3	H	F
I.a.1.429	$NH(CH_3)$	CH_3	F	H
I.a.1.430	$NH(CH_3)$	CH_3	F	F
I.a.1.431	$NH(CH_3)$	CH_3	Cl	H
I.a.1.432	$NH(CH_3)$	CH_3	Cl	F
I.a.1.433	$N(CH_3)C(O)CH_3$	H	H	H
I.a.1.434	$N(CH_3)C(O)CH_3$	H	H	F
I.a.1.435	$N(CH_3)C(O)CH_3$	H	F	H
I.a.1.436	$N(CH_3)C(O)CH_3$	H	F	F
I.a.1.437	$N(CH_3)C(O)CH_3$	H	Cl	H
I.a.1.438	$N(CH_3)C(O)CH_3$	H	Cl	F
I.a.1.439	$N(CH_3)C(O)CH_3$	F	H	H
I.a.1.440	$N(CH_3)C(O)CH_3$	F	H	F
I.a.1.441	$N(CH_3)C(O)CH_3$	F	F	H
I.a.1.442	$N(CH_3)C(O)CH_3$	F	F	F
I.a.1.443	$N(CH_3)C(O)CH_3$	F	Cl	H
I.a.1.444	$N(CH_3)C(O)CH_3$	F	Cl	F
I.a.1.445	$N(CH_3)C(O)CH_3$	CH_3	H	H
I.a.1.446	$N(CH_3)C(O)CH_3$	CH_3	H	F
I.a.1.447	$N(CH_3)C(O)CH_3$	CH_3	F	H
I.a.1.448	$N(CH_3)C(O)CH_3$	CH_3	F	F
I.a.1.449	$N(CH_3)C(O)CH_3$	CH_3	Cl	H
I.a.1.450	$N(CH_3)C(O)CH_3$	CH_3	Cl	F
I.a.1.451	$N(CH_3)C(O)tertC_4H_9$	H	H	H
I.a.1.452	$N(CH_3)C(O)tertC_4H_9$	H	H	F
I.a.1.453	$N(CH_3)C(O)tertC_4H_9$	H	F	H
I.a.1.454	$N(CH_3)C(O)tertC_4H_9$	H	F	F

No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.455	$N(CH_3)C(O)tertC_4H_9$	H	Cl	H
I.a.1.456	$N(CH_3)C(O)tertC_4H_9$	H	Cl	F
I.a.1.457	$N(CH_3)C(O)tertC_4H_9$	F	H	H
I.a.1.458	$N(CH_3)C(O)tertC_4H_9$	F	H	F
I.a.1.459	$N(CH_3)C(O)tertC_4H_9$	F	F	H
I.a.1.460	$N(CH_3)C(O)tertC_4H_9$	F	F	F
I.a.1.461	$N(CH_3)C(O)tertC_4H_9$	F	Cl	H
I.a.1.462	$N(CH_3)C(O)tertC_4H_9$	F	Cl	F
I.a.1.463	$N(CH_3)C(O)tertC_4H_9$	CH_3	H	H
I.a.1.464	$N(CH_3)C(O)tertC_4H_9$	CH_3	H	F
I.a.1.465	$N(CH_3)C(O)tertC_4H_9$	CH_3	F	H
I.a.1.466	$N(CH_3)C(O)tertC_4H_9$	CH_3	F	F
I.a.1.467	$N(CH_3)C(O)tertC_4H_9$	CH_3	Cl	H
I.a.1.468	$N(CH_3)C(O)tertC_4H_9$	CH_3	Cl	F
I.a.1.469	$N(CH_3)C(O)NH(CH_3)$	H	H	H
I.a.1.470	$N(CH_3)C(O)NH(CH_3)$	H	H	F
I.a.1.471	$N(CH_3)C(O)NH(CH_3)$	H	F	H
I.a.1.472	$N(CH_3)C(O)NH(CH_3)$	H	F	F
I.a.1.473	$N(CH_3)C(O)NH(CH_3)$	H	Cl	H
I.a.1.474	$N(CH_3)C(O)NH(CH_3)$	H	Cl	F
I.a.1.475	$N(CH_3)C(O)NH(CH_3)$	F	H	H
I.a.1.476	$N(CH_3)C(O)NH(CH_3)$	F	H	F
I.a.1.477	$N(CH_3)C(O)NH(CH_3)$	F	F	H
I.a.1.478	$N(CH_3)C(O)NH(CH_3)$	F	F	F
I.a.1.479	$N(CH_3)C(O)NH(CH_3)$	F	Cl	H
I.a.1.480	$N(CH_3)C(O)NH(CH_3)$	F	Cl	F
I.a.1.481	$N(CH_3)C(O)NH(CH_3)$	CH_3	H	H
I.a.1.482	$N(CH_3)C(O)NH(CH_3)$	CH_3	H	F
I.a.1.483	$N(CH_3)C(O)NH(CH_3)$	CH_3	F	H
I.a.1.484	$N(CH_3)C(O)NH(CH_3)$	CH_3	F	F
I.a.1.485	$N(CH_3)C(O)NH(CH_3)$	CH_3	Cl	H
I.a.1.486	$N(CH_3)C(O)NH(CH_3)$	CH_3	Cl	F
I.a.1.487	$N(CH_3)C(O)NH(C_6H_5)$	H	H	H
I.a.1.488	$N(CH_3)C(O)NH(C_6H_5)$	H	H	F
I.a.1.489	$N(CH_3)C(O)NH(C_6H_5)$	H	F	H

No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.490	$N(CH_3)C(O)NH(C_6H_5)$	H	F	F
I.a.1.491	$N(CH_3)C(O)NH(C_6H_5)$	H	Cl	H
I.a.1.492	$N(CH_3)C(O)NH(C_6H_5)$	H	Cl	F
I.a.1.493	$N(CH_3)C(O)NH(C_6H_5)$	F	H	H
I.a.1.494	$N(CH_3)C(O)NH(C_6H_5)$	F	H	F
I.a.1.495	$N(CH_3)C(O)NH(C_6H_5)$	F	F	H
I.a.1.496	$N(CH_3)C(O)NH(C_6H_5)$	F	F	F
I.a.1.497	$N(CH_3)C(O)NH(C_6H_5)$	F	Cl	H
I.a.1.498	$N(CH_3)C(O)NH(C_6H_5)$	F	Cl	F
I.a.1.499	$N(CH_3)C(O)NH(C_6H_5)$	CH_3	H	H
I.a.1.500	$N(CH_3)C(O)NH(C_6H_5)$	CH_3	H	F
I.a.1.501	$N(CH_3)C(O)NH(C_6H_5)$	CH_3	F	H
I.a.1.502	$N(CH_3)C(O)NH(C_6H_5)$	CH_3	F	F
I.a.1.503	$N(CH_3)C(O)NH(C_6H_5)$	CH_3	Cl	H
I.a.1.504	$N(CH_3)C(O)NH(C_6H_5)$	CH_3	Cl	F
I.a.1.505	$N(CH_3)C(O)N(CH_3)_2$	H	H	H
I.a.1.506	$N(CH_3)C(O)N(CH_3)_2$	H	H	F
I.a.1.507	$N(CH_3)C(O)N(CH_3)_2$	H	F	H
I.a.1.508	$N(CH_3)C(O)N(CH_3)_2$	H	F	F
I.a.1.509	$N(CH_3)C(O)N(CH_3)_2$	H	Cl	H
I.a.1.510	$N(CH_3)C(O)N(CH_3)_2$	H	Cl	F
I.a.1.511	$N(CH_3)C(O)N(CH_3)_2$	F	H	H
I.a.1.512	$N(CH_3)C(O)N(CH_3)_2$	F	H	F
I.a.1.513	$N(CH_3)C(O)N(CH_3)_2$	F	F	H
I.a.1.514	$N(CH_3)C(O)N(CH_3)_2$	F	F	F
I.a.1.515	$N(CH_3)C(O)N(CH_3)_2$	F	Cl	H
I.a.1.516	$N(CH_3)C(O)N(CH_3)_2$	F	Cl	F
I.a.1.517	$N(CH_3)C(O)N(CH_3)_2$	CH_3	H	H
I.a.1.518	$N(CH_3)C(O)N(CH_3)_2$	CH_3	H	F
I.a.1.519	$N(CH_3)C(O)N(CH_3)_2$	CH_3	F	H
I.a.1.520	$N(CH_3)C(O)N(CH_3)_2$	CH_3	F	F
I.a.1.521	$N(CH_3)C(O)N(CH_3)_2$	CH_3	Cl	H
I.a.1.522	$N(CH_3)C(O)N(CH_3)_2$	CH_3	Cl	F
I.a.1.523	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	H	H	H
I.a.1.524	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	H	H	F

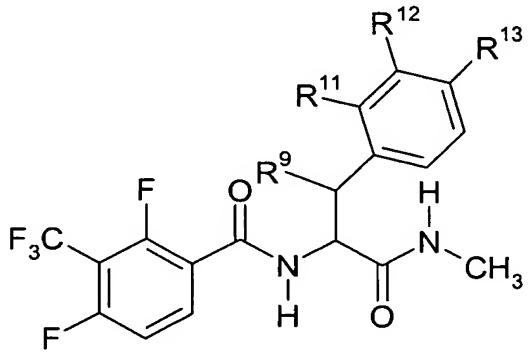
No.	R^9	R^{11}	R^{12}	R^{13}
I.a.1.525	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	H	F	H
I.a.1.526	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	H	F	F
I.a.1.527	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	H	Cl	H
I.a.1.528	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	H	Cl	F
I.a.1.529	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	F	H	H
I.a.1.530	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	F	H	F
I.a.1.531	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	F	F	H
I.a.1.532	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	F	F	F
I.a.1.533	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	F	Cl	H
I.a.1.534	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	F	Cl	F
I.a.1.535	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	CH_3	H	H
I.a.1.536	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	CH_3	H	F
I.a.1.537	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	CH_3	F	H
I.a.1.538	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	CH_3	F	F
I.a.1.539	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	CH_3	Cl	H
I.a.1.540	$N(CH_3)C(O)N(CH_3)(C_6H_5)$	CH_3	Cl	F
I.a.1.541	$N(CH_3)SO_2CH_3$	H	H	H
I.a.1.542	$N(CH_3)SO_2CH_3$	H	H	F
I.a.1.543	$N(CH_3)SO_2CH_3$	H	F	H
I.a.1.544	$N(CH_3)SO_2CH_3$	H	F	F
I.a.1.545	$N(CH_3)SO_2CH_3$	H	Cl	H
I.a.1.546	$N(CH_3)SO_2CH_3$	H	Cl	F
I.a.1.547	$N(CH_3)SO_2CH_3$	F	H	H
I.a.1.548	$N(CH_3)SO_2CH_3$	F	H	F
I.a.1.549	$N(CH_3)SO_2CH_3$	F	F	H
I.a.1.550	$N(CH_3)SO_2CH_3$	F	F	F
I.a.1.551	$N(CH_3)SO_2CH_3$	F	Cl	H
I.a.1.552	$N(CH_3)SO_2CH_3$	F	Cl	F
I.a.1.553	$N(CH_3)SO_2CH_3$	CH_3	H	H
I.a.1.554	$N(CH_3)SO_2CH_3$	CH_3	H	F
I.a.1.555	$N(CH_3)SO_2CH_3$	CH_3	F	H
I.a.1.556	$N(CH_3)SO_2CH_3$	CH_3	F	F
I.a.1.557	$N(CH_3)SO_2CH_3$	CH_3	Cl	H
I.a.1.558	$N(CH_3)SO_2CH_3$	CH_3	Cl	F

Most preference is also given to the compounds of the formula I.a.2, in particular to the compounds of the formulae I.a.2.1 to I.a.2.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^2 is CF_3.



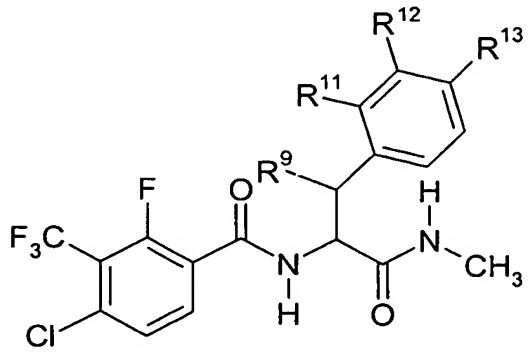
I.a.2

Most preference is also given to the compounds of the formula I.a.3, in particular to the compounds of the formulae I.a.3.1 to I.a.3.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^2 is CF_3 and R^3 is fluorine.



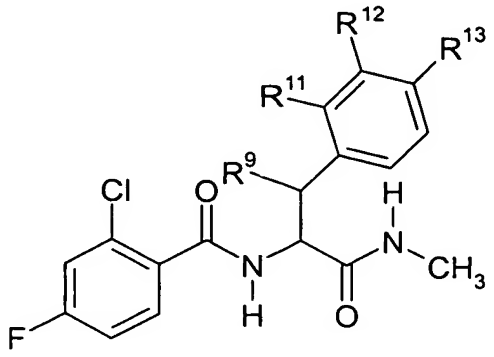
I.a.3

Most preference is also given to the compounds of the formula I.a.4, in particular to the compounds of the formulae I.a.4.1 to I.a.4.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^2 is CF_3 and R^3 is chlorine.



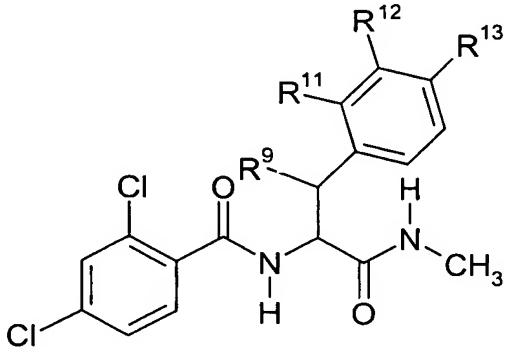
I.a.4

Most preference is also given to the compounds of the formula I.a.5, in particular to the compounds of the formulae I.a.5.1 to I.a.5.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is chlorine and R^3 is fluorine.



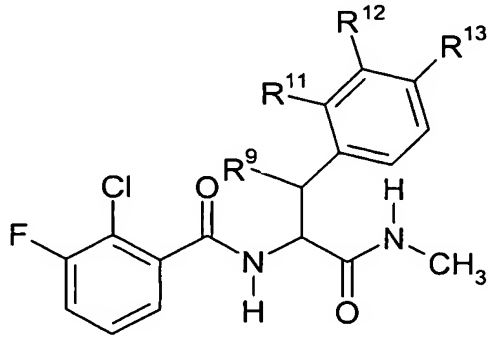
I.a.5

Most preference is also given to the compounds of the formula I.a.6, in particular to the compounds of the formulae I.a.6.1 to I.a.6.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 and R^3 are chlorine.



I.a.6

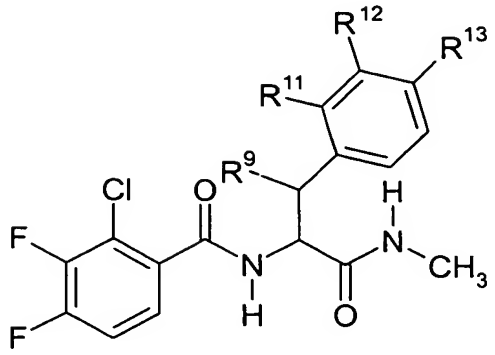
Most preference is also given to the compounds of the formula I.a.7, in particular to the compounds of the formulae I.a.7.1 to I.a.7.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is chlorine and R^2 is fluorine.



I.a.7

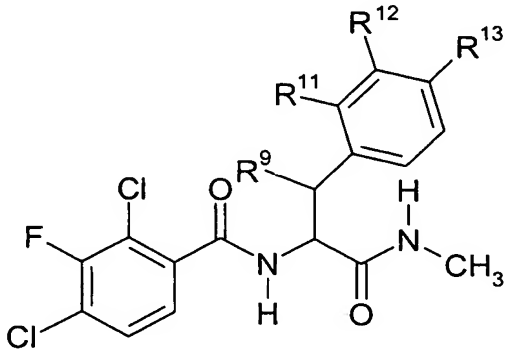
Most preference is also given to the compounds of the formula I.a.8, in particular to the compounds of the formulae I.a.8.1 to I.a.8.558 which differ from the corresponding

compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is chlorine and R^2 and R^3 are fluorine.



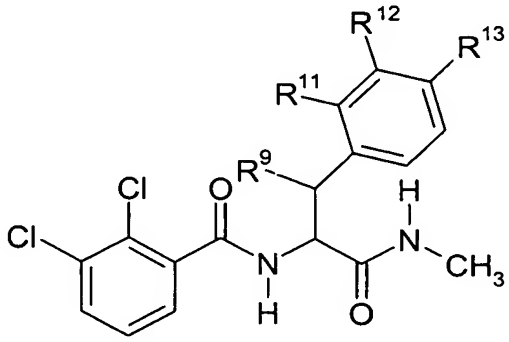
I.a.8

Most preference is also given to the compounds of the formula I.a.9, in particular to the compounds of the formulae I.a.9.1 to I.a.9.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 and R^3 are chlorine and R^2 is fluorine.



I.a.9

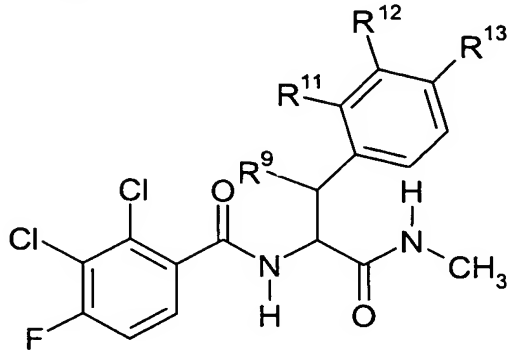
Most preference is also given to the compounds of the formula I.a.10, in particular to the compounds of the formulae I.a.10.1 to I.a.10.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 and R^2 are chlorine.



I.a.10

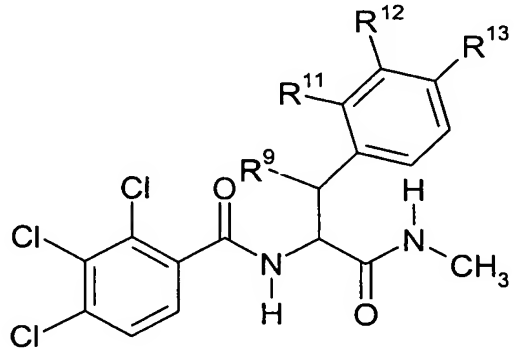
Most preference is also given to the compounds of the formula I.a.11, in particular to the compounds of the formulae I.a.11.1 to I.a.11.558 which differ from the

corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 and R^2 are chlorine and R^3 is fluorine.



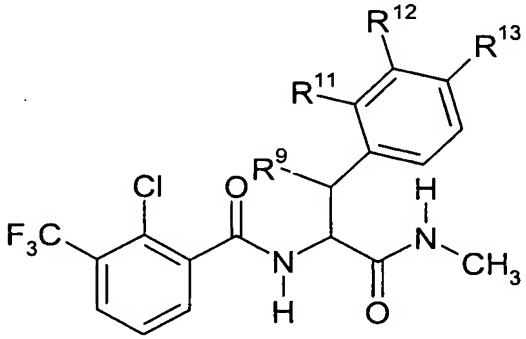
I.a.11

Most preference is also given to the compounds of the formula I.a.12, in particular to the compounds of the formulae I.a.12.1 to I.a.12.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1, R^2 and R^3 are chlorine.



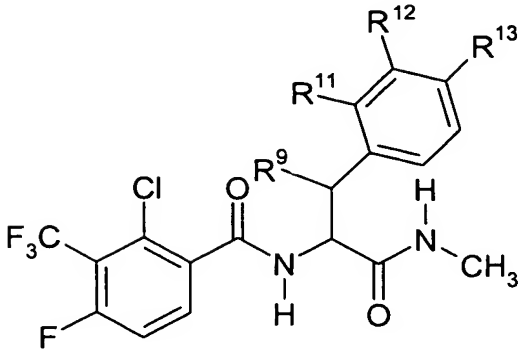
I.a.12

Most preference is also given to the compounds of the formula I.a.13, in particular to the compounds of the formulae I.a.13.1 to I.a.13.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is chlorine and R^2 is CF_3.



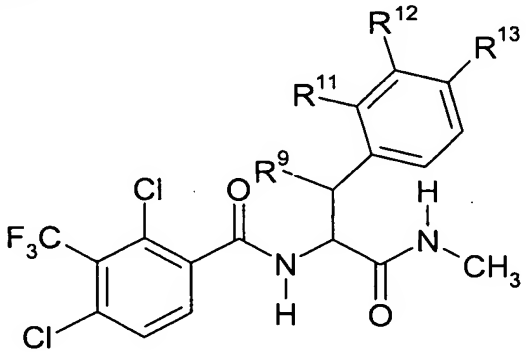
I.a.13

Most preference is also given to the compounds of the formula I.a.14, in particular to the compounds of the formulae I.a.14.1 to I.a.14.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is chlorine, R^2 is CF_3 and R^3 is fluorine.



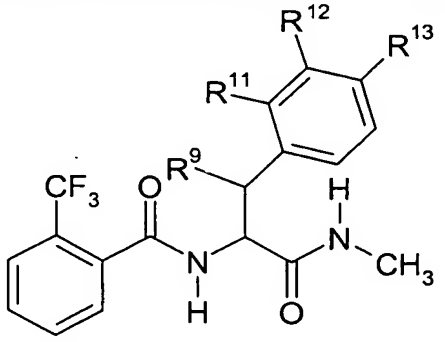
I.a.14

Most preference is also given to the compounds of the formula I.a.15, in particular to the compounds of the formulae I.a.15.1 to I.a.15.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 and R^3 are chlorine and R^2 is CF_3.



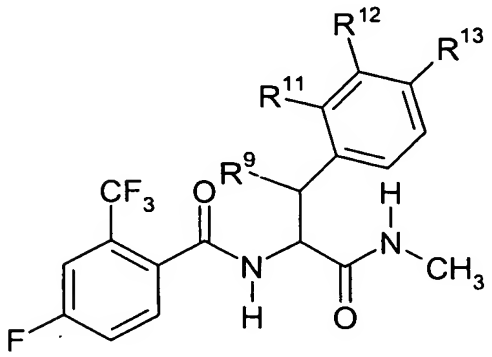
I.a.15

Most preference is also given to the compounds of the formula I.a.16, in particular to the compounds of the formulae I.a.16.1 to I.a.16.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is CF_3.



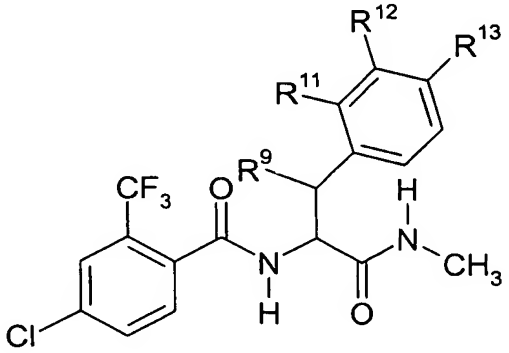
I.a.16

Most preference is also given to the compounds of the formula I.a.17, in particular to the compounds of the formulae I.a.17.1 to I.a.17.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is CF_3 and R^3 is fluorine.



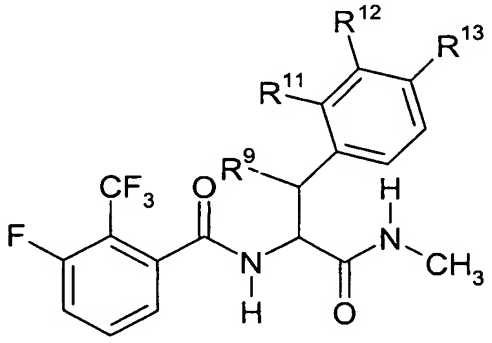
I.a.17

Most preference is also given to the compounds of the formula I.a.18, in particular to the compounds of the formulae I.a.18.1 to I.a.18.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is CF_3 and R^3 is chlorine.



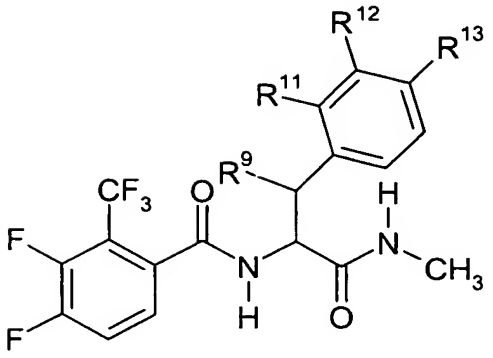
I.a.18

Most preference is also given to the compounds of the formula I.a.19, in particular to the compounds of the formulae I.a.19.1 to I.a.19.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is CF_3 and R^2 is fluorine.



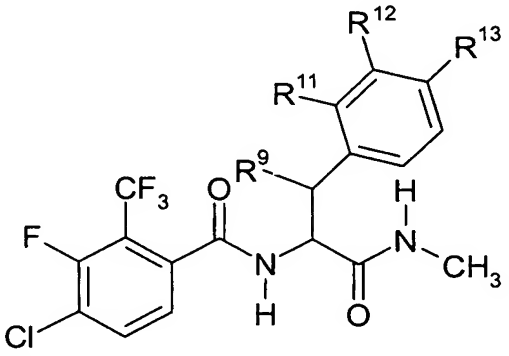
I.a.19

Most preference is also given to the compounds of the formula I.a.20, in particular to the compounds of the formulae I.a.20.1 to I.a.20.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is CF_3 and R^2 and R^3 are fluorine.



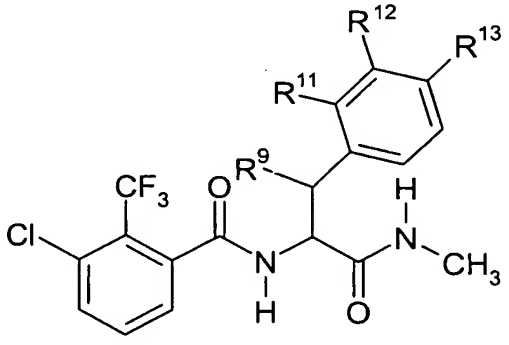
I.a.20

Most preference is also given to the compounds of the formula I.a.21, in particular to the compounds of the formulae I.a.21.1 to I.a.21.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is CF_3, R^2 is fluorine and R^3 is chlorine.



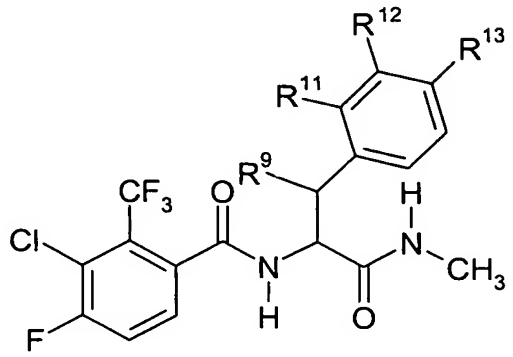
I.a.21

Most preference is also given to the compounds of the formula I.a.22, in particular to the compounds of the formulae I.a.22.1 to I.a.22.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is CF_3 and R^2 is chlorine.



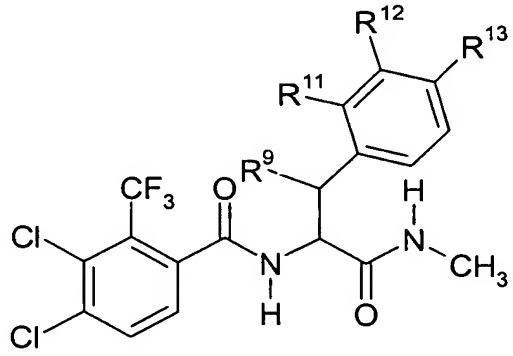
I.a.22

Most preference is also given to the compounds of the formula I.a.23, in particular to the compounds of the formulae I.a.23.1 to I.a.23.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is CF_3, R^2 is chlorine and R^3 is fluorine.



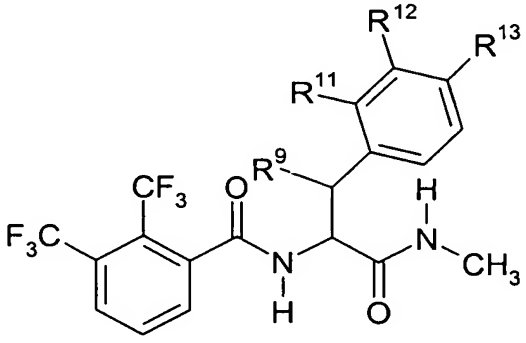
I.a.23

Most preference is also given to the compounds of the formula I.a.24, in particular to the compounds of the formulae I.a.24.1 to I.a.24.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is CF_3 and R^2 and R^3 are chlorine.



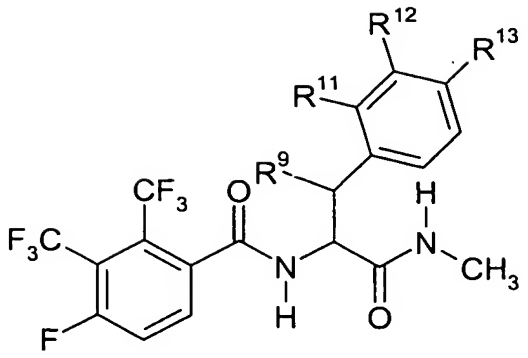
I.a.24

Most preference is also given to the compounds of the formula I.a.25, in particular to the compounds of the formulae I.a.25.1 to I.a.25.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 and R^2 are CF_3.



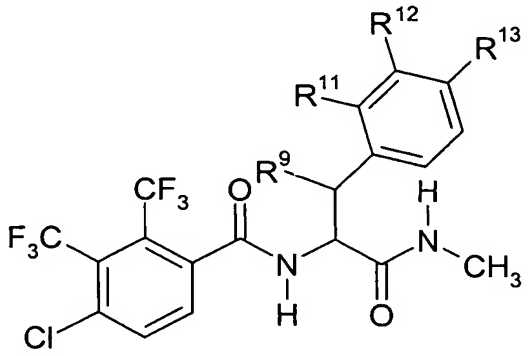
I.a.25

Most preference is also given to the compounds of the formula I.a.26, in particular to the compounds of the formulae I.a.26.1 to I.a.26.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 and R^2 are CF_3 and R^3 is fluorine.



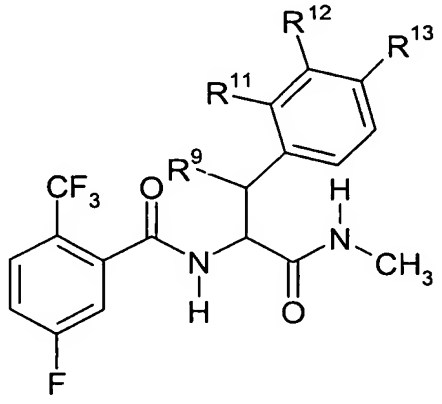
I.a.26

Most preference is also given to the compounds of the formula I.a.27, in particular to the compounds of the formulae I.a.27.1 to I.a.27.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 and R^2 are CF_3 and R^3 is chlorine.



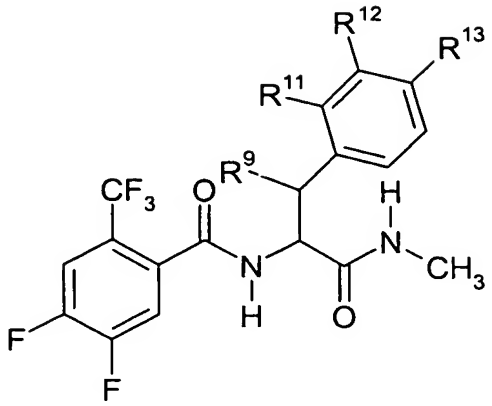
I.a.27

Most preference is also given to the compounds of the formula I.a.28, in particular to the compounds of the formulae I.a.28.1 to I.a.28.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is CF_3 and R^4 is fluorine.



I.a.28

Most preference is also given to the compounds of the formula I.a.29, in particular to the compounds of the formulae I.a.29.1 to I.a.29.558 which differ from the corresponding compounds of the formulae I.a.1.1 to I.a.1.558 in that R^1 is CF_3 and R^3 and R^4 are fluorine.

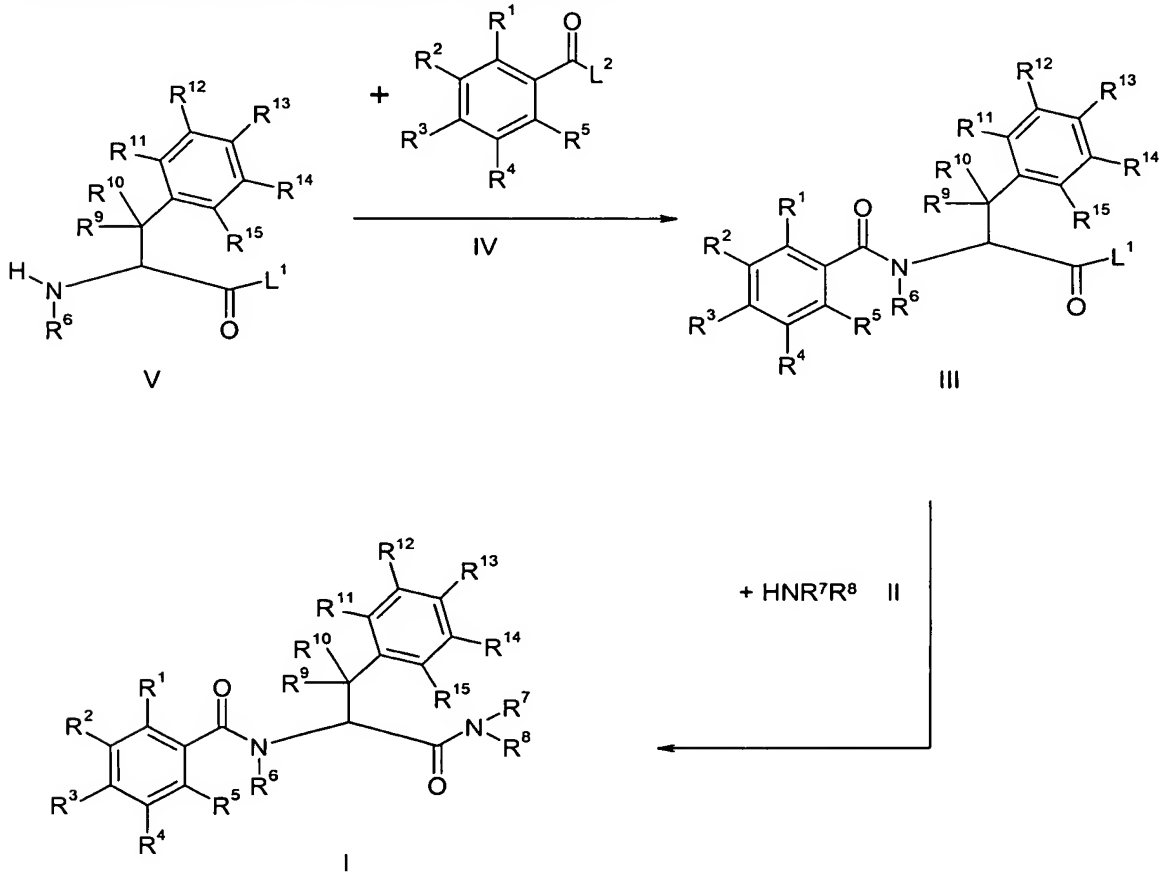


I.a.29

The benzoyl-substituted phenylalanineamides of the formula I can be obtained by different routes, for example by the following processes:

Process A

A phenylalanine of the formula V is initially reacted with benzoic acids or benzoic acid derivatives of the formula IV to give the corresponding benzoyl derivative of the formula III which is then reacted with an amine of the formula II to give the desired benzoyl-substituted phenylalanineamide of the formula I:



L^1 is a nucleophilically displaceable leaving group, for example hydroxyl or C_1-C_6-alkoxy.

L^2 is a nucleophilically displaceable leaving group, for example hydroxyl, halogen, C_1-C_6-alkylcarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_4-alkylsulfonyl, phosphoryl or isoureyl.

The reaction of the phenylalanines of the formula V with benzoic acids or benzoic acid derivatives of the formula IV in which L^2 is hydroxyl to give benzoyl derivatives of the formula III is carried out in the presence of an activating agent and a base, usually at temperatures of from 0°C to the boiling point of the reaction mixture, preferably from 0°C to 110°C, particularly preferably at room temperature, in an inert organic solvent [cf. Bergmann, E. D. et al., J. Chem. Soc. (1951), 2673; Zhdankin, V. V. et al., Tetrahedron Lett. 41 (28) (2000), 5299-5302; Martin, S. F. et al., Tetrahedron Lett. 39 (12) (1998), 1517-1520; Jursic, B. S. et al., Synth. Commun. 31 (4) (2001), 555-564; Albrecht, M. et al., Synthesis (3) (2001), 468-472; Yadav, L. D. S. et al., Indian J. Chem. B. 41(3) (2002), 593-595; Clark, J. E. et al., Synthesis (10) (1991), 891-894].

Suitable activating agents are condensing agents, such as, for example, polystyrene-bound dicyclohexylcarbodiimide, diisopropylcarbodiimide, carbonyldiimidazole, chloroformates, such as methyl chloroformate, ethyl chloroformate, isopropyl chloroformate, isobutyl chloroformate, *sec*-butyl chloroformate or allyl chloroformate, pivaloyl chloride, polyphosphoric acid, propanephosphonic anhydride, bis(2-oxo-3-oxazolidinyl)phosphoryl chloride (BOPCl) or sulfonyl chlorides, such as methanesulfonyl chloride, toluenesulfonyl chloride or benzenesulfonyl chloride.

Suitable solvents are aliphatic hydrocarbons, such as pentane, hexane, cyclohexane and mixtures of C_5-C_8-alkanes, aromatic hydrocarbons, such as benzene, toluene, o-, m- and p-xylene, halogenated hydrocarbons, such as methylene chloride, chloroform and chlorobenzene, ethers, such as diethyl ether, diisopropyl ether, tert-butyl methyl ether, dioxane, anisole and tetrahydrofuran (THF), nitriles, such as acetonitrile and propionitrile, ketones, such as acetone, methyl ethyl ketone, diethyl ketone and tert-butyl methyl ketone, and also dimethyl sulfoxide, dimethylformamide (DMF), dimethylacetamide (DMA) and N-methylpyrrolidone (NMP), or else water; particular preference is given to methylene chloride, THF and water.

It is also possible to use mixtures of the solvents mentioned.

Suitable bases are, in general, inorganic compounds, such as alkali metal and alkaline earth metal hydroxides, such as lithium hydroxide, sodium hydroxide, potassium hydroxide and calcium hydroxide, alkali metal and alkaline earth metal oxides, such as lithium oxide, sodium oxide, calcium oxide and magnesium oxide, alkali metal and alkaline earth metal hydrides, such as lithium hydride, sodium hydride, potassium hydride and calcium hydride, alkali metal and alkaline earth metal carbonates, such as lithium carbonate, potassium carbonate and calcium carbonate, and also alkali metal bicarbonates, such as sodium bicarbonate, moreover organic bases, for example tertiary amines, such as trimethylamine, triethylamine, diisopropylethylamine, N-methylmorpholine and N-methylpiperidine, pyridine, substituted pyridines, such as collidine, lutidine and 4-dimethylaminopyridine, and also bicyclic amines. Particular preference is given to sodium hydroxide, triethylamine and pyridine.

The bases are generally employed in equimolar amounts. However, they can also be used in excess or, if appropriate, as solvent.

The starting materials are generally reacted with one another in equimolar amounts. It may be advantageous to use an excess of IV, based on V.

The reaction mixtures are worked up in a customary manner, for example by mixing with water, separating the phases and, if appropriate, chromatographic purification of the crude products. Some of the intermediates and end products are obtained in the form of viscous oils which can be purified or freed from volatile components under reduced pressure and at moderately elevated temperature. If the intermediates and end products are obtained as solids, purification can also be carried out by recrystallization or digestion.

The reaction of the phenylalanines of the formula V with benzoic acids or benzoic acid derivatives of the formula IV in which L^2 is halogen or C_1-C_6-alkoxy to give benzoyl derivatives of the formula III is carried out in the presence of a base, usually at temperatures of from 0°C to the boiling point of the reaction mixture, preferably from 0°C to 100°C, particularly preferably at room temperature, in an inert organic solvent [cf. Bergmann, E. D. et al., J. Chem. Soc. (1951), 2673; Zhdankin, V. V. et al., Tetrahedron Lett. 41 (28) (2000), 5299-5302; Martin, S. F. et al., Tetrahedron Lett. 39 (12) (1998), 1517-1520; Jursic, B. S. et al., Synth. Commun. 31 (4) (2001), 555-564; Albrecht, M. et al., Synthesis (3) (2001), 468-472; Yadav, L. D. S. et al., Indian J.

Chem. B. 41(3) (2002), 593-595; Clark, J. E. et al., Synthesis (10) (1991), 891-894].

Suitable solvents are aliphatic hydrocarbons, such as pentane, hexane, cyclohexane and mixtures of C_5-C_8-alkanes, aromatic hydrocarbons, such as benzene, toluene, o-, m- and p-xylene, halogenated hydrocarbons, such as methylene chloride, chloroform and chlorobenzene, ethers, such as diethyl ether, diisopropyl ether, tert-butyl methyl ether, dioxane, anisole and tetrahydrofuran (THF), nitriles, such as acetonitrile and propionitrile, ketones, such as acetone, methyl ethyl ketone, diethyl ketone and tert-butyl methyl ketone, and also dimethyl sulfoxide, dimethylformamide (DMF), dimethylacetamide (DMA) and N-methylpyrrolidone (NMP), or else water; particular preference is given to methylene chloride, THF and water.

It is also possible to use mixtures of the solvents mentioned.

Suitable bases are, in general, inorganic compounds, such as alkali metal and alkaline earth metal hydroxides, such as lithium hydroxide, sodium hydroxide, potassium hydroxide and calcium hydroxide, alkali metal and alkaline earth metal oxides, such as lithium oxide, sodium oxide, calcium oxide and magnesium oxide, alkali metal and alkaline earth metal hydrides, such as lithium hydride, sodium hydride, potassium hydride and calcium hydride, alkali metal and alkaline earth metal carbonates, such as lithium carbonate, potassium carbonate and calcium carbonate, and also alkali metal bicarbonates, such as sodium bicarbonate, moreover organic bases, for example tertiary amines, such as trimethylamine, triethylamine, diisopropylethylamine, N-methylmorpholine and N-methylpiperidine, pyridine, substituted pyridines, such as collidine, lutidine and 4-dimethylaminopyridine, and also bicyclic amines. Particular preference is given to sodium hydroxide, triethylamine and pyridine.

The bases are generally employed in equimolar amounts. However, they can also be used in excess or, if appropriate, as solvent.

The starting materials are generally reacted with one another in equimolar amounts. It may be advantageous to use an excess of IV, based on V.

Work-up and isolation of the products can be carried out in a manner known per se.

It is, of course, also possible to initially react, in an analogous manner, the phenylalanines of the formula V with amines of the formula II to give the corresponding

amides which are then reacted with benzoic acids/benzoic acid derivatives of the formula IV to give the desired benzoyl-substituted phenylalanineamides of the formula I.

The phenylalanines, required for preparing the benzoyl derivatives of the formula III, of the formula V where L^1 = hydroxyl are, also in enantiomerically and diastereomerically pure form, known from the literature or can be prepared in accordance with the literature cited:

$R^9 = OR^{16}$:

- by condensation of glycine enolate equivalents with benzaldehydes (Hvidt, T. et al., Tetrahedron Lett. 27 (33) (1986), 3807-3810; Saeed, A. et al., Tetrahedron 48 (12) (1992), 2507-2514; Kikuchi, J. et al., Chem. Lett. (3) (1993), 553-556; Soloshonok, V. A. et al., Tetrahedron Lett. 35 (17) (1994), 2713-2716; Soloshonok, V. A. et al., Tetrahedron 52 (1) (1996), 245-254; Rozenberg, V. et al., Angew. Chem. 106 (1) (1994), 106-108; US 4605759; Alker, D. et al., Tetrahedron 54 (22) (1998), 6089-6098; Shengde, W. et al., Synth. Commun. 16 (12) (1986), 1479; JP 2001046076; Herbert, R. B. et al., Can. J. Chem. 72 (1) (1994), 114-117);

- by cleaving 2-N-phthaloyl-3-hydroxyphenylalanines (Hutton, C. A., Org. Lett. 1 (2) (1999), 295-297);

- by oxidative aminohydroxylation and subsequent deprotection of cinnamic acid derivatives (Kim, I. H. et al., Tetrahedron Lett. 42 (48) (2001), 8401-8403);

- by cleaving substituted oxazolidines (Wu, S. D. et al., Synthetic Commun. 16 (12) (1986), 1479-1484);

- by cleaving substituted oxazolines (Soloshonok, V. A. et al., Tetrahedron 52 (1) (1996), 245-254; Lown, J. W. et al., Can. J. Chem. 51 (1973), 856);

- by cleaving substituted 2-oxazolidinones (Jung, M. E. et al., Tetrahedron Lett. 30 (48) (1989), 6637-6640);

- by cleaving substituted 5-oxazolidinones
  (Blaser, D. et al., Liebigs Ann. Chem. (10) (1991), 1067-1078);

- by hydrolysis of phenylserinenitrile derivatives
  (Iriuchijima, S. et al., J. Am. Chem. Soc. 96 (1974), 4280);

- by cleaving substituted imidazolin-4-ones
  (Davis, C. et al., J. Chem. Soc. 3479 (1951));

$R^9 = SR^{17}$:

- by cleaving 2-acylamino-3-thioalkylphenylalanine derivatives
  (Villeneuve, G. et al., J. Chem. Soc. Perkin Trans 1 (16) (1993),1897-1904)

- by ring opening of thiazolidinethiones
  (Cook, A. H. et al., J. Chem. Soc. (1948) 1337);

$R^9 = NR^{18}R^{19}$:

- by ring opening of substituted imidazolinones
  (Kavrakova, I. K. et al., Org. Prep. Proced. Int. 28 (3) (1996), 333-338);

- by ring opening of substituted imidazolines
  (Meyer R., Liebigs Ann. Chem., 1183 (1977) ; Hayashi, T. et al., Tetrahedron Lett. 37 (28) (1996), 4969-4972; Lin, Y. R. et al., J. Org. Chem. 62 (6) (1997), 1799-1803; Zhou, X. T. et al., Tetrahedron Assym. 10 (5) (1999), 855-862);

- by reducing 2-azido-3-aminophenylalanine derivatives
  (Moyna, G. et al., Synthetic Commun. 27 (9) (1997), 1561-1567);

- by hydrogenation of substituted imidazolidines
  (Alker, D. et al., Tetrahedron Lett. 39 (5-6) (1998), 475-478).

The phenylalanines, required for preparing the benzoyl derivatives of the formula III, of the formula V where $L^1 = C_1$-C_6-alkoxy are, also in enantiomerically and diastereomerically pure form, known from the literature or can be prepared in accordance with the literature cited:

$R^9 = OR^{16}$:

- by condensation of glycine enolate equivalents with aldehydes: (Nicolaou, K. C. et al., J. Am. Chem. Soc. 124 (35) (2002), 10451-10455; Carrara, G. et al., Gazz. Chim. Ital. 82 (1952), 325; Fuganti, C. et al., J. Org. Chem. 51 (7) (1986), 1126-1128; Boger, D. L. et al., J. Org. Chem. 62 (14) (1997), 4721-4736; Honig, H. et al., Tetrahedron (46) (1990), 3841; Kanemasa, S. et al., Tetrahedron Lett. 34 (4) (1993), 677-680; US 4873359);

- by cleaving dihydropyrazines (Li, Y. Q. et al., Tetrahedron Lett. 40 (51) (1999), 9097-9100; Beulshausen, T. et al., Liebigs Ann. Chem. (11) (1991), 1207-1209);

- by reducing N-aminophenylserine derivatives (Poupardin, O. et al., Tetrahedron Lett. 42 (8) (2001), 1523-1526);

- by cleaving N-carbamoylphenylserine derivatives (Park, H. et al., J. Org. Chem. 66 (21) (2001), 7223-7226 ; US 6057473; Kim, I. H. et al., Tetrahedron Lett. 42 (48) (2001), 8401-8403; Nicolaou, K. C. et al., Angew. Chem. Int. Edit. 37 (19) (1998), 2714-2716);

- by cleaving substituted oxazolidines (Zhou, C. Y. et al., Synthetic Commun. 17 (11) (1987), 1377-1382);

- by reducing 2-azido-3-hydroxyphenylpropionic acid derivatives (Corey, E. J. et al., Tetrahedron Lett. 32 (25) (1991), 2857-2860);

- by ring opening of aziridines with oxygen nucleophiles (Davis, F. A. et al., J. Org. Chem. 59 (12) (1994), 3243-3245);

- by cleaving substituted 2-oxazolidinones (Jung, M. E. et al., Synlett (1995) 563-564);

- by reducing 2-hydroxyimino-3-ketophenylpropionic acid derivatives (Inoue, H. et al., Chem. Phar. Bull. 41 (9) (1993), 1521-1523; Chang, Y.-T. et al., J. Am. Chem. Soc. 75 (1953), 89; US 4810817);

- by hydrolysis of phenylserineimino derivatives

(Solladiecavallo, A. et al., Gazz. Chim. Ital. 126 (3) (1996), 173-178; Solladiecavallo, A. et al., Tetrahedron Lett. 39 (15) (1998), 2191-2194);

- by cleaving N-acylphenylserine derivatives (Girard, A. et al., Tetrahedron Lett. 37 (44) (1996), 7967-7970);

- by reducing 2-hydroxyimino-3-hydroxyphenylpropionic acid derivatives (Boukhris, S. et al., Tetrahedron Lett. 40 (9) (1999), 1669-1672);

- by cleaving N-benzylphenylserine derivatives (Caddick, S., Tetrahedron, 57 (30) (2001), 6615-6626);

- by reducing 2-diazo-3-ketophenylpropionic acid derivatives (Looker, et al., J. Org. Chem. 22 (1957), 1233);

- by cleaving substituted imidazolidinones (Davis, A. C. et al., J. Chem. Soc. 3479 (1951));

$R^9 = SR^{17}$:

- by ring opening of substituted thiazolidines (Nagai, U. et al., Heterocycles 28 (2) (1989), 589-592);

- by ring opening of substituted aziridines with thiols (Legters, J. et al., Recl. Trav. Chim. Pays-Bas 111 (1) (1992), 16-21);

- by reducing 3-ketophenylalanine derivatives (US 4810817);

$R^9 = NR^{18}R^{19}$:

- by reducing substituted 2-azido-3-aminophenylalanine derivatives (Lee S. H., Tetrahedron 57(11) (2001), 2139-2145);

- by ring opening of substituted imidazolines (Zhou, X. T. et al., Tetrahedron Asymmetr. 10 (5) (1999), 855-862; Hayashi, T. et al., Tetrahedron Lett. 37 (28) (1996), 4969-4972).

The benzoic acids/benzoic acid derivatives of the formula IV required for preparing the

benzoyl derivatives of the formula III are commercially available or can be prepared analogously to procedures known from the literature via a Grignard reaction from the corresponding halide [for example A. Mannschuk et al., Angew. Chem. 100 (1988), 299].

The reaction of the benzoyl derivatives of the formula III where L^1 = hydroxyl or salts thereof with an amine of the formula II to give the desired benzoyl-substituted phenylalanineamides of the formula I is carried out in the presence of an activating agent and, if appropriate, in the presence of a base, usually at temperatures of from 0°C to the boiling point of the reaction mixture, preferably from 0°C to 100°C, particularly preferably at room temperature, in an inert organic solvent [cf. Perich, J. W., Johns, R. B., J. Org. Chem. 53 (17) (1988), 4103-4105; Somlai, C. et al., Synthesis (3) (1992), 285-287; Gupta, A. et al., J. Chem. Soc. Perkin Trans. 2 (1990), 1911; Guan et al., J. Comb. Chem. 2 (2000), 297].

Suitable activating agents are condensing agents, such as, for example, polystyrene-bound dicyclohexylcarbodiimide, diisopropylcarbodiimide, carbonyldiimidazole, chloroformates, such as methyl chloroformate, ethyl chloroformate, isopropyl chloroformate, isobutyl chloroformate, *sec*-butyl chloroformate or allyl chloroformate, pivaloyl chloride, polyphosphoric acid, propanephosphonic anhydride, bis(2-oxo-3-oxazolidinyl)phosphoryl chloride (BOPCl) or sulfonyl chlorides, such as methanesulfonyl chloride, toluenesulfonyl chloride or benzenesulfonyl chloride.

Suitable solvents are aliphatic hydrocarbons, such as pentane, hexane, cyclohexane and mixtures of C_5-C_8-alkanes, aromatic hydrocarbons, such as benzene, toluene, o-, m- and p-xylene, halogenated hydrocarbons, such as methylene chloride, chloroform and chlorobenzene, ethers, such as diethyl ether, diisopropyl ether, tert-butyl methyl ether, dioxane, anisole and tetrahydrofuran (THF), nitriles, such as acetonitrile and propionitrile, ketones, such as acetone, methyl ethyl ketone, diethyl ketone and tert-butyl methyl ketone, alcohols, such as methanol, ethanol, n-propanol, isopropanol, n-butanol and tert-butanol, and also dimethyl sulfoxide, dimethylformamide (DMF), dimethylacetamide (DMA) and N-methylpyrrolidone (NMP), or else water; particular preference is given to methylene chloride, THF, methanol, ethanol and water.

It is also possible to use mixtures of the solvents mentioned.

Suitable bases are, in general, inorganic compounds, such as alkali metal and alkaline earth metal hydroxides, such as lithium hydroxide, sodium hydroxide, potassium hydroxide and calcium hydroxide, alkali metal and alkaline earth metal oxides, such as lithium oxide, sodium oxide, calcium oxide and magnesium oxide, alkali metal and alkaline earth metal hydrides, such as lithium hydride, sodium hydride, potassium hydride and calcium hydride, alkali metal and alkaline earth metal carbonates, such as lithium carbonate, potassium carbonate and calcium carbonate, and also alkali metal bicarbonates, such as sodium bicarbonate, moreover organic bases, for example tertiary amines, such as trimethylamine, triethylamine, diisopropylethylamine, N-methylmorpholine and N-methylpiperidine, pyridine, substituted pyridines, such as collidine, lutidine and 4-dimethylaminopyridine, and also bicyclic amines. Particular preference is given to sodium hydroxide, triethylamine, ethyldiisopropylamine, N-methylmorpholine and pyridine.

The bases are generally employed in catalytic amounts; however, they can also be employed in equimolar amounts, in excess or, if appropriate, as solvent.

The starting materials are generally reacted with one another in equimolar amounts. It may be advantageous to use an excess of II, based on III.

Work-up and isolation of the products can be carried out in a manner known per se.

The reaction of the benzoyl derivatives of the formula III where L^1 = C_1-C_6-alkoxy with an amine of the formula II to give the desired benzoyl-substituted phenylalanineamides of the formula I is usually carried out at temperatures of from 0°C to the boiling point of the reaction mixture, preferably from 0°C to 100°C, particularly preferably at room temperature, in an inert organic solvent, if appropriate in the presence of a base [cf. Kawahata, N. H. et al., Tetrahedron Lett. 43 (40) (2002), 7221-7223; Takahashi, K. et al., J. Org. Chem. 50 (18) (1985), 3414-3415; Lee, Y. et al., J. Am. Chem. Soc. 121 (36) (1999), 8407-8408].

Suitable solvents are aliphatic hydrocarbons, such as pentane, hexane, cyclohexane and mixtures of C_5-C_8-alkanes, aromatic hydrocarbons, such as benzene, toluene, o-, m- and p-xylene, halogenated hydrocarbons, such as methylene chloride, chloroform and chlorobenzene, ethers, such as diethyl ether, diisopropyl ether, tert-butyl methyl ether, dioxane, anisole and tetrahydrofuran (THF), nitriles, such as acetonitrile and propionitrile, ketones, such as acetone, methyl ethyl ketone, diethyl ketone and tert-

butyl methyl ketone, alcohols, such as methanol, ethanol, n-propanol, isopropanol, n-butanol and tert-butanol, and also dimethyl sulfoxide, dimethylformamide (DMF), dimethylacetamide (DMA) and N-methylpyrrolidone (NMP) or else water; particular preference is given to methylene chloride, THF, methanol, ethanol and water.

It is also possible to use mixtures of the solvents mentioned.

The reaction can, if appropriate, be carried out in the presence of a base. Suitable bases are, in general, inorganic compounds, such as alkali metal and alkaline earth metal hydroxides, such as lithium hydroxide, sodium hydroxide, potassium hydroxide and calcium hydroxide, alkali metal and alkaline earth metal oxides, such as lithium oxide, sodium oxide, calcium oxide and magnesium oxide, alkali metal and alkaline earth metal hydrides, such as lithium hydride, sodium hydride, potassium hydride and calcium hydride, alkali metal and alkaline earth metal carbonates, such as lithium carbonate, potassium carbonate and calcium carbonate, and also alkali metal bicarbonates, such as sodium bicarbonate, moreover organic bases, for example tertiary amines, such as trimethylamine, triethylamine, diisopropylethylamine, N-methylmorpholine, and N-methylpiperidine, pyridine, substituted pyridines, such as collidine, lutidine and 4-dimethylaminopyridine, and also bicyclic amines. Particular preference is given to sodium hydroxide, triethylamine, ethyldiisopropylamine, N-methylmorpholine and pyridine.

The bases are generally employed in catalytic amounts; however, they can also be employed in equimolar amounts, in excess or, if appropriate, as solvent.

The starting materials are generally reacted with one another in equimolar amounts. It may be advantageous to employ an excess of II, based on III.

Work-up and isolation of the products can be carried out in a manner known per se.

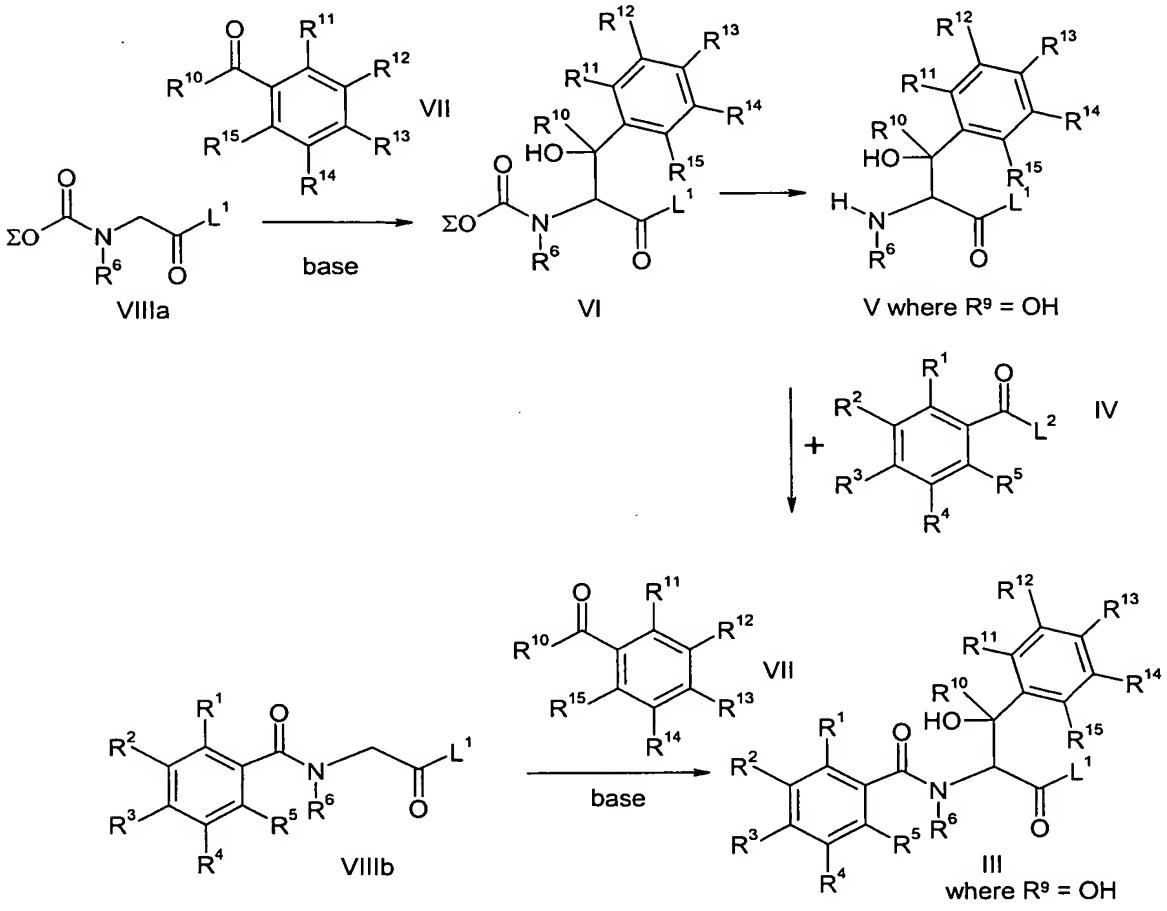
The amines of the formula II required for preparing the benzoyl-substituted phenylalanineamides of the formula I are commercially available.

Process B

Benzoyl derivatives of the formula III where R^9 = hydroxyl can also be obtained by condensing acylated glycine derivatives of the formula VIII where the acyl group is a cleavable protective group, such as benzyloxycarbonyl (cf. VIIIa where Σ = benzyl) or

tert-butyloxycarbonyl (cf. VIIIa where Σ = tert-butyl) with heterocyclylcarbonyl compounds VII to give the corresponding aldol products VI. The protective group is then removed, and the resulting phenylalanines of the formula V where R^9 = hydroxyl are acylated with benzoic acids/benzoic acid derivatives of the formula IV.

Analogously, it is also possible to react an acylated glycine derivative of the formula VIII where the acyl group is a substituted benzoyl radical (cf. VIIIb) under action of bases with a heterocyclylcarbonyl compound VII to give the benzoyl derivative III where R^9 = hydroxyl:



L^1 is a nucleophilically displaceable leaving group, for example hydroxyl or C_1-C_6-alkoxy.

L^2 is a nucleophilically displaceable leaving group, for example hydroxyl, halogen, C_1-C_6-alkylcarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_4-alkylsulfonyl, phosphoryl or isoureyl.

The reaction of the glycine derivatives VIII with heterocyclyl compounds VII to give the corresponding aldol product VI or benzoyl derivative III where R^9 = hydroxyl is usually carried out at temperatures of from -100°C to the boiling point of the reaction mixture, preferably from -80°C to 20°C, particularly preferably from -80°C to -20°C, in an inert organic solvent in the presence of a base [cf. J.-F. Rousseau et al., J. Org. Chem. 63 (1998), 2731-2737].

Suitable solvents are aliphatic hydrocarbons, such as pentane, hexane, cyclohexane and mixtures of C_5-C_8-alkanes, aromatic hydrocarbons, such as toluene, o-, m- and p-xylene, ethers, such as diethyl ether, diisopropyl ether, tert-butyl methyl ether, dioxane, anisole and tetrahydrofuran, and also dimethyl sulfoxide, dimethylformamide and dimethylacetamide, particularly preferably diethyl ether, dioxane and tetrahydrofuran.

It is also possible to use mixtures of the solvents mentioned.

Suitable bases are, in general, inorganic compounds, such as alkali metal and alkaline earth metal anhydrides, such as lithium hydride, sodium hydride, potassium hydride and calcium hydride, alkali metal azides, such as lithium hexamethyldisilazide, organometallic compounds, in particular alkali metal alkyls, such as methyllithium, butyllithium and phenyllithium, and also alkali metal and alkaline earth metal alkoxides, such as sodium methoxide, sodium ethoxide, potassium ethoxide, potassium tert-butoxide, potassium tert-pentoxide and dimethoxymagnesium, moreover organic bases, for example tertiary amines, such as trimethylamine, triethylamine, diisopropylethylamine and N-methylpiperidine, pyridine, substituted pyridines, such as collidine, lutidine and 4-dimethylaminpyridine, and also bicyclic amines. Particular preference is given to sodium hydride, lithium hexamethyldisilazide and lithium diisopropylamide.

The bases are generally employed in equimolar amounts; however, they can also be employed in catalytic amounts, in excess or, if appropriate, as solvents.

The starting materials are generally reacted with one another in equimolar amounts. It may be advantageous to employ an excess of base and/or the heterocyclylcarbonyl compounds VII, based on the glycine derivatives VIII.

Work-up and isolation of the products can be carried out in a manner known per se.

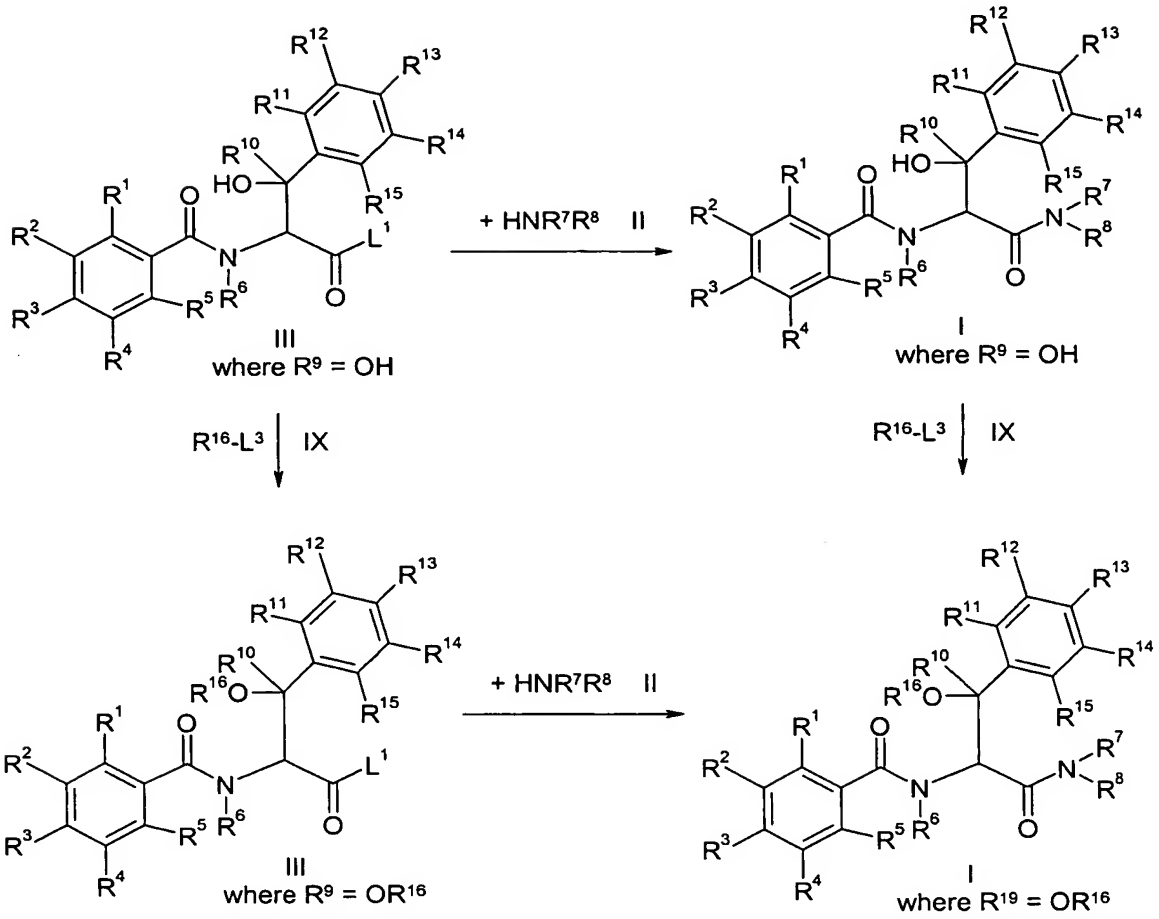
The glycine derivatives of the formula VIII required for preparing the compounds I are commercially available, known from the literature [for example H. Pessoa-Mahana et al., Synth. Comm. 32 (2002), 1437] or can be prepared in accordance with the literature cited.

The removal of the protective group to give phenylalanines of the formula V where R^9 = hydroxyl is carried out by methods known from the literature (cf. J.-F. Rousseau et al., J. Org. Chem. 63 (1998), 2731-2737; J.M. Andres, Tetrahedron 56 (2000), 1523]; in the case of Σ = benzyl by hydrogenolysis, preferably using hydrogen and Pd/C in methanol, in the case of Σ = tert-butyl by using an acid, preferably hydrochloric acid in dioxane.

The reaction of the phenylalanines V where R^9 = hydroxyl with benzoic acids/benzoic acid derivatives IV to give benzoyl derivatives III where R^9 = hydroxyl is usually carried out analogously to the reaction, mentioned in process A, of the phenylalanines of the formula V with benzoic acids/benzoic acid derivatives of the formula IV to give benzoyl derivatives III.

The benzoyl derivatives of the formula III where R^9 = hydroxyl can then be reacted analogously to process A with amines of the formula II to give the desired benzoyl-substituted phenylalanineamides of the formula I where R^9 = hydroxyl, which can then be derivatized with compounds of the formula IX to give benzoyl-substituted phenylalanineamides of the formula I where $R^9 = OR^{16}$ [cf., for example, Yokokawa, F. et al., Tetrahedron Lett. 42 (34) (2001), 5903-5908; Arrault, A. et al., Tetrahedron Lett. 43 (22) (2002), 4041-4044].

It is also possible to initially derivatize the benzoyl derivatives of the formula III where R^9 = hydroxyl with compounds of the formula IX to give further benzoyl derivatives of the formula III [cf., for example, Troast, D. et al., Org. Lett. 4 (6) (2002), 991-994: Ewing W. et al., Tetrahedron Lett. 30 (29) (1989), 3757-3760; Paulsen, H. et al., Liebigs Ann. Chem. (1987), 565], followed by reaction, analogously to process A, with amines of the formula II to give the desired benzoyl-substituted phenylalanineamides of the formula I where $R^9 = OR^{16}$:



L^1 is a nucleophilically displaceable leaving group, for example hydroxyl or C_1-C_6-alkoxy.

L^3 is a nucleophilically displaceable leaving group, for example halogen, hydroxyl or C_1-C_6-alkoxy.

The reaction of the benzoyl derivatives of the formula III where R^9 = hydroxyl or OR^{16} with amines of the formula II to give benzoyl-substituted phenylalanineamides of the formula I where R^9 = hydroxyl or OR^{16} is usually carried out analogously to the reaction, outlined in process A, of the benzoyl derivatives of the formula III with amines of the formula II.

The reaction of the benzoyl derivatives of the formula III where R^9 = hydroxyl or of the benzoyl-substituted phenylalanineamides of the formula I where R^9 = hydroxyl with compounds of the formula IX to give benzoyl derivatives of the formula III where R^9 = OR^{16} and benzoyl-substituted phenylalanineamides of the formula I where R^9 =

OR^{16}, respectively, is usually carried out at temperatures of from 0°C to 100°C, preferably 10°C to 50°C, in an inert organic solvent in the presence of a base [cf., for example, Troast, D. et al., Org. Lett. 4 (6), (2002), 991-994; Ewing W. et al., Tetrahedron Lett. 30 (29) (1989), 3757-3760; Paulsen, H. et al., Liebigs Ann. Chem. (1987), 565].

Suitable solvents are aliphatic hydrocarbons, such as pentane, hexane, cyclohexane and mixtures of C_5-C_8-alkanes, aromatic hydrocarbons, such as toluene, o-, m- and p-xylene, halogenated hydrocarbons, such as methylene chloride, chloroform and chlorobenzene, ethers, such as diethyl ether, diisopropyl ether, tert-butyl methyl ether, dioxane, anisole and tetrahydrofuran, nitriles, such as acetonitrile and propionitrile, ketones, such as acetone, methyl ethyl ketone, diethyl ketone and tert-butyl methyl ketone, alcohols, such as methanol, ethanol, n-propanol, isopropanol, n-butanol and tert-butanol, and also dimethyl sulfoxide, dimethylformamide and dimethylacetamide, particularly preferably dichloromethane, tert-butyl methyl ether, dioxane and tetrahydrofuran.

It is also possible to use mixtures of the solvents mentioned.

Suitable bases are, in general, inorganic compounds, such as alkali metal and alkaline earth metal hydroxides, such as lithium hydroxide, sodium hydroxide, potassium hydroxide and calcium hydroxide, alkali metal and alkaline earth metal oxides, such as lithium oxide, sodium oxide, calcium oxide and magnesium oxide, alkali metal and alkaline earth metal hydrides, such as lithium hydride, sodium hydride, potassium hydride and calcium hydride, alkali metal amides, such as lithium amide, sodium amide and potassium amide, alkali metal and alkaline earth metal carbonates, such as lithium carbonate, potassium carbonate and calcium carbonate, and also alkali metal bicarbonates, such as sodium bicarbonate, organometallic compounds, in particular alkali metal alkyls, such as methyllithium, butyllithium and phenyllithium, alkylmagnesium halides, such as methylmagnesium chloride, and also alkali metal and alkaline earth metal alkoxides, such as sodium methoxide, sodium ethoxide, potassium ethoxide, potassium tert-butoxide, potassium tert-pentoxide and dimethoxymagnesium, moreover organic bases, for example tertiary amines, such as trimethylamine, triethylamine, diisopropylethylamine and N-methylpiperidine, pyridine, substituted pyridines, such as collidine, lutidine and 4-dimethylaminopyridine, and also bicyclic amines. Particular preference is given to sodium hydroxide, sodium hydride and triethylamine.

The bases are generally employed in equimolar amounts; however, they can also be used in catalytic amounts, in excess or, if appropriate, as solvent.

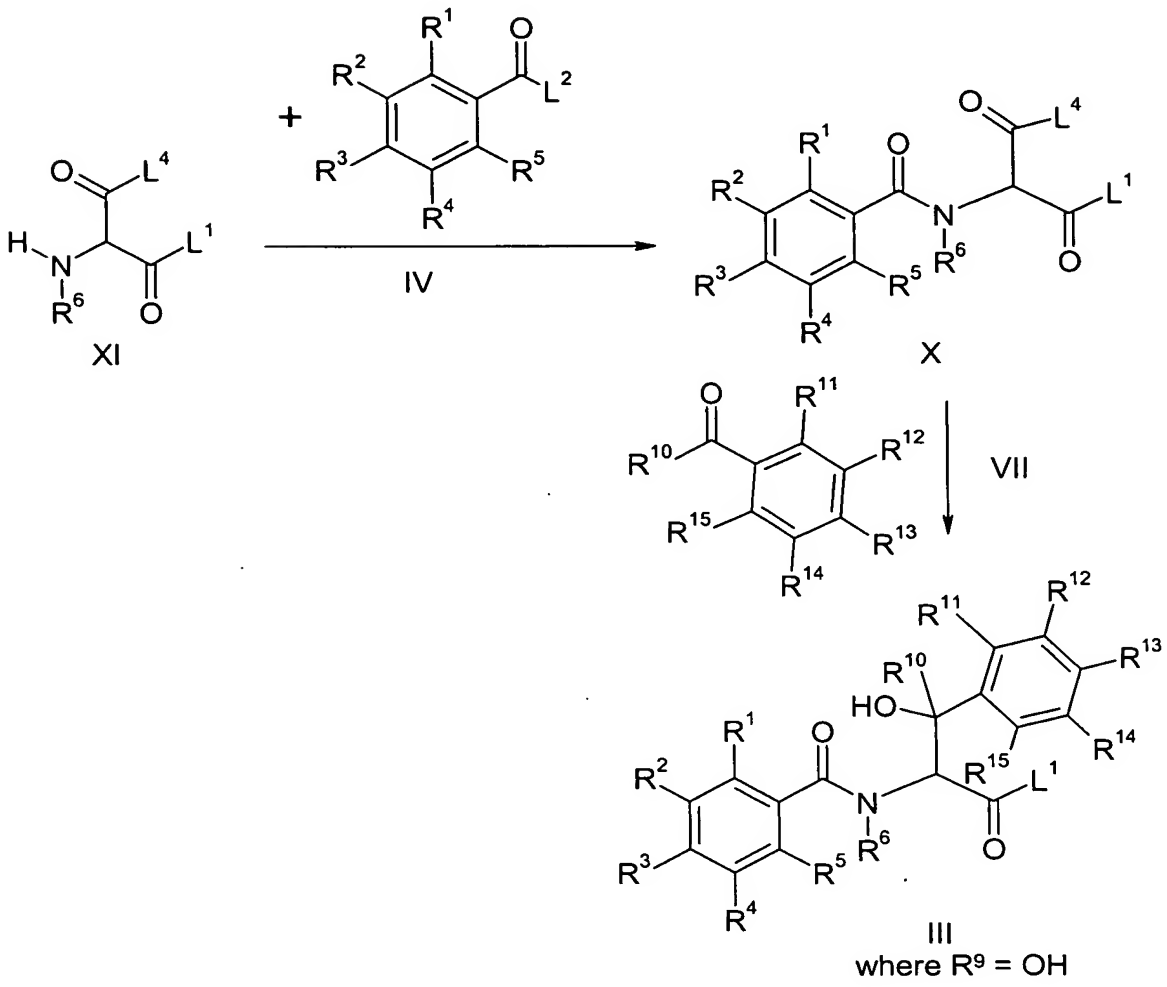
The starting materials are generally reacted with one another in equimolar amounts. It may be advantageous to employ an excess of base and/or IX, based on III or I.

Work-up and isolation of the products can be carried out in a manner known per se.

The required compounds of the formula VIII are commercially available.

Process C

Benzoyl derivatives of the formula III where R^9 = hydroxyl can also be obtained by initially acylating aminomalonyl compounds of the formula XI with benzoic acids/benzoic acid derivatives of the formula IV to give the corresponding N-acylaminomalonyl compounds of the formula X, followed by condensation with a heterocyclylcarbonyl compound of the formula VII with decarboxylation:



L^1 is a nucleophilically displaceable leaving group, for example hydroxyl or C_1-C_6-alkoxy.

L^2 is a nucleophilically displaceable leaving group, for example hydroxyl, halogen, C_1-C_6-alkylcarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-alkylsulfonyl, phosphoryl or isoureyl.

L^4 is a nucleophilically displaceable leaving group, for example hydroxyl or C_1-C_6-alkoxy.

The acylation of the aminomalonyl compounds of the formula XI with benzoic acid/benzoic acid derivatives of the formula IV to give the corresponding N-acylaminomalonyl compounds of the formula X is usually carried out analogously to the reaction, mentioned in process A, of the phenylalanines of the formula V with benzoic acids/benzoic acid derivatives of the formula IV to give the corresponding benzoyl derivatives of the formula III.

The reaction of the N-acylaminomalonyl compounds of the formula X with heterocyclylcarbonyl compounds of the formula VII to give benzoyl derivatives of the formula III where R^9 = hydroxyl is usually carried out at temperatures of from 0°C to 100°C, preferably from 10°C to 50°C, in an inert organic solvent in the presence of a base [cf., for example, US 4904674; Hellmann, H. et al., Liebigs Ann. Chem. 631 (1960), 175-179].

If L^4 in the N-acylaminomalonyl compounds of the formula X is C_1-C_6-alkoxy, it is advantageous to initially convert L^4 by ester hydrolysis [for example Hellmann, H. et al., Liebigs Ann. Chem. 631 (1960), 175-179] into a hydroxyl group.

Suitable solvents are aliphatic hydrocarbons, such as pentane, hexane, cyclohexane and mixtures of C_5-C_8-alkanes, aromatic hydrocarbons, such as toluene, o-, m- and p-xylene, halogenated hydrocarbons, such as methylene chloride, chloroform and chlorobenzene, ethers, such as diethyl ether, diisopropyl ether, tert-butyl methyl ether, dioxane, anisole and tetrahydrofuran, nitriles, such as acetonitrile and propionitrile, ketones, such as acetone, methyl ethyl ketone, diethyl ketone and tert-butyl methyl ketone, alcohols, such as methanol, ethanol, n-propanol, isopropanol, n-butanol and tert-butanol, and also dimethyl sulfoxide, dimethylformamide and dimethylacetamide, particularly preferably diethyl ether, dioxane and tetrahydrofuran.

It is also possible to use mixtures of the solvents mentioned.

Suitable bases are, in general, inorganic compounds, such as alkali metal and alkaline earth metal hydroxides, such as lithium hydroxide, sodium hydroxide, potassium hydroxide and calcium hydroxide, alkali metal and alkaline earth metal oxides, such as lithium oxide, sodium oxide, calcium oxide and magnesium oxide, alkali metal and alkaline earth metal hydrides, such as lithium hydride, sodium hydride, potassium hydride and calcium hydride, alkali metal amides, such as lithium amide, sodium amide and potassium amide, alkali metal and alkaline earth metal carbonates, such as lithium carbonate, potassium carbonate and calcium carbonate, and also alkali metal bicarbonates, such as sodium bicarbonate, organometallic compounds, in particular alkali metal alkyls, such as methyllithium, butyllithium and phenyllithium, alkylmagnesium halides, such as methylmagnesium chloride, and also alkali metal and alkaline earth metal alkoxides, such as sodium methoxide, sodium ethoxide, potassium ethoxide, potassium tert-butoxide, potassium tert-pentoxide and dimethoxymagnesium,

moreover organic bases, for example tertiary amines, such as trimethylamine, triethylamine, diisopropylethylamine and N-methylpiperidine, pyridine, substituted pyridines, such as collidine, lutidine and 4-dimethylaminopyridine, and also bicyclic amines. Particular preference is given to triethylamine and diisopropylethylamine.

The bases are generally employed in catalytic amounts; however, they can also be used in equimolar amounts, in excess or, if appropriate, as solvent.

The starting materials are generally reacted with one another in equimolar amounts. It may be advantageous to employ an excess of base, based on X.

Work-up and isolation of the products can be carried out in a manner known per se.

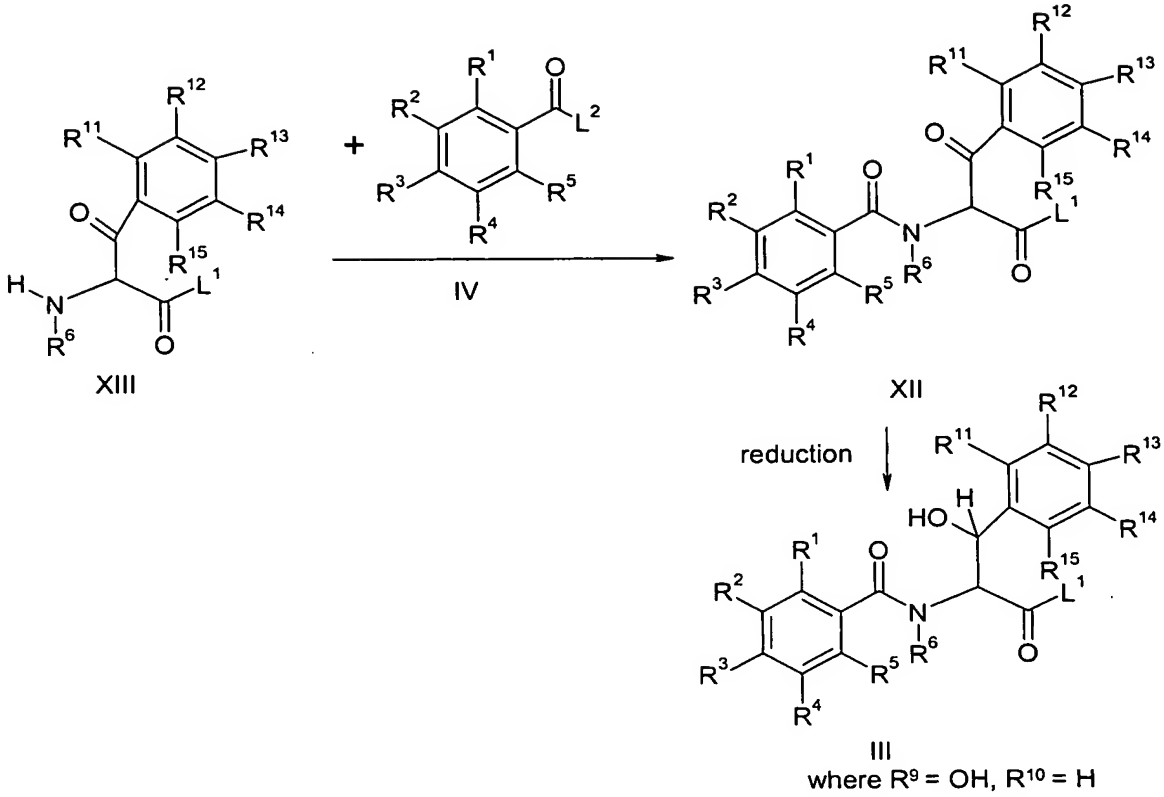
The resulting benzoyl derivatives of the formula III where R^9 = hydroxyl can then, in accordance with the processes A and B mentioned above, be converted into the desired benzoyl-substituted phenylalanineamides of the formula I where $R^9 = OR^{16}$.

The required aminomalonyl compounds of the formula XI are commercially available or known from the literature [for example US 4904674; Hellmann, H. et al., Liebigs Ann. Chem. 631 (1960), 175-179], or they can be prepared in accordance with the literature cited.

The required heterocyclic compounds of the formula VII are commercially available.

Process D

Benzoyl derivatives of the formula III where R^9 = hydroxyl and R^{10} = hydrogen can also be obtained by initially acylating keto compounds of the formula XIII with benzoic acids/benzoic acid derivatives of the formula IV to give the corresponding N-acyl keto compounds of the formula XII, followed by reduction of the keto group [Girard A., Tetrahedron Lett. 37(44) (1996), 7967-7970; Nojori R., J. Am. Chem. Soc. 111(25) (1989), 9134-9135; Schmidt U., Synthesis (12) (1992), 1248-1254; Bolhofer, A., J. Am. Soc. 75 (1953), 4469]:



L^1 is a nucleophilically displaceable leaving group, for example hydroxyl or C_1-C_6-alkoxy.

L^2 is a nucleophilically displaceable leaving group, for example hydroxyl, halogen, C_1-C_6-alkylcarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-alkylsulfonyl, phosphoryl or isoureyl.

The acylation of the keto compounds of the formula XIII with benzoic acids/benzoic acid derivatives of the formula IV give N-acyl keto compounds of the formula XII is usually carried out analogously to the reaction, mentioned in process A, of phenylalanines of the formula V with benzoic acids/benzoic acid derivatives of the formula IV to give the corresponding benzoyl derivatives of the formula III.

The keto compounds of the formula XIII required for preparing the benzoyl derivatives of the formula III where R^9 = hydroxyl and R^{10} = hydrogen are known from the literature [WO 02/083111; Boto, A. et al., Tetrahedron Letters 39 (44) (1988), 8167-8170; von Geldern, T. et al., J. Med. Chem. 39(4) (1996), 957-967; Singh, J. et al., Tetrahedron Letters 34 (2) (1993), 211-214; ES 2021557; Maeda, S. et al., Chem. Pharm. Bull. 32 (7) (1984), 2536-2543; Ito, S. et al., J. Biol. Chem. 256 (15) (1981), 7834-4783; Vinograd, L. et al., Zhurnal Organischeskoi Khimii 16 (12) (1980), 2594-2599;

Castro, A. et al., J. Org. Chem. 35 (8) (1970), 2815-2816; JP 02-172956; Suzuki, M. et al., J. Org. Chem. 38 (20) (1973), 3571-3575; Suzuki, M. et al., Synthetic Communications 2 (4) (1972), 237-242], or they can be prepared in accordance with the literature cited.

The reduction of the N-acyl keto compounds of the formula XII to benzoyl derivatives of the formula III where R^9 = hydroxyl and R^{10} = hydrogen is usually carried out at temperatures of from 0°C to 100°C, preferably from 20°C to 80°C, in an inert organic solvent in the presence of a reducing agent.

Suitable solvents are aliphatic hydrocarbons, such as pentane, hexane, cyclohexane and mixtures of C_5-C_8-alkanes, aromatic hydrocarbons, such as toluene, o-, m- and p-xylene, halogenated hydrocarbons, such as methylene chloride, chloroform and chlorobenzene, ethers, such as diethyl ether, diisopropyl ether, tert-butyl methyl ether, dioxane, anisole and tetrahydrofuran, nitriles, such as acetonitrile and propionitrile, ketones, such as acetone, methyl ethyl ketone, diethyl ketone and tert-butyl methyl ketone, alcohols, such as methanol, ethanol, n-propanol, isopropanol, n-butanol and tert-butanol, and also dimethyl sulfoxide, dimethylformamide and dimethylacetamide, particularly preferably toluene, methylene chloride or tert-butyl methyl ether.

It is also possible to use mixtures of the solvents mentioned.

Suitable reducing agents are, for example, sodium borohydride, zinc borohydride, sodium cyanoborohydride, lithium triethylborohydride (Superhydrid®), lithium tri-sec-butylborohydride (L-Selectrid®), lithium aluminum hydride or borane [cf. for example, WO 00/20424; Marchi, C. et al., Tetrahedron 58 (28) (2002), 5699; Blank, S. et al., Liebigs Ann. Chem. (8) (1993), 889-896; Kuwano, R. et al., J. Org .Chem. 63 (10) (1998), 3499-3503; Clariana, J. et al., Tetrahedron 55 (23) (1999), 7331-7344].

It is furthermore also possible to carry out the reduction in the presence of hydrogen and a catalyst. Suitable catalysts are, for example, [Ru(BINAP)Cl_2] or Pd/C [cf. Noyori, R. et al., J. Am. Chem. Soc. 111 (25) (1989), 9134-9135; Bolhofer, A. et al., J. Am. Chem. Soc. 75 (1953), 4469].

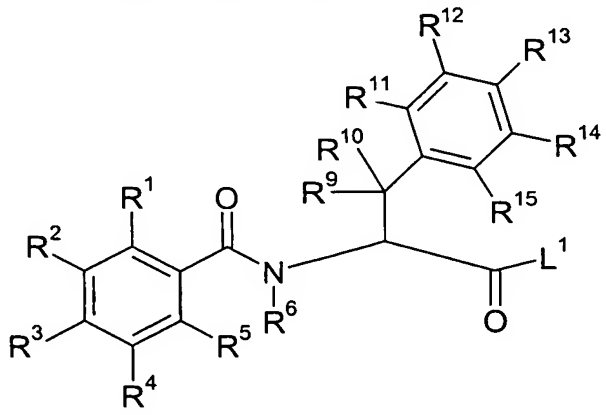
In addition, the reduction can also be carried out in the presence of a microorganism. A suitable microorganism is, for example, *Saccharomyces Rouxii* [cf. Soukup, M. et al., Helv. Chim. Acta 70 (1987), 232].

The N-acyl keto compounds of the formula XII and the respective reducing agent are generally reacted with one another in equimolar amounts. It may be advantageous to employ an excess of reducing agent, based on XII.

Work-up and isolation of the products can be carried out in a manner known per se.

The resulting benzoyl derivatives of the formula III where R^9 = hydroxyl and R^{10} = hydrogen can then be reacted in accordance with the processes A and B mentioned above to give the desired benzoyl-substituted phenylalanineamides of the formula I where $R^9 = OR^{16}$.

The present invention also provides benzoyl derivatives of the formula III



III,

where R^1 to R^6 and R^9 to R^{15} are as defined in claim 1 and L^1 is a nucleophilically displaceable leaving group, such as hydroxyl or C_1-C_6-alkoxy.

The particularly preferred embodiments of the benzoyl derivatives of the formula III with respect to the variables correspond to those of the radicals R^1 to R^6 and R^9 to R^{15} of the formula I.

Particular preference is given to benzoyl derivatives of the formula III in which

R^1 is fluorine, chlorine or CF_3,

R^2 and R^3 independently of one another are hydrogen, fluorine or chlorine,

R^4, R^5 and R^6 are hydrogen,

R^9 is OR^{16}, SR^{17} or $NR^{18}R^{19}$;

R^{10} is hydrogen;

R^{11} is hydrogen, fluorine or CH_3;

R^{12} is hydrogen, fluorine or chlorine;

R^{13}, R^{14} and R^{15} are hydrogen;

R^{16} and R^{18} independently of one another are hydrogen, C_1-C_4-alkylcarbonyl, C_1-C_4-alkylaminocarbonyl, di(C_1-C_4-alkyl)aminocarbonyl, phenylaminocarbonyl, N-(C_1-C_4-alkyl)-N-(phenyl)aminocarbonyl, SO_2CH_3, SO_2CF_3 or $SO_2(C_6H_5)$;

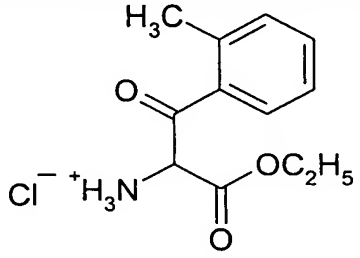
R^{17} is hydrogen, C_1-C_4-alkylcarbonyl, C_1-C_4-alkoxycarbonyl, C_1-C_4-alkylaminocarbonyl, di(C_1-C_4-alkyl)aminocarbonyl, N-(C_1-C_4-alkoxy-N-C_1-C_4-alkyl)aminocarbonyl; and

R^{19} is hydrogen or C_1-C_4-alkyl.

Example 1

(2S,3R)-2-(4-Fluoro-2-trifluoromethylbenzoylamino)-2-methylcarbamoyl-1-o-tolylethyl methylphenylcarbamate (Tab. 3, No. 3.34)

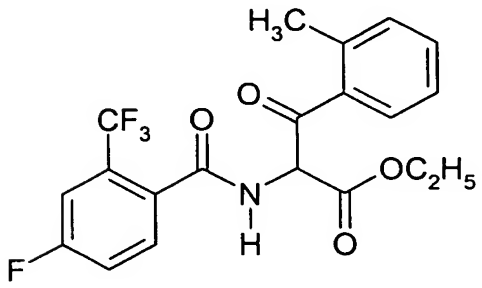
1.1) Ethyl 2-amino-3-oxo-3-o-tolylpropionate hydrochloride



Under nitrogen, 4.2 g (0.038 mol) of potassium tert-butoxide were suspended in THF. The mixture was cooled to –78°C, and 10.0 g (0.037 mol) of ethyl N-(diphenylmethylene)glycinate, dissolved in THF, were added dropwise. After 40 min at –78 °C, the solution was transferred into a cooled dropping funnel (-78°C) and added dropwise to a solution, cooled to –78°C, of 2-methylbenzoyl chloride in THF. After 1 h of stirring at –78°C, the reaction mixture was allowed to warm to 0°C over a period of 2 h. The mixture was hydrolyzed using 10% strength hydrochloric acid, and stirring was continued. The solvents were removed and the residue was taken up in water and washed with MTBE. The aqueous phase was concentrated, methanol was added to the residue and the mixture was filtered. Concentration of the filtrate gave 6.2 g of the title compound as a colorless oil.

^{1}H-NMR (DMSO): δ = 9.3 (br, 3H, NH); 7.3-7.6 (m, 4H), 4.1 (m, 2H); 3.7 (m, 1H); 2.40 (s, 3H); 0.95 (t, 3H).

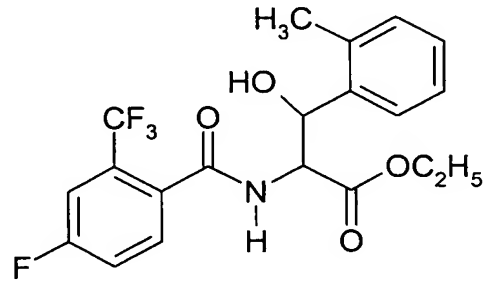
1.2) Ethyl 2-(4-fluoro-2-trifluoromethylbenzoylamino)-3-oxo-3-o-tolylpropionate



6.2 g (0.024 mol) of ethyl 2-amino-3-oxo-3-o-tolylpropionate hydrochloride were dissolved in methylene chloride, and 9.7 g (0.096 mol) of triethylamine were added. At 0°C, 5.4 g (0.024 mol) of 4-fluoro-2-trifluoromethylbenzoyl chloride, dissolved in methylene chloride, were added dropwise. The mixture was stirred at room temperature (RT) for 1 h, and 5% strength hydrochloric acid was then added. The organic phase was separated off, washed and dried, and the solvent was removed. Chromatographic purification (silica gel column, cyclohexane/ethyl acetate) gave 4.7 g of the title compound as colorless crystals.

^{1}H-NMR (DMSO): δ = 9.61 (d, 1H); 7.3-7.9 (m, 7H); 6.18 (d, 1H); 4.1-4.3 (m, 2H); 2.40 (s, 3H); 1.15 (t, 3H).

1.3) Ethyl (2S,3R)-2-(4-fluoro-2-trifluoromethylbenzoylamino)-3-hydroxy-3-o-tolylpropionate

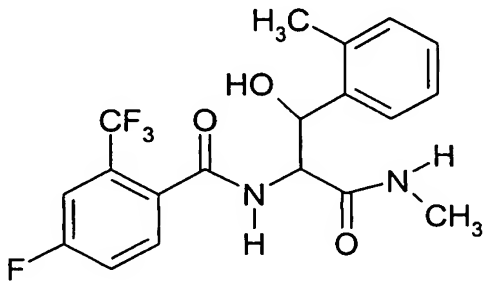


4.7 g (0.0114 mol) of ethyl 2-(4-fluoro-2-trifluoromethylbenzoylamino)-3-oxo-3-o-tolylpropionate were dissolved in methylene chloride, the solution was degassed in an ultrasonic bath and 200 mg of catalyst mix were added. The catalyst mix had been prepared beforehand by heating 78 mg of dichloro(p-cymene)ruthenium(II) dimer ($RuCl_2Cy$) and 138 mg of BINAP in methylene chloride and ethanol at 50°C for 1 h, followed by removal of the solvents.

The solution was heated under a hydrogen pressure of 80 bar at 50°C for 90 h. Removal of the solvents and chromatographic purification (silica gel column, cyclohexane/ethyl acetate) gave 3.4 g of the title compound as colorless crystals.

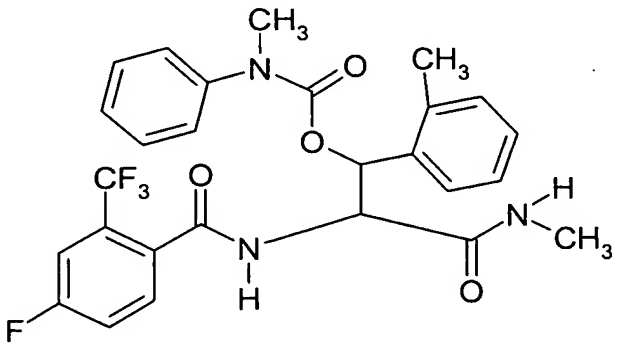
^{1}H-NMR (DMSO): δ = 8.95 (d, 1H); 7.0-8.7 (m, 7H); 5.80 (d, 1H); 5.40 (t, 1H); 4.75 (dd, 1H); 4.10 (m, 2H); 2.30 (s, 3H); 1.20 (t, 3H).

1.4) (2S,3R)-N-Methyl-2-(4-fluoro-2-trifluoromethylbenzoylamino)-3-hydroxy-3-o-tolylpropionamide



3.4 g (0.0082 mol) of ethyl (2S,3R)-2-(4-fluoro-2-trifluoromethylbenzoylamino)-3-hydroxy-3-o-tolylpropionate were dissolved in ethanol. Methylamine gas was introduced at room temperature. After 1.5 h, the mixture was warmed to 30-35°C for 1 h. Removal of the solvents gave 3.1 g of the title compound as colorless crystals.
^{1}H-NMR (DMSO): δ = 8.45 (d, 1H); 7.0-7.7 (m, 7H); 5.70 (d, 1H); 5.30 (t, 1H); 4.65 (dd, 1H); 2.65 (d, 3H); 2.40 (s, 3H); 1.10 (t, 3H).

1.5) (2S,3R)-N-Methyl-2-(4-fluoro-2-trifluoromethylbenzoylamino)-3-(N-phenyl-N-methylaminocarbonyloxy)-3-o-tolylpropionamide (Tab. 3, No. 3.34)

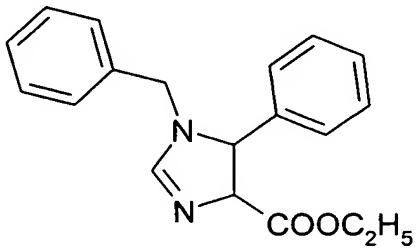


0.4 g (0.001 mol) of (2S,3R)-N-methyl-2-(4-fluoro-2-trifluoromethylbenzoylamino)-3-hydroxy-3-o-tolylpropionamide was dissolved in methylene chloride, 0.13 g (0.0013 mol) of triethylamine and a spatula-tip of 4-dimethylaminopyridine were added, and 0.22 g of N-phenyl-N-methylcarbamoyl chloride in methylene chloride was added dropwise. The suspension was stirred for 15 hours and then extracted with 5% strength hydrochloric acid and $NaHCO_3$ solution and dried. Chromatographic purification (silica gel column, cyclohexane/ethyl acetate) gave 0.28 g of the title compound as a colorless oil.
^{1}H-NMR (DMSO): δ = 8.8 (br, 1H); 7.0-7.6 (m, 12H); 5.70 (d, 1H); 5.30 (br, 1H); 4.85 (dd, 1H); 2.75 (d, 3H); 2.55 (d, 3H); 2.40 (s, 3H).

Example 2

N-[2-(Benzylformylamino)-1-methylcarbamoyl-2-phenylethyl]-4-fluoro-2-trifluoromethylbenzamide (Tab. 3, No. 3.43)

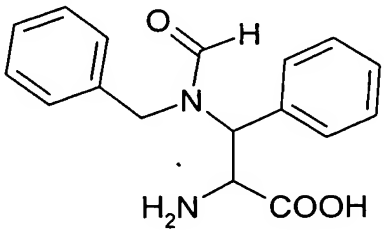
2.1) Ethyl 1-benzyl-5-phenyl-4,5-dihydro-1H-imidazole-4-carboxylate



25.7 g (0.1305 mol) of benzylidenebenzylamine were dissolved in ethanol, and 15.2 g (0.1305 mol) of ethyl isocyanoacetate were added dropwise. The solution was heated under reflux for 16 h. Removal of the solvents and drying gave 40.2 g of the title compound as a colorless oil.

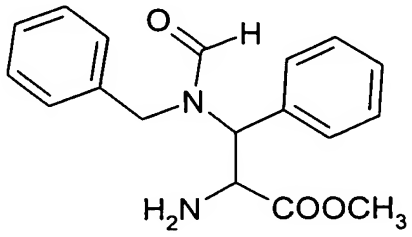
^{1}H-NMR (DMSO): δ = 7.1-7.4 (m, 10H); 4.6 (d, 1H); 4.5 (d, 1H); 4.3 (d, 1H); 4.1 (q, 2H); 3.8 (d, 1H); 1.1 (t, 3H).

2.2) 2-Amino-3-(N-benzyl-N-formylamino)-3-phenylpropionic acid



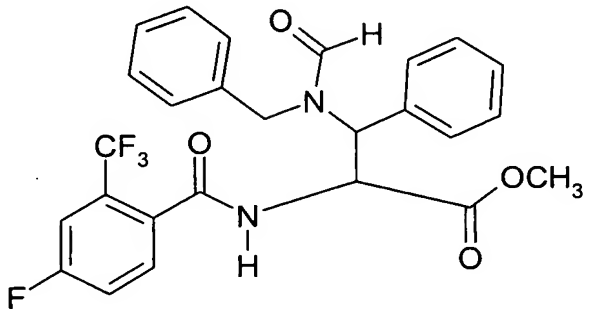
14.8 g (0.048 mol) of ethyl 1-benzyl-5-phenyl-4,5-dihydro-1H-imidazole-4-carboxylate were heated under reflux in 100 ml of 47% strength HBr solution for 3 h. The solvents were removed and the residue was stirred with water and filtered. The solvents were removed and the residue was taken up in ethanol and diluted with diethyl ether. The resulting suspension was filtered and the solvents were removed. This gave 14.0 g of the title compound as a crude product which was used in the next step without purification.

2.3) Methyl 2-amino-3-(N-benzyl-N-formylamino)-3-phenylpropionate



13.5 g (0.04 mol) of 2-amino-3-(N-benzyl-N-formylamino)-3-phenylpropionic acid were dissolved in methanol, and 7.1 g (0.06 mol) of thionyl chloride and 1 drop of DMF were added dropwise. After 20 hours, the solvents were removed, the residue was suspended in diethyl ether and 5% strength $NaHCO_3$ solution was added with stirring. The ether phase was separated off, washed and dried. Removal of the solvents gave 4.0 g of the title compound as a colorless oil which was used without further purification.

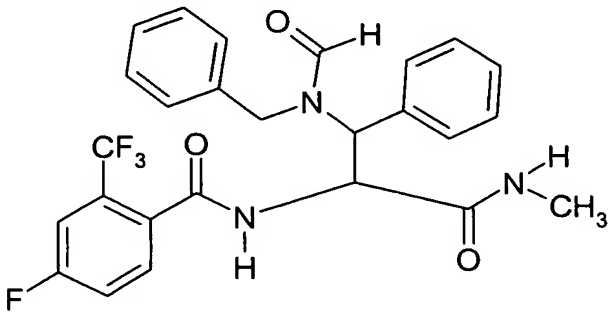
2.4) Methyl 3-(N-benzyl-N-formylamino)-2-(4-fluoro-2-trifluoromethylbenzoylamino)-3-phenylpropionate



1.4 g (0.0052 mol) of methyl 2-amino-3-(N-benzyl-N-formylamino)-3-phenylpropionate were dissolved in methylene chloride, and 1.0 g (0.0052 mol) of 4-fluoro-2-trifluoromethylbenzoic acid and 1.0 g (0.010 mol) of triethylamine in THF were added. At 0-5°C, 1.3 g (0.0052 mol) of bis(2-oxo-3-oxazolidinyl)phosphoryl chloride were added. After 2 h at 0°C, the mixture was stirred at room temperature for 15 h. The solvents were removed and the residue was taken up in ethyl acetate, washed and dried. Chromatographic purification (silica gel column, cyclohexane/ethyl acetate) gave 0.65 g of the title compound as a colorless oil.

^{1}H-NMR (DMSO): δ = 8.45 (s, 1H); 7.95 (d 1H); 7.00 – 7.40 (m. 13H); 5.40 – 5.55 (m, 2H); 4.38 (q, 2H); 3.60 (s, 3H).

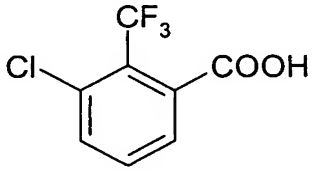
2.5) N-[2-(N-Benzyl-N-formylamino)-1-methylcarbamoyl-2-phenylethyl]-4-fluoro-2-trifluoromethylbenzamide (Tab. 3, No. 3.43)



0.65 g (0.00129 mol) of methyl 3-(N-benzyl-N-formylamino)-2-(4-fluoro-2-trifluoromethylbenzoylamino)-3-phenylpropionate was dissolved in 1methanol. Methylamine gas was introduced at 0°C, and after 1 h, the mixture was warmed to room temperature for 18 h. Removal of the solvents and customary purification methods gave 550 mg of the title compound as colorless crystals.
^{1}H-NMR (DMSO): δ = 9.20 (d, 1H); 8.51 (s, 1H); 8.30 (m, 1H); 6.75-7.75 (m, 12H); 5.52 (t, 1H); 5.07 (d, 1H); 4.52 (d, 1H); 4.20 (d, 1H); 2.40 (d, 3H).

Example 3

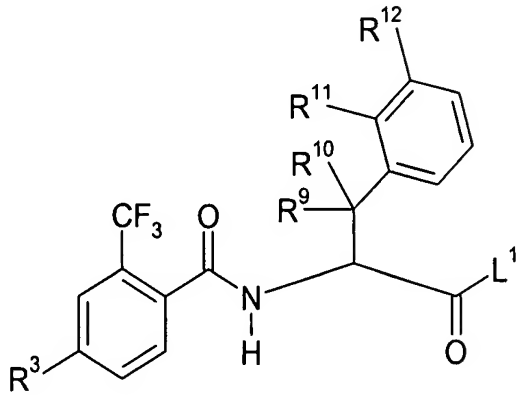
3-Chloro-2-trifluoromethylbenzoic acid



1.03 g (42.4 mmol) of magnesium turnings were dissolved in THF. 2 drops of 1,2-dibromomethane were added, and the reaction mixture was, after the exothermal reaction had set in, stirred at 32-35°C with ice cooling. 10.0 g (38.5 mmol) of 1-bromo-3-chloro-2-trifluoromethylbenzene in THF were then added dropwise such that the temperature did not exceed 32°C. The mixture was stirred for another 30 min and cooled to 0°C, and carbon dioxide was introduced for 2 h. The mixture was then warmed to room temperature, and CO_2 was introduced for another hour.

The solution was poured into a mixture of 1M hydrochloric acid and ice and extracted with methyl tert-butyl ether. The organic phase was then extracted with 1M NaOH and the aqueous phase was acidified with conc. hydrochloric acid and extracted with methylene chloride. Drying and distillative removal of the solvent gave 7.7 g (84% of theory) of the title compound as colorless crystals (m.p. 110°C).

Further benzoyl derivatives of the formula III and benzoyl-substituted phenylalanineamides of the formula I which were prepared or are preparable analogously to the processes described above are, in addition to the compounds above, listed in Tables 2 and 3 below.

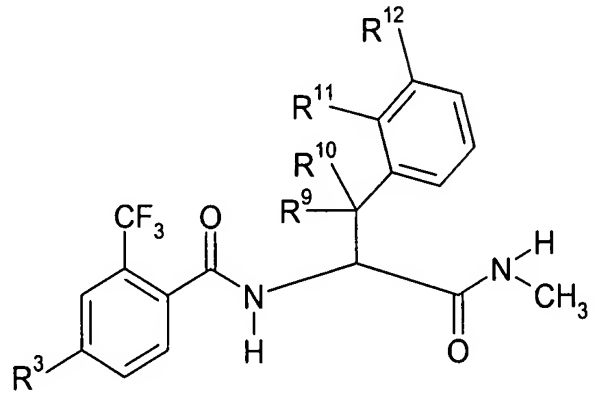


III where $R^1 = CF_3$, R^2 = H, R^4, R^5, R^6= H
R^{10}= H, R^{15} = H

Table 2

No.	R^3	R^9	R^{11}	R^{12}	R^{13}	R^{14}	L^1	erythro/ threo	Configu- ration	m.p. or m/z
2.1	H	OH	H	H	H	H	OCH_3	erythro	rac	115
2.2	H	OH	H	H	H	H	OH	threo	rac	110
2.3	F	OH	H	H	H	H	OC_2H_5	erythro	rac	93
2.4	F	OH	H	F	H	H	OC_2H_5	threo	2-*S*, 3-*R*	96
2.5	F	OH	CH_3	F	H	H	OC_2H_5	threo	2-*S*, 3-*R*	141
2.6	H	OH	H	H	H	H	OC_2H_5	erythro	rac	93
2.7	H	OH	H	H	H	H	OCH_3	threo	rac	114
2.8	H	$OCOC(CH_3)_3$	H	H	H	H	OCH_3	threo	rac	157
2.9	F	OH	H	CF_3	H	H	OH	threo	rac	33
2.10	F	OH	H	OCH_3	H	H	OC_2H_5	threo	2-*S*, 3-*R*	128
2.11	F	OH	H	NO_2	H	H	OCH_3	erythro	rac	119
2.12	F	OH	H	NO_2	H	H	OCH_3	threo	rac	130

No.	R^3	R^9	R^{11}	R^{12}	R^{13}	R^{14}	L^1	erythro/ threo	Configu-ration	m.p. or m/z
2.13	F	OH	H	H	CF_3	H	OH	threo	rac	145
2.14	F	OH	Cl	H	H	H	OH	threo	rac	188
2.15	F	OH	Cl	CF_3	H	H	OH	threo	rac	155
2.16	F	OH	Cl	Cl	H	H	OH	threo	rac	192
2.17	F	OH	Cl	H	Cl	H	OH	threo	rac	190
2.18	F	OH	Cl	H	H	Cl	OH	threo	rac	202
2.19	F	OH	$OCH_2C_6H_5$	H	H	H	OC_2H_5	threo	2-*S*, 3-*R*	164
2.20	F	$OCOCH_3$	Cl	H	H	H	OH	threo	rac	188
2.21	F	$OCON(CH_3)_2$	H	NO_2	H	H	OCH_3	threo	rac	133
2.22	F	$OSi(CH_3)_2C(CH_3)_3$	H	H	H	H	OH	threo	rac	m/z 485
2.23	F	$OSi(CH_3)_2C(CH_3)_3$	H	H	H	H	OCH_3	threo	rac	114
2.24	F	$NHCH_2C_6H_5$	H	H	H	H	OCH_3	4 : 1	rac	oil



I where $R^1 = CF_3$, R^2, R^4, R^5, R^6, R^7 = H,
$R^8 = CH_3$, R^{13}, R^{14}, R^{15} = H

Table 3

No.	R^3	R^9	R^{10}	R^{11}	R^{12}	erythro/ threo	Config.	m.p. or m/z
3.1	H	OH	H	H	H	erythro	rac	oil
3.2	H	OH	H	H	H	threo	rac	154
3.3	H	OH	CH_3	H	H	threo	rac	206
3.4	H	OH	H	CH_3	H	threo	rac	209
3.5	F	OH	H	H	H	erythro	rac	225
3.6	F	OH	H	H	H	threo	rac	155
3.7	F	OH	H	H	F	threo	2-*S*, 3-*R*	90
3.8	F	OH	CH_3	H	H	threo	rac	167
3.9	F	OH	H	CH_3	H	threo	2-*S*, 3-*R*	62
3.10	F	OH	H	CH_3	F	threo	2-*S*, 3-*R*	41
3.11	F	OH	H	CH_3	Cl	threo	2-*S*, 3-*R*	oil
3.12	H	OCH_3	H	H	H	threo	rac	155
3.13	F	O-CH_2-C_6H_5	H	H	H	threo	rac	168

No.	R^3	R^9	R^{10}	R^{11}	R^{12}	erythro/ threo	Config.	m.p. or m/z
3.14	H	O-CH_2-(o-CF_3-C_6H_4)	H	H	H	threo	rac	137
3.15	H	O-CH_2-(o-CF_3-C_6H_4)	CH_3	H	H	threo	rac	oil
3.16	F	O-CH_2-(2,4,6-Cl_3-C_6H_2)	H	H	H	threo	rac	180
3.17	H	$OCOCH_3$	H	H	H	threo	rac	196
3.18	F	$OCOCH_3$	H	H	H	threo	rac	218
3.19	F	$OCOCH_3$	H	CH_3	H	threo	2-*S*, 3-*R*	165
3.20	F	$OCOCH(CH_3)_2$	H	H	H	threo	rac	181
3.21	H	$OCOC(CH_3)_3$	H	H	H	erythro	rac	190
3.22	H	$OCOC(CH_3)_3$	H	H	H	threo	rac	140
3.23	F	$OCOC(CH_3)_3$	H	H	H	threo	rac	oil
3.24	F	$OCOC(CH_3)_3$	H	CH_3	H	threo	2-*S*, 3-*R*	183
3.25	F	$OCOC(CH_3)_3$	H	CH_3	F	threo	2-*S*, 3-*R*	189
3.26	H	$OCON(CH_3)_2$	H	H	H	erythro	rac	oil
3.27	F	$OCON(CH_3)_2$	H	H	H	threo	rac	120
3.28	F	$OCON(CH_3)_2$	H	CH_3	H	threo	2-*S*, 3-*R*	oil
3.29	F	$OCON(CH_3)_2$	H	CH_3	F	threo	2-*S*, 3-*R*	170
3.30	F	$OCON(CH_3)_2$	H	CH_3	Cl	threo	2-*S*, 3-*R*	oil
3.31	F	$OCONH(C_6H_5)$	H	H	H	threo	rac	207
3.32	F	OCONH(m-Cl-C_6H_4)	H	H	H	threo	rac	200
3.33	F	OCONH(m-CN-C_6H_4)	H	H	H	threo	rac	140
3.34	F	$OCON(CH_3)(C_6H_5)$	H	CH_3	H	threo	2-*S*, 3-*R*	oil
3.35	F	OCO-N-morpholinyl	H	CH_3	H	threo	2-*S*, 3-*R*	oil

No.	R^3	R^9	R^{10}	R^{11}	R^{12}	erythro/ threo	Config.	m.p. or m/z
3.36	H	$OCOOCH_2CH(CH_3)_2$	H	H	H	threo	rac	142
3.37	F	$OCOOCH_2CH(CH_3)_2$	H	H	H	threo	rac	136
3.38	H	OSO_2CH_3	H	H	H	threo	rac	141
3.39	F	OSO_2CH_3	H	H	H	threo	rac	135
3.40	F	OSO_2CH_3	H	CH_3	H	threo	2-*S*, 3-*R*	90
3.41	F	$S\text{-}CH_2\text{-}C_6H_5$	H	CH_3	H	1:1	rac	162
3.42	H	$NH\text{-}C_6H_5$	H	H	H	1:1	rac	oil
3.43	F	$N\text{-}(CH_2\text{-}C_6H_5)(CHO)$	H	H	H	erythro	rac	212
3.44	F	$NHSO_2CH_3$	H	H	H	4 : 1	rac	217
3.45	F	OH	H	H	H	threo	rac	203
3.46	F	OH	H	H	F	threo	2-*S*, 3-*R*	90
3.47	F	OH	H	H	Br	threo	2-*S*, 3-*R*	165
3.48	F	OH	H	H	CF_3	threo	rac	161
3.49	F	OH	H	H	OCH_3	threo	2-*S*, 3-*R*	188
3.50	F	OH	H	H	NO_2	erythro	rac	m/z 429
3.51	F	OH	H	H	NO_2	threo	rac	207
3.52	F	OH	H	H	C_6H_5	threo	rac	198
3.53	F	OH	H	H	$4\text{-}Cl\text{-}C_6H_4$	threo	rac	183
3.54	F	OH	H	H	$3,5\text{-}Cl_2\text{-}C_6H_3$	threo	rac	202
3.55	F	OH	H	H	$4\text{-}CH_3\text{-}C_6H_4$	threo	rac	198
3.56	F	OH	H	H	$3\text{-}CF_3\text{-}C_6H_4$	threo	rac	177
3.57	F	OH	H	H	$3\text{-}NO_2\text{-}C_6H_4$	threo	rac	185

No.	R^3	R^9	R^{10}	R^{11}	R^{12}	erythro/ threo	Config.	m.p. or m/z
3.58	F	OH	H	H	4-Cl-2-thienyl	threo	rac	133
3.59	F	OH	H	Cl	H	threo	rac	172
3.60	F	OH	H	CF_3	H	threo	rac	142
3.61	F	OH	H	CH_2OH	H	threo	2-*S*, 3-*R*	152
3.62	F	OH	H	CH_2OCOCH_3	H	threo	2-*S*, 3-*R*	m/z 456
3.63	F	OH	H	CH_2OCH_2COOH	H	threo	2-*S*, 3-*R*	m/z 472
3.64	F	OH	H	$CH_2OCONHSO_2CF_3$	H	threo	2-*S*, 3-*R*	m/z 589
3.65	F	OH	H	$CH_2OSO_2CH_3$	H	threo	2-*S*, 3-*R*	97
3.66	F	OH	H	$OCH_2C_6H_5$	H	threo	2-*S*, 3-*R*	150
3.67	F	OH	H	NO_2	H	threo	rac	m/z 429
3.68	F	OH	H	NH_2	H	threo	2-*S*, 3-*R*	m/z 399
3.69	F	OH	H	$NHCOCH_3$	H	threo	2-*S*, 3-*R*	m/z 441
3.70	F	OH	H	$NHSO_2CH_3$	H	threo	2-*S*, 3-*R*	m/z 477
3.71	F	OH	H	$NHSO_2CF_3$	H	threo	2-*S*, 3-*R*	m/z 531
3.72	F	OH	H	Cl	CF_3	threo	rac	172
3.73	$NHCH_3$	OH	H	CH_3	F	threo	2-*S*, 3-*R*	131
3.74	F	$OCOCH_3$	H	Cl	H	threo	rac	145
3.75	F	$OCOCH_3$	H	H	F	threo	rac	161
3.76	F	$OCOCH_3$	H	H	CF_3	threo	rac	176
3.77	F	$OCOCH_3$	H	Cl	Cl	threo	rac	200
3.78	F	$OCOCH_3$	H	CH_3	F	threo	2-*S*, 3-*R*	138
3.79	F	$OCOCH_3$	H	Cl	CF_3	threo	rac	215

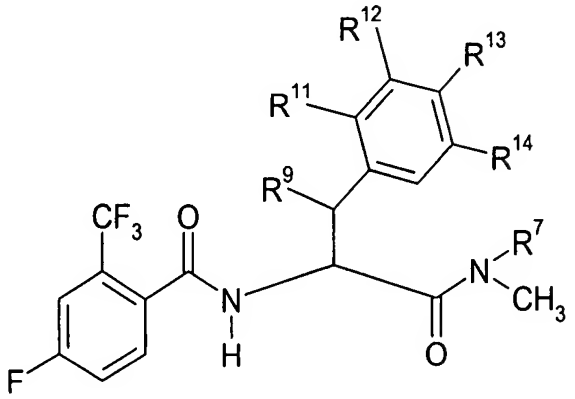
No.	R^3	R^9	R^{10}	R^{11}	R^{12}	erythro/ threo	Config.	m.p. or m/z
3.80	F	$OCOC(CH_3)_3$	H	H	H	erythro	rac	m/z 468
3.81	F	$OCOC(CH_3)_3$	H	H	F	threo	2-*S*, 3-*R*	185
3.82	F	$OCOC(CH_3)_3$	H	H	Br	threo	2-*S*, 3-*R*	142
3.83	F	$OCOC(CH_3)_3$	H	Cl	Cl	threo	rac	185
3.84	F	$OCOCH=CH_2$	H	CH_3	F	threo	2-*S*, 3-*R*	187
3.85	F	$OCO(C_3H_5)$	H	H	H	threo	rac	m/z 452
3.86	F	$OCO(C_4H_7)$	H	H	H	threo	rac	m/z 466
3.87	F	$OCOCH_2Cl$	H	CH_3	F	threo	2-*S*, 3-*R*	158
3.88	F	$OCOCH_2OCH_3$	H	H	H	threo	rac	m/z 456
3.89	F	$OCOCH_2OCH_3$	H	H	F	threo	2-*S*, 3-*R*	185
3.90	F	$OCOCH_2SCH_3$	H	H	H	threo	rac	160
3.91	F	$OCOCH_2SCH_3$	H	CH_3	F	threo	2-*S*, 3-*R*	134
3.92	F	$OCOCH_2CH(OH)COOH$	H	CH_3	F	threo	2-*S*, 3-*R*	m/z 532
3.93	F	$OCOCH_2CH_2CH_2COOCH_3$	H	H	H	threo	rac	m/z 512
3.94	F	$OCOCH_2OCH_2CH_2OCH_2CH_2OCH_3$	H	H	H	threo	rac	m/z 544
3.95	F	$OCOCH_2OCH_2CH_2OCH_2CH_2OCH_3$	H	Cl	Cl	threo	rac	m/z 613
3.96	F	$OCOCH_2OCH_2CH_2OCH_2CH_2OCH_3$	H	$CH_2OCOCH_2OCH_2$-$CH_2OCH_2CH_2OCH_3$	H	threo	2-*S*, 3-*R*	m/z 734
3.97	F	$OCO(4\text{-}CN\text{-}C_6H_4)$	H	H	H	threo	rac	212
3.98	F	$OCO(2,5\text{-}Cl_2\text{-}6\text{-}OCH_3\text{-}C_6H_2)$	H	H	H	threo	rac	220
3.99	F	$OCOCH_2C_6H_5$	H	H	H	threo	rac	m/z 502
3.100	F	$OCOCH_2(2\text{-}F\text{-}C_6H_4)$	H	H	H	threo	rac	m/z 520

No.	R^3	R^9	R^{10}	R^{11}	R^{12}	erythro/ threo	Config.	m.p. or m/z
3.101	F	$OCOCH_2(4\text{-}F\text{-}C_6H_4)$	H	H	H	threo	rac	m/z 520
3.102	F	$OCOCH_2(2,4\text{-}Cl_2\text{-}C_6H_3)$	H	H	H	threo	rac	m/z 571
3.103	F	$OCOCH_2(2,6\text{-}Cl_2\text{-}C_6H_3)$	H	H	H	threo	rac	m/z 571
3.104	F	$OCOCH(OCH_3)C_6H_5$	H	H	H	threo	rac	m/z 532
3.105	F	$OCOCH_2CH_2C_6H_5$	H	H	H	threo	rac	m/z 516
3.106	F	$OCOCH(CH_3)O(2,4\text{-}Cl_2\text{-}C_6H_3)$	H	H	H	threo	rac	182
3.107	F	$OCOCH_2CH_2CH_2O(2,4\text{-}Cl_2\text{-}C_6H_3)$	H	H	H	threo	rac	m/z 615
3.108	F	$OCOCH_2CH_2CH_2O(2\text{-}CH_3\text{-}4\text{-}Cl\text{-}C_6H_3)$	H	H	H	threo	rac	m/z 595
3.109	F	$OCOCH_2NH_2{*}HCl$	H	H	H	threo	rac	210
3.110	F	$OCOCH_2NHCHO$	H	H	H	threo	rac	m/z 469
3.111	F	$OCOCH_2NHCOCH_2Cl$	H	H	H	threo	rac	m/z 517
3.112	F	$OCONH(CH_3)_2$	H	H	OCH_3	threo	2-*S*, 3-*R*	160
3.113	F	$OCONH(CH_3)_2$	H	H	$NHCON(CH_3)_2$	erythro	rac	m/z 541
3.114	F	$OCONH(CH_3)_2$	H	$OCH_2C_6H_5$	H	threo	2-*S*, 3-*R*	192
3.115	F	$OCONH(CH_3)_2$	H	Cl	Cl	threo	rac	206
3.116	F	$OCONH(CH_3)_2$	H	Cl	CF_3	threo	rac	230
3.117	F	$OCON(CH_3)_2$	H	H	H	erythro	rac	220
3.118	F	$OCON(CH_3)_2$	H	H	F	threo	2-*S*, 3-*R*	187
3.119	F	$OCON(CH_3)_2$	H	H	CF_3	threo	rac	135
3.120	F	$OCON(CH_3)_2$	H	H	NO_2	erythro	rac	m/z 430
3.121	F	$OCON(CH_3)_2$	H	H	NO_2	threo	rac	216

No.	R^3	R^9	R^{10}	R^{11}	R^{12}	erythro/ threo	Config.	m.p. or m/z
3.122	F	$OCON(CH_3)_2$	H	H	NH_2	erythro	rac	216
3.123	F	$OCON(CH_3)_2$	H	H	NH_2	threo	rac	213
3.124	F	$OCON(CH_3)_2$	H	H	$NHCOCH_3$	erythro	rac	m/Z 512
3.125	F	$OCON(CH_3)_2$	H	H	$NHCONHSO_2CF_3$	erythro	rac	166
3.126	F	$OCON(CH_3)_2$	H	H	$NHCONHSO_2CF_3$	threo	rac	168
3.127	F	$OCON(CH_3)_2$	H	H	$NHSO_2CH_3$	erythro	rac	212
3.128	F	$OCON(CH_3)_2$	H	H	$NHSO_2CH_3$	threo	rac	m/z 548
3.129	F	$OCON(CH_3)_2$	H	H	$NHSO_2CF_3$	erythro	rac	m/z 602
3.130	F	$OCON(CH_3)_2$	H	Cl	H	threo	rac	165
3.131	F	$OCON(CH_3)_2$	H	$CH_2OCON(CH_3)_2$	H	threo	2-*S*, 3-*R*	93
3.132	F	$OCONCH_3C_6H_5$	H	H	H	threo	rac	178
3.133	F	$OCONHSO_2CF_3$	H	H	H	erythro	rac	m/z 559
3.134	F	$OCONHSO_2CF_3$	H	H	H	threo	rac	174
3.135	F	$NHCONHSO_2CF_3$	H	H	H	threo	rac	m/z 574
3.136	F	$OCONHSO_2CF_3$	H	H	F	threo	2-*S*, 3-*R*	165
3.137	F	$OCONHSO_2CF_3$	H	CH_3	F	threo	2-*S*, 3-*R*	170
3.138	F	$OCONHSO_2(2\text{-}Cl\text{-}C_6H_4)$	H	H	H	threo	rac	206
3.139	F	$OCONHSO_2(4\text{-}CH_3\text{-}C_6H_4)$	H	H	H	threo	rac	202
3.140	F	$OCONHSO_2(2\text{-}CF_3\text{-}C_6H_4)$	H	H	H	threo	rac	200
3.141	F	O-[4,6-$(OCH_3)_2$-2-pyrimidyl]	H	H	H	threo	rac	218

No.	R^3	R^9	R^{10}	R^{11}	R^{12}	erythro/ threo	Config.	m.p. or m/z
3.142	F	OCO[2,2-(CH_3)-4-dioxolanyl]	H	H	H	threo	rac	m/z 512
3.143	F	OCO(4-pyranyl)	H	H	H	threo	rac	m/z 496
3.144	F	OCO-(3,6-Cl_2-2-pyridyl)	H	H	H	threo	rac	210
3.145	F	$OCOCH_2$(2-thienyl)	H	H	H	threo	rac	m/z 508
3.146	F	$OCOCH_2$(3-thienyl)	H	H	H	threo	rac	m/z 508
3.147	F	$OCOCH_2$(1-pyrazolyl)	H	H	H	threo	rac	m/z 492
3.148	F	$OCOCH_2$(1-triazolyl)	H	H	H	threo	rac	m/z 493
3.149	F	$OCOCH_2$(3-pyridyl)	H	H	H	threo	rac	m/z 503
3.150	F	$OCOCH_2CH_2$(4-morpholinyl)	H	H	H	threo	rac	m/z 525
3.151	F	$OCOCHClCH_2$(1-triazolyl)	H	H	H	threo	rac	146
3.152	F	$OSi(CH_2CH_3)_3$	H	$CH_2OSi(CH_2CH_3)_3$	H	threo	2-*S*, 3-*R*	m/z 642
3.153	F	$OSi(CH_2CH_3)_3$	H	H	Br	threo	2-*S*, 3-*R*	75
3.154	F	$OSi(CH_3)_2C(CH_3)_3$	H	H	H	threo	rac	139
3.155	F	$OSi(CH_3)_2C(CH_3)_3$	H	CH_2F	H	threo	2-*S*, 3-*R*	80
3.156	F	$OSi(CH_3)_2C(CH_3)_3$	H	CH_2OH	H	threo	2-*S*, 3-*R*	m/z 528
3.157	F	OSO_2CH_3	H	Cl	H	threo	rac	127
3.158	F	OSO_2CH_3	H	Cl	Cl	threo	rac	148
3.159	F	NH_2	H	H	H	4 : 1	rac	168
3.160	F	$NHCH_3$	H	CH_2OH	H	threo	2-*S*, 3-*R*	192
3.161	F	$NHCH_2C_6H_5$	H	H	H	4 : 1	rac	186
3.162	F	$NHCOCH_3$	H	H	H	4 : 1	rac	oil
3.163	F	$NHCOC(CH_3)_3$	H	H	H	4 : 1	rac	222

No.	R^3	R^9	R^{10}	R^{11}	R^{12}	erythro/ threo	Config.	m.p. or m/z
3.164	F	$NHCOOC(CH_3)_3$	H	H	H	4 : 1	rac	m/z 483
3.165	F	$NHCON(CH_3)_2$	H	H	H	4 : 1	rac	198
3.166	F	$NCH_3CON(CH_3)_2$	H	$CH_2OCON(CH_3)_2$	H	threo	2-*S*, 3-*R*	190



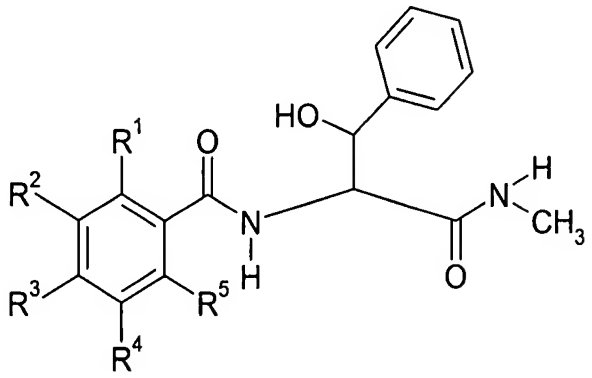
I where $R^1 = CF_3$, $R^2 = H$, $R^3 = F$, R^4, R^5, $R^6 = H$, $R^8 = CH_3$, R^{10}, $R^{15} = H$

Table 4

No.	R^7	R^9	R^{11}	R^{12}	R^{13}	R^{14}	erythro/ threo	Config.	m.p. or m/z
4.1	H	OH	H	H	F	H	threo	2-*S*, 3-*R*	149
4.2	H	OH	H	H	CF_3	H	threo	rac	180
4.3	H	OH	H	H	SCH_3	H	threo	rac	185
4.4	H	OH	H	H	NO_2	H	threo	rac	212
4.5	H	OH	H	H	$OCH_2C_6H_5$	H	threo	2-*S*, 3-*R*	197
4.6	H	OH	H	Br	F	H	erythro	rac	175
4.7	H	OH	H	Br	F	H	threo	rac	192
4.8	H	OH	Cl	H	F	H	threo	rac	160
4.9	H	OH	Cl	Cl	H	H	threo	rac	187
4.10	H	OH	Cl	H	Cl	H	threo	rac	160

No.	R^7	R^9	R^{11}	R^{12}	R^{13}	R^{14}	erythro/ threo	Config.	m.p. or m/z
4.11	H	OH	CH_3	H	F	H	threo	2-*S*, 3-*R*	m/z 416
4.12	H	OH	CF_3	H	F	H	threo	rac	140
4.13	H	OH	Cl	H	H	Cl	threo	rac	214
4.14	H	OH	H	F	H	F	threo	rac	176
4.15	H	OH	F	F	F	H	threo	2-*S*, 3-*R*	166
4.16	H	$OCOCH_3$	H	H	F	H	threo	2-*S*, 3-*R*	152
4.17	H	$OCOCH_3$	H	H	CF_3	H	threo	rac	217
4.18	H	$OCOCH_3$	Cl	H	F	H	threo	rac	180
4.19	H	$OCOCH_3$	Cl	H	Cl	H	threo	rac	190
4.20	H	$OCOCH_3$	H	Br	F	H	threo	rac	200
4.21	H	$OCOCH_3$	H	F	H	F	threo	rac	155
4.22	H	$OCOC(CH_3)_3$	H	H	F	H	threo	2-*S*, 3-*R*	159
4.23	H	$OCOCH_2OCH_2CH_2OCH_2CH_2OCH_3$	H	Br	F	H	threo	rac	m/z 641
4.24	H	$OCOCH_2OCH_2CH_2OCH_2CH_2OCH_3$	F	F	F	H	threo	2-*S*, 3-*R*	111
4.25	H	$OCON(CH_3)_2$	H	H	F	H	threo	2-*S*, 3-*R*	156
4.26	H	$OCON(CH_3)_2$	H	H	CF_3	H	threo	rac	190
4.27	H	$OCON(CH_3)_2$	H	H	SCH_3	H	threo	rac	m/z 501
4.28	H	$OCON(CH_3)_2$	Cl	H	F	H	threo	rac	203
4.29	H	$OCON(CH_3)_2$	Cl	H	Cl	H	threo	rac	192
4.30	H	$OCON(CH_3)_2$	H	Br	F	H	threo	rac	165
4.31	H	$OCON(CH_3)_2$	H	F	H	F	threo	rac	203
4.32	H	$OCON(CH_3)_2$	F	F	F	H	threo	2-*S*, 3-*R*	152

No.	R^7	R^9	R^{11}	R^{12}	R^{13}	R^{14}	erythro/ threo	Config.	m.p. or m/z
4.33	H	OSO_2CH_3	Cl	H	F	H	threo	rac	112
4.34	H	OSO_2CH_3	H	F	H	F	threo	rac	150
4.35	H	OH	Cl	H	H	CF_3	threo	rac	172
4.36	H	$OCOCH_3$	Cl	H	H	Cl	threo	rac	195
4.37	H	OSO_2CH_3	Cl	H	H	Cl	threo	rac	140
4.38	H	$OCON(CH_3)_2$	Cl	H	H	Cl	threo	rac	192
4.39	H	$OCON(CH_3)_2$	Cl	H	H	CF_3	threo	rac	188
4.40	OH	$OSi(CH_3)_2C(CH_3)_3$	H	H	H	H	threo	2-*S*, 3-*R*	m/z 514



I where R^6, R^7 = H, R^8 = CH_3, R^9 = OH, R^{10}, R^{11}, R^{12}, R^{13}, R^{14}, R^{15} = H

Table 5

No.	R^1	R^2	R^3	R^4	R^5	erythro / threo	Config.	m.p. or m/z
5.1	F	H	F	H	H	threo	rac	m/z 334
5.2	F	H	CF_3	H	H	threo	rac	m/z 384
5.3	F	H	H	F	H	threo	rac	m/z 334
5.4	F	H	H	H	F	threo	rac	m/z 334
5.5	F	H	H	H	Cl	threo	rac	m/z 350
5.6	F	F	H	H	F	threo	rac	m/z 352
5.7	F	F	H	F	F	threo	rac	m/z 370
5.8	Cl	H	H	H	H	threo	rac	m/z 332
5.9	Cl	Cl	H	H	H	threo	rac	m/z 367

No.	R^1	R^2	R^3	R^4	R^5	erythro / threo	Config.	m.p. or m/z
5.10	Cl	CF_3	H	H	H	threo	rac	167
5.11	Cl	NO_2	H	H	H	threo	rac	m/z 377
5.12	Cl	H	Cl	H	H	threo	rac	m/z 367
5.13	Cl	H	NO_2	H	H	threo	rac	m/z 377
5.14	Cl	H	H	Cl	H	threo	rac	m/z 367
5.15	Cl	H	Cl	Cl	H	threo	rac	m/z 401
5.16	Cl	H	$COOCH_3$	Cl	H	threo	rac	m/z 425
5.17	Cl	NO_2	Cl	NO_2	H	threo	rac	m/z 457
5.18	CH_3	H	H	H	H	threo	rac	m/z 312
5.19	CH_3	$C(CH_2)(CH_3)$	H	H	H	threo	rac	m/z 352
5.20	CH_3	NO_2	H	H	H	threo	rac	m/z 357
5.21	CH_3	H	H	H	CH_3	threo	rac	m/z 326
5.22	CH_3	H	H	H	NO_2	threo	rac	m/z 357
5.23	CH_3	NO_2	H	NO_2	H	threo	rac	m/z 402
5.24	CF_3	F	H	H	H	threo	rac	158
5.25	CF_3	Cl	H	H	H	threo	rac	m/z 400
5.26	NO_2	H	H	H	H	threo	rac	m/z 343
5.27	NO_2	Cl	H	H	H	threo	rac	m/z 377
5.28	NO_2	H	Cl	H	H	threo	rac	m/z 377
5.29	OCH_3	H	SCH_3	H	H	threo	rac	m/z 374
5.30	OCH_3	H	H	Cl	H	threo	rac	m/z 362

No.	R^1	R^2	R^3	R^4	R^5	erythro / threo	Config.	m.p. or m/z
5.31	OCH_3	H	H	OCH_3	H	threo	rac	m/z 358
5.32	OCH_3	OCH_3	OCH_3	H	H	threo	rac	m/z 388
5.33	OCH_2CH_3	OCH_2CH_3	OCH_2CH_3	H	H	threo	rac	m/z 430
5.34	COOH	H	F	H	H	threo	rac	216
5.35	C_6H_5	H	H	H	H	threo	rac	m/z 374
5.36	OCF_3	H	H	H	H	threo	rac	m/z 382
5.37	$SCHF_2$	H	H	H	H	threo	rac	m/z 380

Biological activity

The benzoyl-substituted phenylalanineamides of the formula I and their agriculturally useful salts are suitable, both in the form of isomer mixtures and in the form of the pure isomers, as herbicides. The herbicidal compositions comprising compounds of the formula I control vegetation on non-crop areas very efficiently, especially at high rates of application. They act against broad-leaved weeds and grass weeds in crops such as wheat, rice, corn, soya and cotton without causing any significant damage to the crop plants. This effect is mainly observed at low rates of application.

Depending on the application method in question, the compounds of the formula I, or herbicidal compositions comprising them, can additionally be employed in a further number of crop plants for eliminating undesirable plants. Examples of suitable crops are the following:

Allium cepa, Ananas comosus, Arachis hypogaea, Asparagus officinalis, Beta vulgaris spec. altissima, Beta vulgaris spec. rapa, Brassica napus var. napus, Brassica napus var. napobrassica, Brassica rapa var. silvestris, Camellia sinensis, Carthamus tinctorius, Carya illinoinensis, Citrus limon, Citrus sinensis, Coffea arabica (Coffea canephora, Coffea liberica), Cucumis sativus, Cynodon dactylon, Daucus carota, Elaeis guineensis, Fragaria vesca, Glycine max, Gossypium hirsutum, (Gossypium arboreum, Gossypium herbaceum, Gossypium vitifolium), Helianthus annuus, Hevea brasiliensis, Hordeum vulgare, Humulus lupulus, Ipomoea batatas, Juglans regia, Lens culinaris, Linum usitatissimum, Lycopersicon lycopersicum, Malus spec., Manihot esculenta, Medicago sativa, Musa spec., Nicotiana tabacum (N.rustica), Olea europaea, Oryza sativa, Phaseolus lunatus, Phaseolus vulgaris, Picea abies, Pinus spec., Pisum sativum, Prunus avium, Prunus persica, Pyrus communis, Ribes sylvestre, Ricinus communis, Saccharum officinarum, Secale cereale, Solanum tuberosum, Sorghum bicolor (s. vulgare), Theobroma cacao, Trifolium pratense, Triticum aestivum, Triticum durum, Vicia faba, Vitis vinifera and Zea mays.

In addition, the compounds of the formula I may also be used in crops which tolerate the action of herbicides owing to breeding, including genetic engineering methods.

The compounds of the formula I, or the herbicidal compositions comprising them, can be used for example in the form of ready-to-spray aqueous solutions, powders, suspensions, also highly concentrated aqueous, oily or other suspensions or dispersions, emulsions, oil dispersions, pastes, dusts, materials for broadcasting, or

granules, by means of spraying, atomizing, dusting, spreading or watering. The use forms depend on the intended purpose; in any case, they should guarantee the finest possible distribution of the active ingredients according to the invention.

The herbicidal compositions comprise a herbicidally effective amount of at least one compound of the formula I or an agriculturally useful salt of I, and auxiliaries which are customary for the formulation of crop protection agents.

Suitable as inert auxiliaries are essentially the following:
mineral oil fractions of medium to high boiling point, such as kerosene and diesel oil, furthermore coal tar oils and oils of vegetable or animal origin, aliphatic, cyclic and aromatic hydrocarbons, e.g. paraffin, tetrahydronaphthalene, alkylated naphthalenes and their derivatives, alkylated benzenes and their derivatives, alcohols such as methanol, ethanol, propanol, butanol and cyclohexanol, ketones such as cyclohexanone, strongly polar solvents, e.g. amines such as N-methylpyrrolidone, and water.

Aqueous use forms can be prepared from emulsion concentrates, suspensions, pastes, wettable powders or water-dispersible granules by adding water. To prepare emulsions, pastes or oil dispersions, the substrates, either as such or dissolved in an oil or solvent, can be homogenized in water by means of a wetting agent, tackifier, dispersant or emulsifier. Alternatively, it is also possible to prepare concentrates comprising active substance, wetting agent, tackifier, dispersant or emulsifier and, if desired, solvent or oil, which are suitable for dilution with water.

Suitable surfactants (adjuvants) are the alkali metal salts, alkaline earth metal salts and ammonium salts of aromatic sulfonic acids, e.g. ligno-, phenol-, naphthalene- and dibutylnaphthalenesulfonic acid, and of fatty acids, alkyl- and alkylarylsulfonates, alkyl sulfates, lauryl ether sulfates and fatty alcohol sulfates, and salts of sulfated hexa-, hepta- and octadecanols, and also of fatty alcohol glycol ethers, condensates of sulfonated naphthalene and its derivatives with formaldehyde, condensates of naphthalene or of the naphthalenesulfonic acids with phenol and formaldehyde, polyoxyethylene octylphenol ether, ethoxylated isooctyl-, octyl- or nonylphenol, alkylphenyl or tributylphenyl polyglycol ether, alkylaryl polyether alcohols, isotridecyl alcohol, fatty alcohol/ethylene oxide condensates, ethoxylated castor oil, polyoxyethylene alkyl ethers or polyoxypropylene alkyl ethers, lauryl alcohol polyglycol ether acetate, sorbitol esters, lignosulfite waste liquors or methylcellulose.

Powders, materials for broadcasting and dusts can be prepared by mixing or grinding the active ingredients together with a solid carrier.

Granules, e.g. coated granules, impregnated granules and homogeneous granules, can be prepared by binding the active ingredients to solid carriers. Solid carriers are mineral earths such as silicas, silica gels, silicates, talc, kaolin, limestone, lime, chalk, bole, loess, clay, dolomite, diatomaceous earth, calcium sulfate, magnesium sulfate and magnesium oxide, ground synthetic materials, fertilizers such as ammonium sulfate, ammonium phosphate, ammonium nitrate and ureas, and products of vegetable origin, such as cereal meal, tree bark meal, wood meal and nutshell meal, cellulose powders, or other solid carriers.

The concentrations of the compounds of the formula I in the ready-to-use preparations can be varied within wide ranges. In general, the formulations comprise approximately from 0.001 to 98% by weight, preferably 0.01 to 95% by weight of at least one active ingredient. The active ingredients are employed in a purity of from 90% to 100%, preferably 95% to 100% (according to NMR spectrum).

The formulation examples below illustrate the preparation of such compositions:

I. 20 parts by weight of an active compound of the formula I are dissolved in a mixture composed of 80 parts by weight of alkylated benzene, 10 parts by weight of the adduct of from 8 to 10 mol of ethylene oxide to 1 mol of oleic acid N-monoethanolamide, 5 parts by weight of calcium dodecylbenzenesulfonate and 5 parts by weight of the adduct of 40 mol of ethylene oxide to 1 mol of castor oil. Pouring the solution into 100 000 parts by weight of water and finely distributing it therein gives an aqueous dispersion which comprises 0.02% by weight of the active ingredient of the formula I.

II. 20 parts by weight of an active compound of the formula I are dissolved in a mixture composed of 40 parts by weight of cyclohexanone, 30 parts by weight of isobutanol, 20 parts by weight of the adduct of 7 mol of ethylene oxide to 1 mol of isooctylphenol and 10 parts by weight of the adduct of 40 mol of ethylene oxide to 1 mol of castor oil. Pouring the solution into 100 000 parts by weight of water and finely distributing it therein gives an aqueous dispersion which comprises 0.02% by weight of the active ingredient of the formula I.

III. 20 parts by weight of an active compound of the formula I are dissolved in a mixture composed of 25 parts by weight of cyclohexanone, 65 parts by weight of a mineral oil fraction of boiling point 210 to 280°C and 10 parts by weight of the adduct of 40 mol of ethylene oxide to 1 mol of castor oil. Pouring the solution into 100 000 parts by weight of water and finely distributing it therein gives an aqueous dispersion which comprises 0.02% by weight of the active ingredient of the formula I.

IV. 20 parts by weight of an active compound of the formula I are mixed thoroughly with 3 parts by weight of sodium diisobutylnaphthalenesulfonate, 17 parts by weight of the sodium salt of a lignosulfonic acid from a sulfite waste liquor and 60 parts by weight of pulverulent silica gel, and the mixture is ground in a hammer mill. Finely distributing the mixture in 20 000 parts by weight of water gives a spray mixture which comprises 0.1% by weight of the active ingredient of the formula I.

V. 3 parts by weight of an active compound of the formula I are mixed with 97 parts by weight of finely divided kaolin. This gives a dust which comprises 3% by weight of the active ingredient of the formula I.

VI. 20 parts by weight of an active compound of the formula I are mixed intimately with 2 parts by weight of calcium dodecylbenzenesulfonate, 8 parts by weight of fatty alcohol polyglycol ether, 2 parts by weight of the sodium salt of a phenol/urea/formaldehyde condensate and 68 parts by weight of a paraffinic mineral oil. This gives a stable oily dispersion.

VII. 1 part by weight of an active compound of the formula I is dissolved in a mixture composed of 70 parts by weight of cyclohexanone, 20 parts by weight of ethoxylated isooctylphenol and 10 parts by weight of ethoxylated castor oil. This gives a stable emulsion concentrate.

VIII. 1 part by weight of an active compound of the formula I is dissolved in a mixture composed of 80 parts by weight of cyclohexanone and 20 parts by weight of Wettol® EM 31 (= nonionic emulsifier based on ethoxylated castor oil). This gives a stable emulsion concentrate.

The compounds of the formula I or the herbicidal compositions can be applied pre- or post-emergence. If the active ingredients are less well tolerated by certain crop plants,

application techniques may be used in which the herbicidal compositions are sprayed, with the aid of the spraying equipment, in such a way that as far as possible they do not come into contact with the leaves of the sensitive crop plants, while the active ingredients reach the leaves of undesirable plants growing underneath, or the bare soil surface (post-directed, lay-by).

The rates of application of the compound of the formula I are from 0.001 to 3.0, preferably 0.01 to 1.0, kg/ha of active substance (a.s.), depending on the control target, the season, the target plants and the growth stage.

To widen the spectrum of action and to achieve synergistic effects, the benzoyl-substituted phenylalanineamides of the formula I may be mixed with a large number of representatives of other herbicidal or growth-regulating active ingredient groups and then applied concomitantly. Suitable components for mixtures are, for example, 1,2,4-thiadiazoles, 1,3,4-thiadiazoles, amides, aminophosphoric acid and its derivatives, aminotriazoles, anilides, (het)aryloxyalkanoic acids and their derivatives, benzoic acid and its derivatives, benzothiadiazinones, 2–(het)aroyl–1,3–cyclohexanediones, hetaryl aryl ketones, benzylisoxazolidinones, meta-CF_3-phenyl derivatives, carbamates, quinolinecarboxylic acid and its derivatives, chloroacetanilides, cyclohexenone oxime ether derivatives, diazines, dichloropropionic acid and its derivatives, dihydrobenzofurans, dihydrofuran-3-ones, dinitroanilines, dinitrophenols, diphenyl ethers, dipyridyls, halocarboxylic acids and their derivatives, ureas, 3-phenyluracils, imidazoles, imidazolinones, N-phenyl-3,4,5,6-tetrahydrophthalimides, oxadiazoles, oxiranes, phenols, aryloxy- and hetaryloxyphenoxypropionic esters, phenylacetic acid and its derivatives, 2-phenylpropionic acid and its derivatives, pyrazoles, phenylpyrazoles, pyridazines, pyridinecarboxylic acid and its derivatives, pyrimidyl ethers, sulfonamides, sulfonylureas, triazines, triazinones, triazolinones, triazolecarboxamides and uracils.

It may furthermore be beneficial to apply the compounds of the formula I alone or in combination with other herbicides, or in the form of a mixture with other crop protection agents, for example together with agents for controlling pests or phytopathogenic fungi or bacteria. Also of interest is the miscibility with mineral salt solutions, which are employed for treating nutritional and trace element deficiencies. Non-phytotoxic oils and oil concentrates may also be added.

Use examples

The herbicidal activity of the benzoyl-substituted phenylalanineamides of the formula I was demonstrated by the following greenhouse experiments:

The culture containers used were plastic flowerpots containing loamy sand with approximately 3.0% of humus as the substrate. The seeds of the test plants were sown separately for each species.

For the pre-emergence treatment, the active ingredients, which had been suspended or emulsified in water, were applied directly after sowing by means of finely distributing nozzles. The containers were irrigated gently to promote germination and growth and subsequently covered with transparent plastic hoods until the plants had rooted. This cover causes uniform germination of the test plants, unless this has been impaired by the active ingredients.

For the post-emergence treatment, the test plants were first grown to a height of 3 to 15 cm, depending on the plant habit, and only then treated with the active ingredients which had been suspended or emulsified in water. For this purpose, the test plants were either sown directly and grown in the same containers, or they were first grown separately as seedlings and transplanted into the test containers a few days prior to treatment. The rate of application for the post-emergence treatment was 1.0, 0,5, 0.25, 0.125 or 0.0625 kg/ha of a.s. (active substance).

Depending on the species, the plants were kept at 10 – 25°C or 20 – 35°C. The test period extended over 2 to 4 weeks. During this time, the plants were tended, and their response to the individual treatments was evaluated.

Evaluation was carried out using a scale from 0 to 100. 100 means no emergence of the plants, or complete destruction of at least the aerial parts, and 0 means no damage, or normal course of growth.

The plants used in the greenhouse experiments belonged to the following species:

Scientific Name	Common Name
Abutilon theophrasti	velvet leaf
Amaranthus retroflexus	pig weed

Avena fatua	wild oat
Chenopodium album	lambsquarters
Galium aparine	cleavers harrif
Polygonum convolvulus	black bindweed
Setaria viridis	green foxtail

At application rates of 1.00 kg/ha, the compounds 3.2, 3.10, 3.11 and 3.28 (Table 3) showed very good post-emergence action against the unwanted plants pig weed, lambsquarters and green foxtail.

Furthermore, compounds 3.66, 3.67 and 3.128 (Table 3), applied by the post-emergence method, effected, at application rates of 1.00 kg/ha, very good control of the harmful plants pig weed, lambsquarters and green foxtail.

Furthermore, compounds 3.96, 3.61 and 3.131 (Table 3) effected very good post-emergence control of the harmful plants pig weed, lambsquarters, cleavers harrif and black bindweed at application rates of 0.5 kg/ha.

The post-emergence action of compound 3.65 (Table 3) at application rates of 0.5 kg/ha on the weeds pig weed, lambsquarters and black bindweed was very good.

At application rates of 0.5 kg/ha, the compounds 3.62 (Table 3) and 4.24 (Table 4) showed very good post-emergence action against the unwanted plants pig weed, lambsquarters, cleavers harrif and green foxtail.

Furthermore, compound 3.152 (Table 3) effected very good post-emergence control of the harmful plants pig weed, lambsquarters, cleavers harrif and green foxtail at application rates of 1.0 kg/ha.

At application rates of 1.00 kg/ha, the action of compounds 3.123 and 3.137 (Table 3), applied by the post-emergence method, on the weeds pig weed, lambsquarters and black bindweed was very good.

Likewise, the compound 3.154 (Table 3) effected, at application rates of 1.0 kg/ha, very good post-emergence control of the unwanted plants pig weed, lambsquarters,

cleavers harrif, black bindweed and green foxtail.

At application rates of 0.5 kg/ha, the compound 5.20 (Table 5) had very good post-emergence action on the weed velvet leaf.

At application rates of 0.5 kg/ha, the compound 5.36 (Table 5) showed very good post-emergence action against the unwanted plant velvet leaf.

Furthermore, compound 5.37 (Table 5) controlled the harmful plants velvet leaf and wild oat when applied by the post-emergence method at application rates of 0.5 kg/ha.